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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 4	OCT 30	CHEMLIST enhanced with new search and display field
NEWS 5	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS 6	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS 7	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS 8	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS 9	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS 10	DEC 11	CAS REGISTRY chemical nomenclature enhanced
NEWS 11	DEC 14	WPIDS/WPINDEX/WPIX manual codes updated
NEWS 12	DEC 14	GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS 13	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 14	DEC 18	CA/CAplus patent kind codes updated
NEWS 15	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS 16	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS 17	DEC 27	CA/CAplus enhanced with more pre-1907 records
NEWS 18	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 19	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 20	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 21	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 22	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 23	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 24	JAN 29	PHAR reloaded with new search and display fields
NEWS 25	JAN 29	CAS Registry Number crossover limit increased to 300,000 in

multiple databases

NEWS EXPRESS	NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 14:46:33 ON 10 FEB 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:46:48 ON 10 FEB 2007
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 FEB 2007 HIGHEST RN 920338-10-9
DICTIONARY FILE UPDATES: 9 FEB 2007 HIGHEST RN 920338-10-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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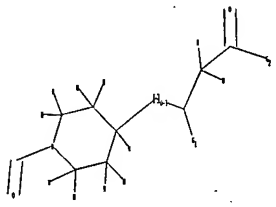
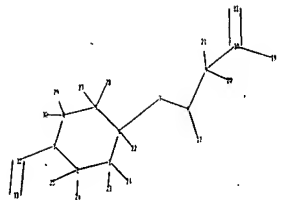
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10782060.str





chain nodes :
7 8 9 10 11 12 13 17 19 20 21 22 23 24 25 26 27 28 29 30

ring nodes :
1 2 3 4 5 6
chain bonds :
1-23 1-24 2-25 2-26 3-12 4-29 4-30 5-27 5-28 6-7 6-22 7-8 8-9
8-17 9-10 9-20 9-21 10-11 10-19 12-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 3-12 4-5 5-6 8-17 10-11 10-19 12-13
exact bonds :

```
1-23  1-24  2-25  2-26  4-29  4-30  5-27  5-28  6-7  6-22  7-8  8-9  9-10
9-20  9-21
isolated ring systems :
containing 1 :


G1:Cy,Ak

G2:O,N,OH,NH2

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS
10:CLASS  11:CLASS  12:CLASS  13:CLASS  17:CLASS  19:CLASS  20:CLASS
21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS  27:CLASS
28:CLASS  29:CLASS  30:CLASS



L1      STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 14:47:12 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1395 TO ITERATE

100.0% PROCESSED     1395 ITERATIONS                                 9 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        25660 TO    30140
PROJECTED ANSWERS:               9 TO      360

L2             9 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 14:47:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    27270 TO ITERATE

100.0% PROCESSED    27270 ITERATIONS                               239 ANSWERS
SEARCH TIME: 00.00.01

L3           239 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             172.10     172.31

FILE 'CAPLUS' ENTERED AT 14:47:28 ON 10 FEB 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 10 Feb 2007 VOL 146 ISS 8
FILE LAST UPDATED: 9 Feb 2007 (20070209/ED)

=> s l3
L4 22 L3

=> d l4 ibib hitstr abs 1-22

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:33775 CAPLUS
TITLE: Preparation of piperidinecarboxylates as G protein-coupled receptor (GPR119) agonists.
INVENTOR(S): Bradley, Stuart Edward; Fyfe, Matthew Colin Thor; Bertram, Lisa Sarah; Gattrell, William; Jeevaratnam, Revathy Perpetua; Keily, John; Procter, Martin James; Rasamison, Chrystelle Marie; Rushworth, Philip John; Sambrook-Smith, Colin Peter; Stonehouse, David French; Swain, Simon Andrew; Williams, Geoffrey Martyn
PATENT ASSIGNEE(S): Prosidion Limited, UK
SOURCE: PCT Int. Appl., 85pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007003962	A2	20070111	WO 2006-GB50178	20060629

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

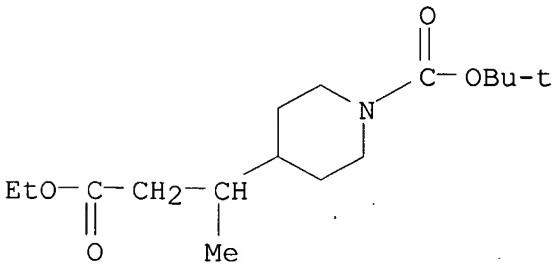
PRIORITY APPLN. INFO.: GB 2005-13277 A 20050630

GB 2006-5946 A 20060327

IT 203662-40-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperidinecarboxylates as G protein-coupled receptor (GPR119) agonists)

RN 203662-40-2 CAPLUS

CN 4-Piperidinepropanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-methyl-, ethyl ester (9CI) (CA INDEX NAME)



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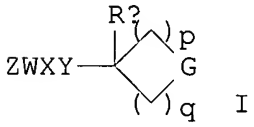


AB Title compds. [I; Z = (substituted) Ph, 5-6 membered heteroaryl; W, Y = bond, (substituted) alkylene, alkenylene; X = CH2, O, S, CH(OH), halomethyl, CF2, CO, CO2, COS, NR5, SO, SO2, etc.; G = CHR3, NCO2R4, NCONR4R5, (substituted) N-heterocyclyl, N-heteroaryl, etc.; Rx = H, OH; R3 = alkyl; R4 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, etc.; R5 = H, alkyl; p = 0-3; q = 1-5; p+q = 2-5], were

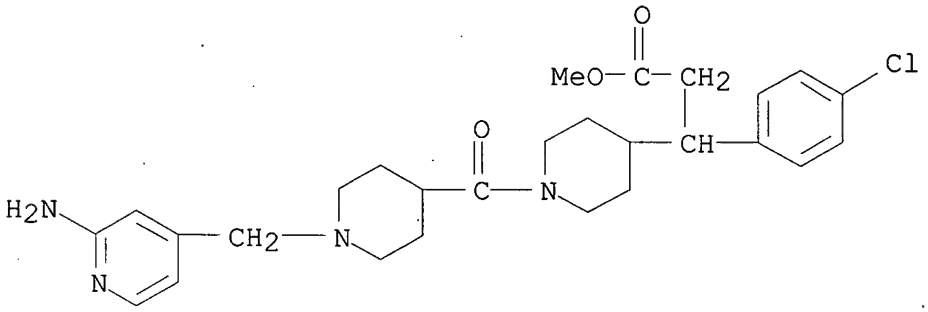
prepared Thus, (4-methoxycarbonylbenzyl)triphenylphosphonium bromide in dimethoxyethane was treated portionwise with NaH; tert-Bu 4-(3-oxopropyl)piperidine-1-carboxylate in dimethoxyethane was added followed by stirring for 20 h at room temperature to give tert-Bu 4-[(E)-4-(4-methoxycarbonylphenyl)but-3-enyl]piperidine-1-carboxylate. I in a cell line expressing recombinant human GPR119 generally increased intracellular cAMP levels with EC50's of <10 μM.

L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:13717 CAPLUS
DOCUMENT NUMBER: 146:121829
TITLE: Carbon-linked substituted piperidines and derivatives thereof useful as histamine H3 antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Aslanian, Robert G.; Berlin, Michael Y.; Huang, Ying; McCormick, Kevin D.; Mutahi, Mwangi W.; Shih, Neng-Yang; Ting, Pauline C.; Tom, Wing C.; Zheng, Junying
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 88pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

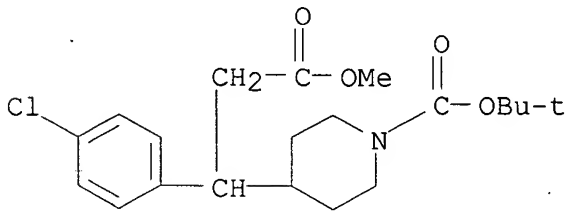
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002057	A1	20070104	WO 2006-US23937	20060619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2007010513	A1	20070111	US 2006-455873	20060619
PRIORITY APPLN. INFO.:			US 2005-692175P	P 20050620

IT 918500-82-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of carbon-linked substituted piperidines and
derivs. thereof useful as histamine H3 antagonists)
RN 918500-82-0 CAPLUS
CN 4-Piperidinepropanoic acid, 1-[[1-[(2-amino-4-pyridinyl)methyl]-4-piperidinyl]carbonyl]-β-(4-chlorophenyl)-, methyl ester (CA INDEX NAME)



IT 918501-93-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of carbon-linked substituted piperidines and
derivs. thereof useful as histamine H3 antagonists)
RN 918501-93-6 CAPLUS
CN 4-Piperidinepropanoic acid, β-(4-chlorophenyl)-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (CA INDEX NAME)



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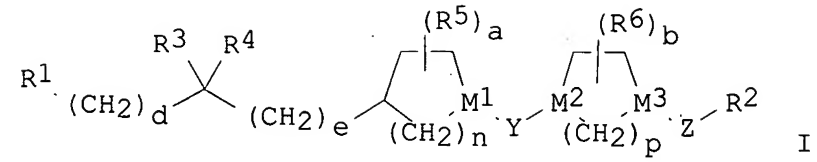

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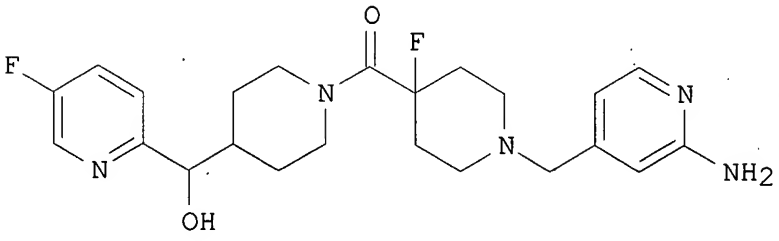
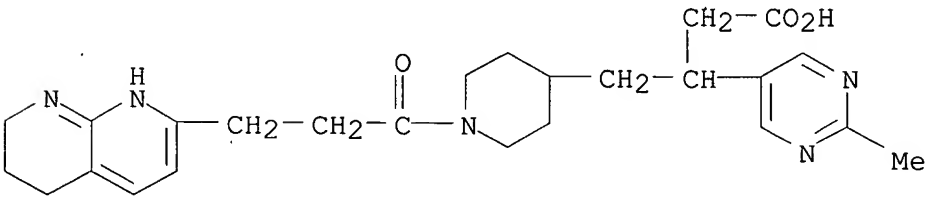


II

AB Disclosed are compds. of the formula I; or a pharmaceutically acceptable salt thereof, compns. and methods of treating allergy-induced airway responses, congestion, obesity, metabolic syndrome nonalcoholic fatty liver disease, hepatic steatosis, nonalcoholic steatohepatitis, cirrhosis, hepatacellular carcinoma or cognition deficit disorders using said compds., alone or in combination with other agents. Compds. of formula I wherein M1 and M3 are independently CH or N; M2 is CH, CF or N; Y is CO, CS, C1-5 alkyl, C(=NOH) and derivs., and SO1-2; Z is a bond, (un)substituted alkylene, and (un)substituted alkenylene, etc.; R1 is H, alkyl, alkenyl, (un)substituted (hetero)cycloalkyl, (hetero)aryl, etc.; R2 is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted (hetero)aryl, and (un)substituted (hetero)cycloalkyl, etc.; R3 and R4 are independently H, halo, alkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, etc,; R5 and R6 are independently halo, alkyl, OH, alkoxy, haloalkyl, CN; etc.; a and b are independently 0, 1 and 2; d and e are independently 0 and 1; n and p are independently 1, 2 and 3; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by addition of 2-bromo-5-fluoropyridine to N-Boc-piperidin-4-one; the resulting 1-Boc-4-[(5-fluoropyridin-2-yl)hydroxymethyl]piperidine underwent hydrolysis to give the free amine, which underwent amidation with 1-[2-(tert-butoxycarbonylamino)pyridinylmethyl]-4-fluoropiperidine-4-carbonyl chloride followed by hydrolysis to give the coupled product,

which underwent hydrolysis to give compound II. All the invention compds.
were evaluated for their histamine H3 antagonistic activity (data given).
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1061760 CAPLUS
DOCUMENT NUMBER: 146:54689
TITLE: Design and Evaluation of a Novel Class-Directed 2D Fingerprint to Search for Structurally Diverse Active
Compounds
AUTHOR(S): Eckert, Hanna; Bajorath, Juergen
CORPORATE SOURCE: Department of Life Science Informatics, B-IT, Rheinische Friedrich-Wilhelms-Universitaet, Bonn, D-53113, Germany
SOURCE: Journal of Chemical Information and Modeling (2006),
46(6), 2515-2526
CODEN: JCISD8; ISSN: 1549-9596
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 669075-56-3
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(design and evaluation of a class-directed 2D fingerprint to search for
structurally diverse active compds.)
RN 669075-56-3 CAPLUS
CN 5-Pyrimidinepropanoic acid, 2-methyl-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA
INDEX NAME)



AB Recent attempts to increase similarity search performance using mol. fingerprints have mostly focused on the evaluation of alternative similarity metrics or scoring schemes, rather than the development of new

types of fingerprints. Here, the authors introduce a novel 2D fingerprint design (property descriptor value range-derived fingerprint or PDR-FP) that involves activity-oriented selection of property descriptors and the transformation of descriptor value ranges into a binary format such that each fingerprint bit position represents a specific value interval. The design is tailored toward multiple-template similarity searching and permits training on specific activity classes. In search calcns. on 15 compound classes of increasing structural diversity, the PDR fingerprint performed better than other state-of-the-art 2D fingerprints. Among the structurally diverse classes were six compound sets with peptide character, which represent a notoriously difficult chemotype for 2D similarity searching. In these cases, PDR-FP produced promising results, whereas other fingerprint methods mostly failed. PDR-FP is specifically designed for search calcns. on structurally diverse compds., and these calcns. are not influenced by mol. size effects, which represent a general problem for similarity searching using bit string representations.

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:164650 CAPLUS
DOCUMENT NUMBER: 144:254006
TITLE: Preparation of piperidine derivatives as melanocortin-4 receptor agonists
INVENTOR(S): Bakshi, Raman K.; Dellureficio, James P.; Nargund, Ravi P.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

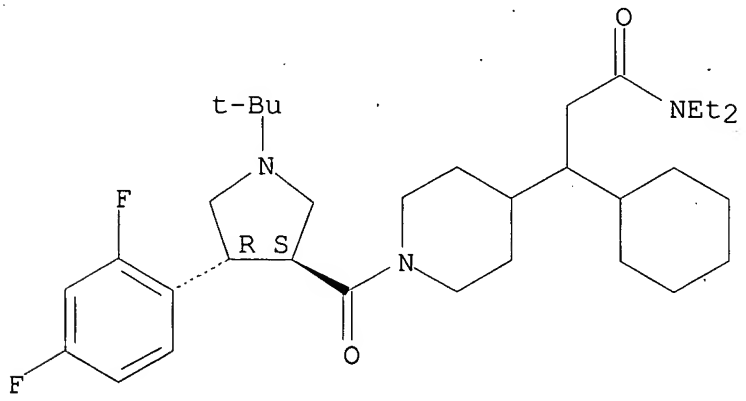
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006020277	A2	20060223	WO 2005-US25505	20050715
WO 2006020277	A3	20060720		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: US 2004-589089P P 20040719

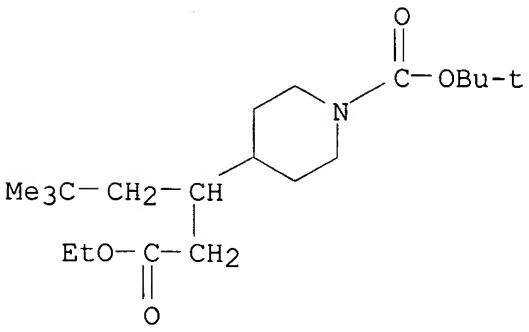
OTHER SOURCE(S): MARPAT 144:254006
IT 876756-71-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidine derivs. as MCR-4 agonists)
RN 876756-71-7 CAPLUS
CN 4-Piperidinepropanamide, β-cyclohexyl-1-[[(3S,4R)-4-(2,4-difluorophenyl)-1-(1,1-dimethylethyl)-3-pyrrolidinyl]carbonyl]-N,N-diethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

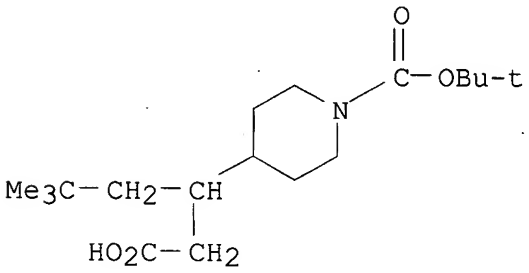


IT 876756-96-6P 876756-97-7P 876756-98-8P
876757-01-6P 876757-11-8P 876757-12-9P
876757-13-0P 876757-14-1P 876757-15-2P
876757-23-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperidine derivs. as MCR-4 agonists)
RN 876756-96-6 CAPLUS
CN 4-Piperidinepropanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-(2,2-

dimethylpropyl)-, ethyl ester (9CI) (CA INDEX NAME)

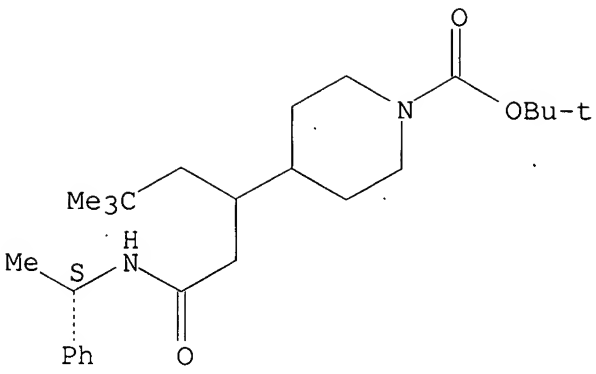


RN 876756-97-7 CAPLUS
CN 4-Piperidinepropanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-(2,2-dimethylpropyl)- (9CI) (CA INDEX NAME)

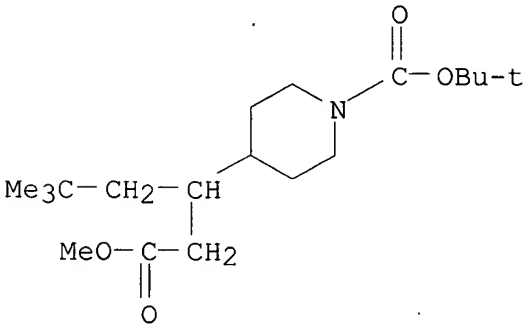


RN 876756-98-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3,3-dimethyl-1-[2-oxo-2-[[(1S)-1-phenylethyl]amino]ethyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

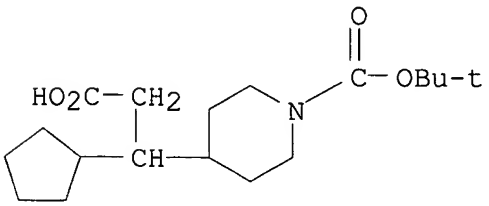
Absolute stereochemistry.



RN 876757-01-6 CAPLUS
CN 4-Piperidinepropanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-(2,2-dimethylpropyl)-, methyl ester (9CI) (CA INDEX NAME)

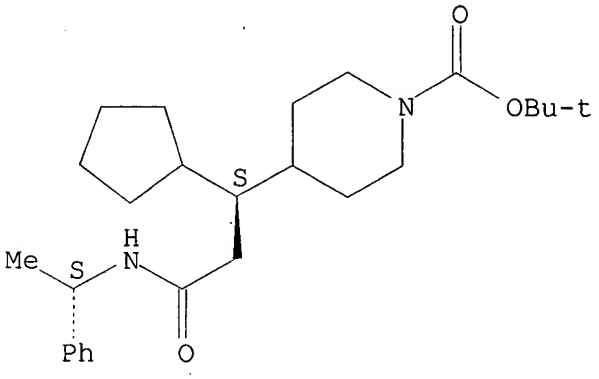


RN 876757-11-8 CAPLUS
CN 4-Piperidinepropanoic acid, β-cyclopentyl-1-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)



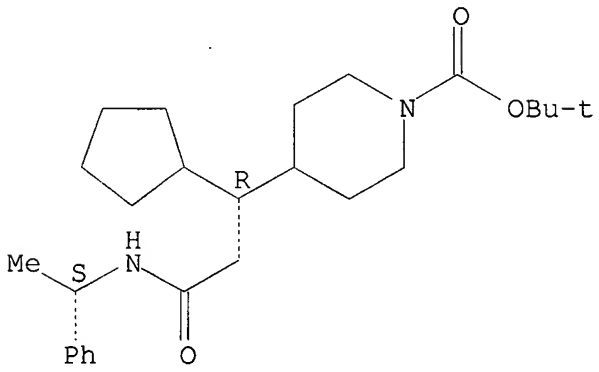
RN 876757-12-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1S)-1-cyclopentyl-3-oxo-3-[[(1S)-1-phenylethyl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



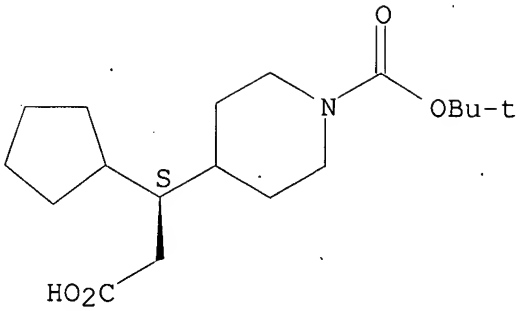
RN 876757-13-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1R)-1-cyclopentyl-3-oxo-3-[[(1S)-1-phenylethyl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



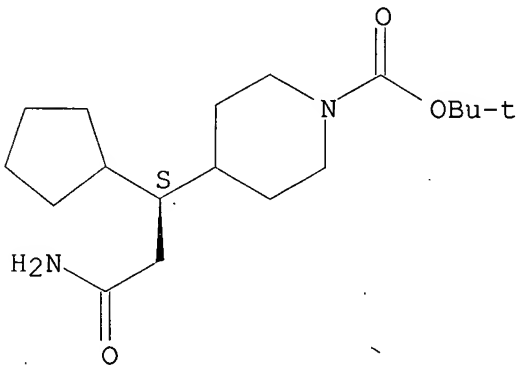
RN 876757-14-1 CAPLUS
CN 4-Piperidinepropanoic acid, β-cyclopentyl-1-[(1,1-dimethylethoxy)carbonyl]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

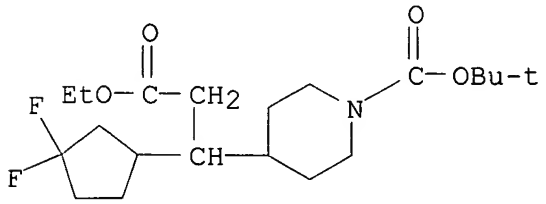


RN 876757-15-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1S)-3-amino-1-cyclopentyl-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

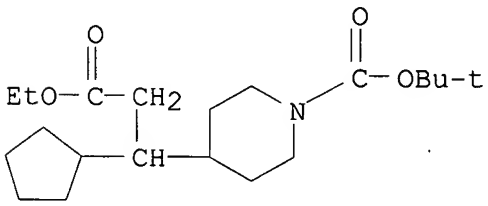


RN 876757-23-2 CAPLUS
CN 4-Piperidinepropanoic acid, β-(3,3-difluorocyclopentyl)-1-[(1,1-dimethylethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 876757-40-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperidine derivs. as MCR-4 agonists)
RN 876757-40-3 CAPLUS

CN 4-Piperidinepropanoic acid, β-cyclopentyl-1-[(1,1-dimethylethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



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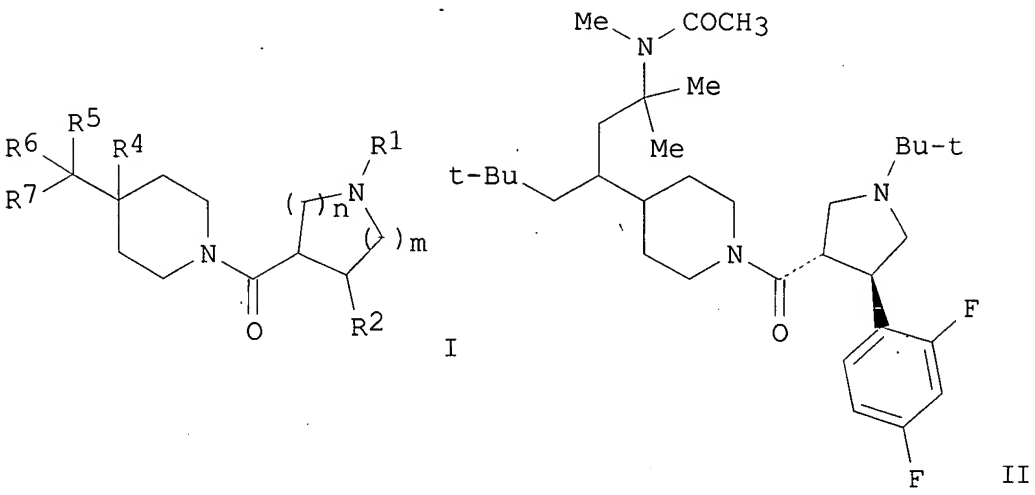


AB The title piperidine derivs. I [wherein m = 0-2; n = 1-2; R1 = H, amidino, alkyl, etc.; R2 = (un)substituted Ph, naphthyl, or heteroaryl; R4 = H, alkyl, alkoxy, etc.; R5 = CF3, alkyl, alkenyl, alkynyl, etc.; R6 = H, alkyl, or alkoxy; R7 = NH2, CN, OH, alkoxy, etc.], or pharmaceutically acceptable salts thereof were prepared as agonists of the human melanocortin-4 receptors (MCR-4). For example, II was prepared in a multi-step synthesis. The title compds. showed IC50 less than 10 μM against MCR-4. Formulations with finely divided lactose as hard gelatin capsule have been described. The compds. are useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MCR-4, such as obesity, diabetes, male or female sexual dysfunction (no data).

L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN

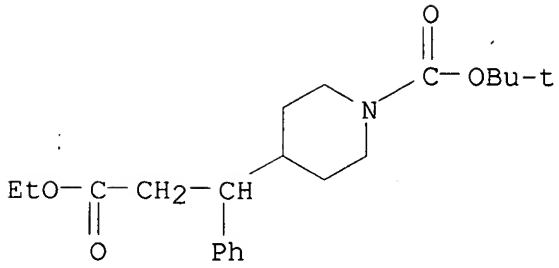
ACCESSION NUMBER: 2005:1171558 CAPLUS
DOCUMENT NUMBER: 143:440441
TITLE: Preparation of piperidine derivatives as modulators of chemokine receptor CCR5
INVENTOR(S): Faull, Alan; Tucker, Howard
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005101989	A2	20051103	WO 2005-SE574	20050420
WO 2005101989	A3	20060427		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005235169	A1	20051103	AU 2005-235169	20050420
CA 2562417	A1	20051103	CA 2005-2562417	20050420
EP 1742934	A2	20070117	EP 2005-734934	20050420
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				

PRIORITY APPLN. INFO.:

SE 2004-1057	A	20040423
SE 2005-57	A	20050110
WO 2005-SE574	W	20050420

OTHER SOURCE(S): CASREACT 143:440441; MARPAT 143:440441
IT 897037-75-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of piperidine derivs. as modulators of chemokine receptor CCR5)
RN 897037-75-1 CAPLUS
CN 4-Piperidinepropanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



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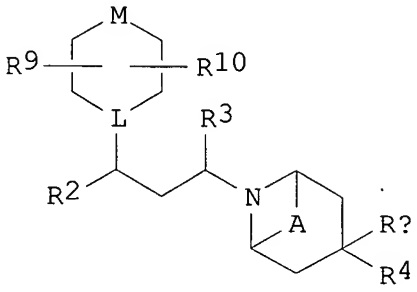

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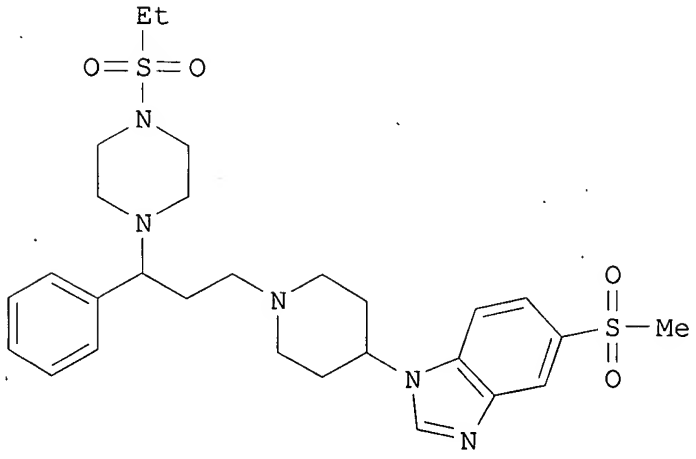


II

AB Title compds. represented by the formula I [wherein A = absent or (CH2)2;
L = CH or N; M = (un)substituted amino, O, SOn; n = 0-2; R2 = (un)substituted Ph or (halo)thienyl; R3 = H or Me; Rb = H or alkyl; R4 =
(un)substituted heterocycle; R9, R10 = independently H or alkyl; and pharmaceutically acceptable salts thereof] were prepared as modulators of

chemokine receptor CCR5. For example, II was provided in a multi-step synthesis starting from the reaction of 5-(methylsulfonyl)-1-piperidin-4-yl-1H-benzimidazole with 3-chloropropiophenone. II inhibited binding of MIP-1α to recombinant human CCR5 receptors expressed in membranes prepared from Chinese hamster ovary cells with a Pic50 of 6.0. Thus, I and their pharmaceutical compns. are useful for the treatment of CCR5-mediated diseases (no data).

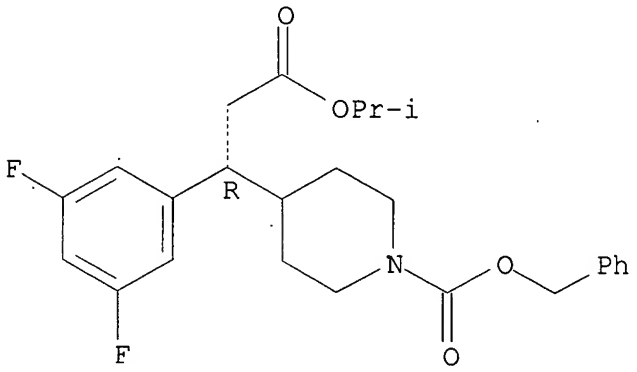
L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:99468 CAPLUS
DOCUMENT NUMBER: 142:197888
TITLE: Preparation of piperidine derivatives as chemokine receptor modulators
INVENTOR(S): Brown, Dearg; Oldfield, John; Tucker, Howard
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005009959	A1	20050203	WO 2004-SE1149		20040726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1654229	A1	20060510	EP 2004-749185		20040726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
JP 2007500694	T	20070118	JP 2006-521803		20040726
PRIORITY APPLN. INFO.:			SE 2003-2155	A	20030731
			SE 2004-1420	A	20040603
			WO 2004-SE1149	W	20040726

OTHER SOURCE(S): CASREACT 142:197888; MARPAT 142:197888
IT 718610-71-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of piperidine derivs. as chemokine receptor CCR5 modulators)
RN 718610-71-0 CAPLUS
CN 4-Piperidinepropanoic acid, β-(3,5-difluorophenyl)-1-[(phenylmethoxy)carbonyl]-, 1-methylethyl ester, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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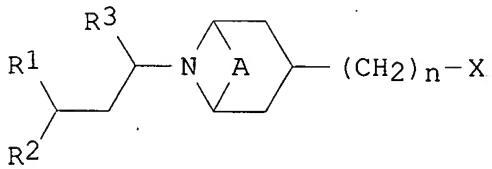

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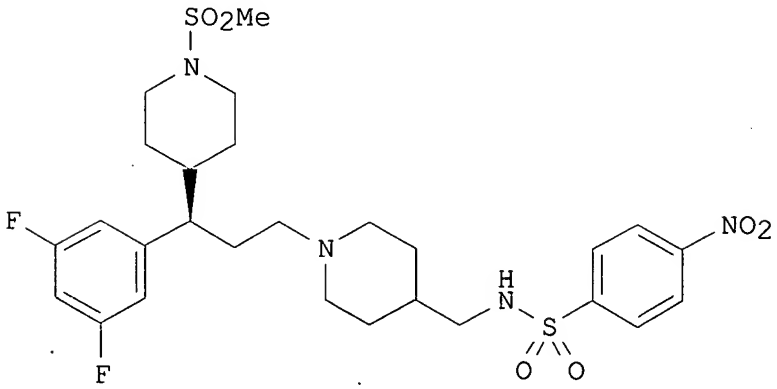


II

AB Title compds. represented by the formula I [wherein A = absent or (CH2)2;
R1 = (un)substituted carbonylamino, carbonylalkoxy, (hetero)aryl, etc.; R2
= Ph, heteroaryl or cycloalkyl; R3 = H or alkyl; X = SO2NR4R5 or NR6SO2R7;
R7 = (hetero)aryl, (cyclo)alkyl, heterocyclyl or NR8R9; R4, R8 = (hetero)aryl, (cyclo)alkyl or heterocyclyl; R5, R6, R9 = independently H
or alkyl; or R8R9 = (hetero)cyclic ring; n = 1-3; and pharmaceutically acceptable salts or solvates thereof] were prepared as modulators of chemokine receptor. For example, II was given in a multi-step synthesis
starting from the reaction of N-benzyloxycarbonyl-4-formylpiperidine with
malonic acid. II showed inhibition of human CCR5 receptor with a Pic50 value of 8.1. Thus, I and their pharmaceutical compns. are useful for the
treatment of CCR5 mediated diseases (no data).
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:964831 CAPLUS
DOCUMENT NUMBER: 141:410944
TITLE: Preparation of piperidinyl targeting compounds that selectively bind integrins
INVENTOR(S): De Corte. Bart; Kinney, William A.; Maryanoff, Bruce
E.; Ghosh, Shyamali; Liu, Li
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 160 pp., Cont.-in-part of U.S.
Ser. No. 641,964.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004224986	A1	20041111	US 2004-782060	20040218
US 2004077684	A1	20040422	US 2003-641964	20030815
AU 2004316476	A1	20050909	AU 2004-316476	20040329
CA 2556768	A1	20050909	CA 2004-2556768	20040329
WO 2005082889	A1	20050909	WO 2004-US9465	20040329

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1718635 A1 20061108 EP 2004-749482 20040329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

NO 2006004212 A 20061115 NO 2006-4212 20060918

PRIORITY APPLN. INFO.: US 2002-404239P P 20020816

US 2003-641964 A2 20030815

US 2004-782060 A 20040218

WO 2004-US9465 W 20040329

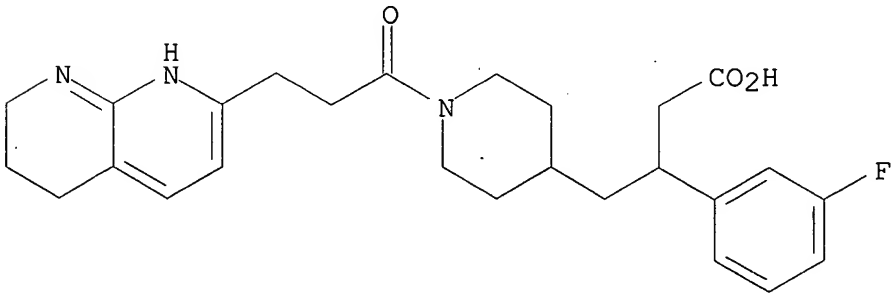
OTHER SOURCE(S): MARPAT 141:410944

IT 669076-68-0P 669076-69-1P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(preparation of piperidinealkanoic acids as cell targeting compds. with
selective affinity to αvβ3, αvβ5, or
αvβ6 integrin receptors for use with imaging agents or liposomes)

RN 669076-68-0 CAPLUS

CN 4-Piperidinebutanoic acid, β-(3-fluorophenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

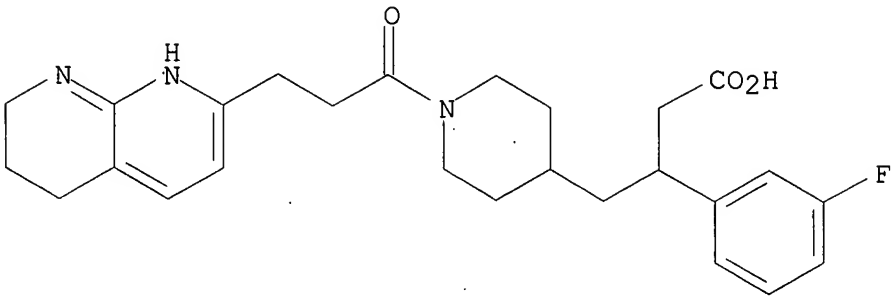


RN 669076-69-1 CAPLUS

CN 4-Piperidinebutanoic acid, β-(3-fluorophenyl)-1-[1-oxo-3-(1,5,6,7-

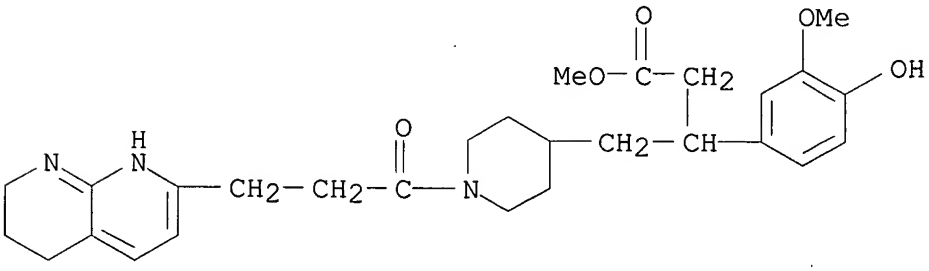
tetrahydro-1,8-naphthyridin-2-yl)propyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



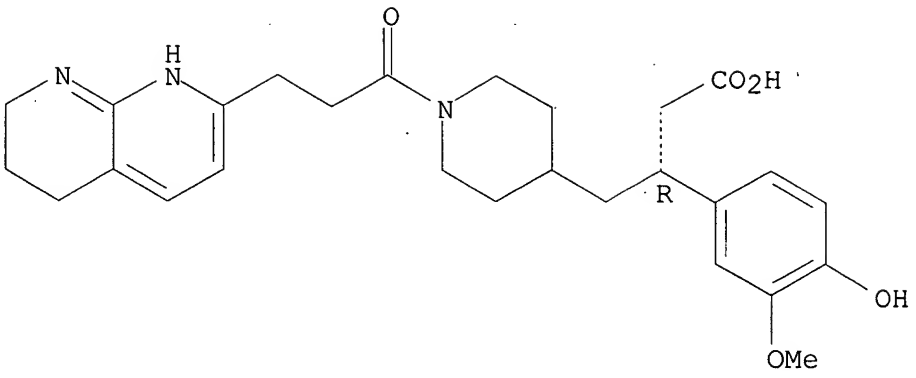
IT 669076-37-3P 791821-34-6P 791821-35-7P
791821-41-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidinealkanoic acids as cell targeting compds. with
selective affinity to αvβ3, αvβ5, or
αvβ6 integrin receptors for use with imaging agents or liposomes)

RN 669076-37-3 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-hydroxy-3-methoxyphenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)



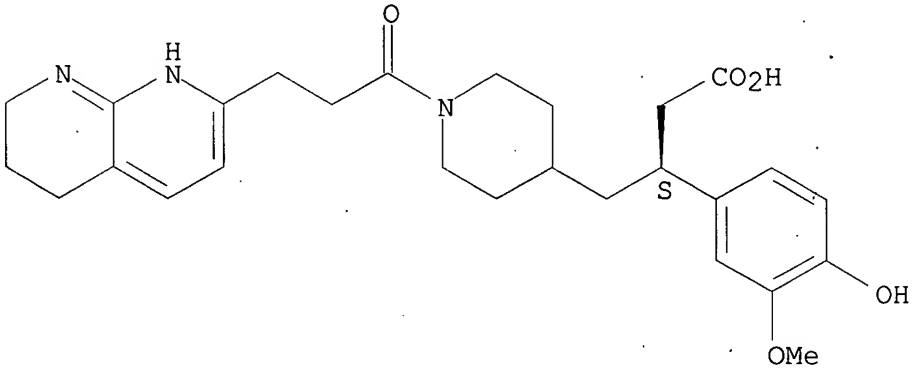
RN 791821-34-6 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-hydroxy-3-methoxyphenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



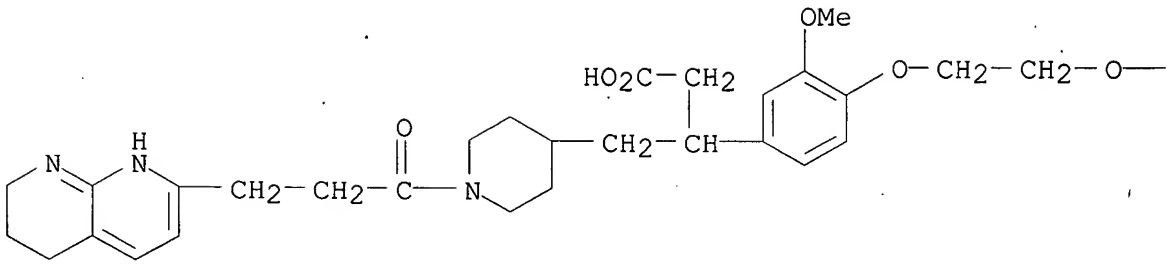
RN 791821-35-7 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-hydroxy-3-methoxyphenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

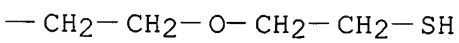


RN 791821-41-5 CAPLUS
CN 4-Piperidinebutanoic acid, β-[4-[2-[2-(2-mercaptoethoxy)ethoxy]ethoxy]-3-methoxyphenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

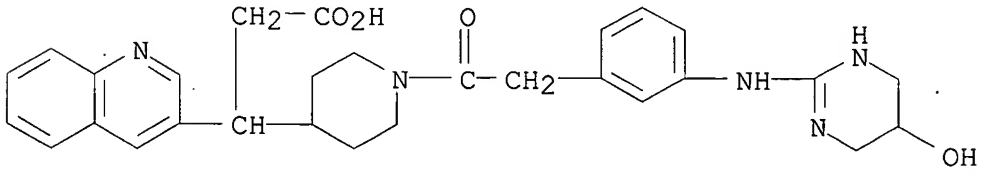


IT 669074-97-9P 669075-00-7P 669075-01-8P
669075-02-9P 669075-03-0P 669075-04-1P
669075-10-9P 669075-11-0P 669075-12-1P
669075-17-6P 669075-19-8P 669075-21-2P
669075-22-3P 669075-24-5P 669075-27-8P
669075-28-9P 669075-29-0P 669075-30-3P
669075-31-4P 669075-38-1P 669075-39-2P
669075-41-6P 669075-48-3P 669075-49-4P
669075-50-7P 669075-51-8P 669075-52-9P
669075-53-0P 669075-54-1P 669075-55-2P
669075-56-3P 669075-57-4P 669075-58-5P
669075-59-6P 669075-60-9P 669075-61-0P
669075-62-1P 669075-63-2P 669075-64-3P
669075-66-5P 669075-67-6P 669075-68-7P
669075-69-8P 669075-71-2P 669075-80-3P
669075-81-4P 669075-83-6P 669075-84-7P
669075-86-9P 669075-93-8P 669076-05-5P
669076-06-6P 669076-08-8P 669076-38-4P
669076-45-3P 669076-84-0P 669076-86-2P
669076-87-3P 791820-70-7P 791820-74-1P
791820-75-2P 791820-80-9P 791820-81-0P
791820-82-1P 791820-83-2P 791820-84-3P
791820-93-4P 791820-94-5P 791820-95-6P
791820-96-7P 791821-24-4P 791821-38-0P
791821-43-7P 791821-44-8P 791821-45-9P
792931-34-1P 792931-35-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinealkanoic acids as cell targeting compds. with
selective affinity to αvβ3, αvβ5, or

αvβ6 integrin receptors for use with imaging agents or liposomes)

RN 669074-97-9 CAPLUS

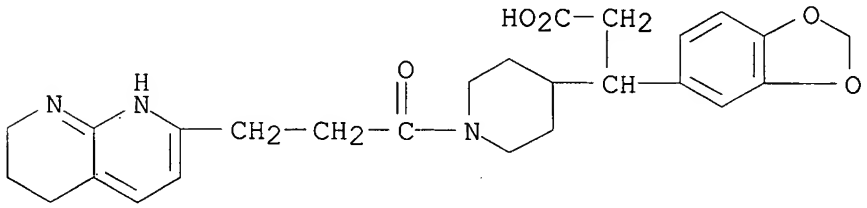
CN 3-Quinolinepropanoic acid, β-[1-[[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]phenyl]acetyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

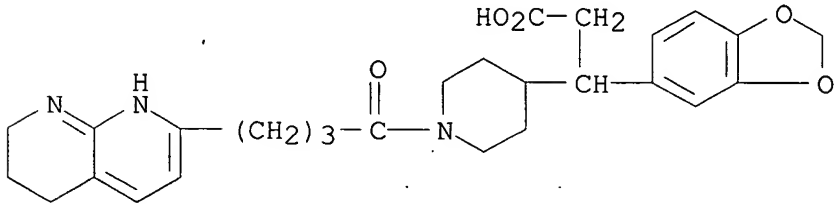
RN 669075-00-7 CAPLUS

CN 4-Piperidinepropanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



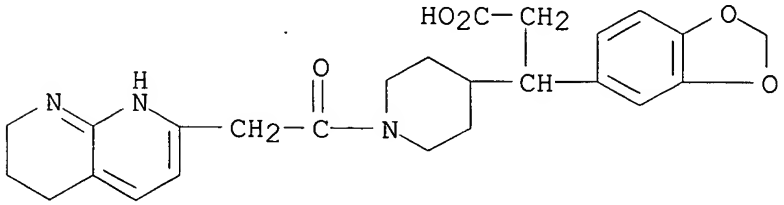
RN 669075-01-8 CAPLUS

CN 4-Piperidinepropanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)

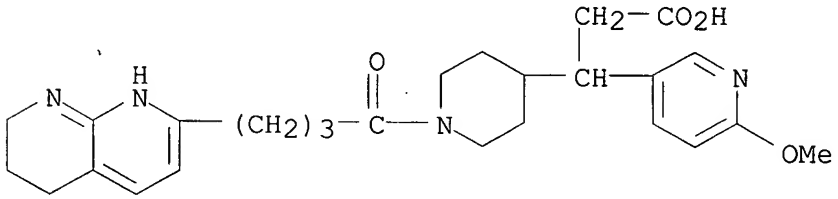


RN 669075-02-9 CAPLUS

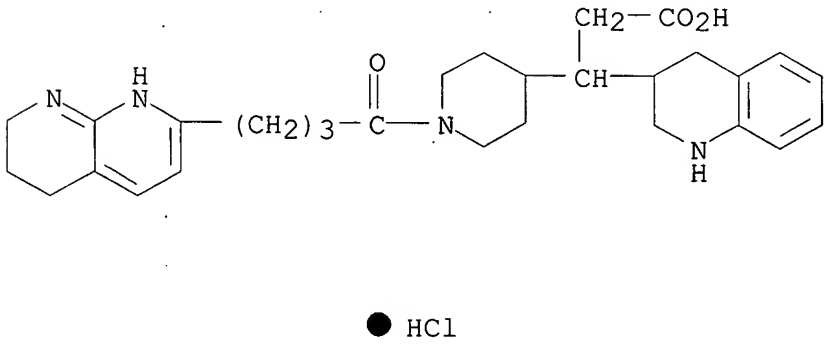
CN 4-Piperidinepropanoic acid, β-1,3-benzodioxol-5-yl-1-[(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)acetyl]- (9CI) (CA INDEX NAME)



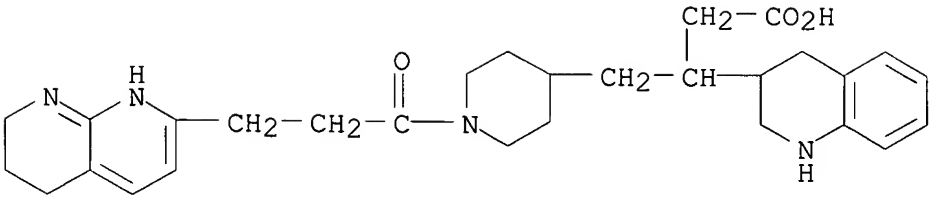
RN 669075-03-0 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



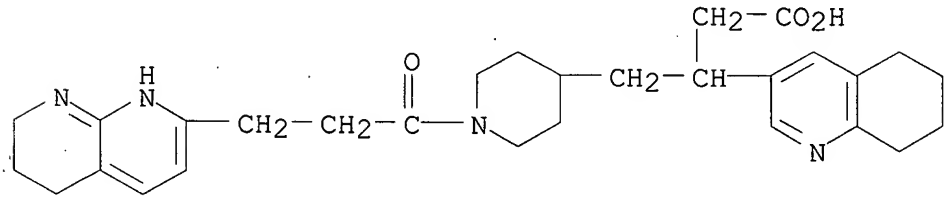
RN 669075-04-1 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, monohydrochloride
(9CI) (CA INDEX NAME)



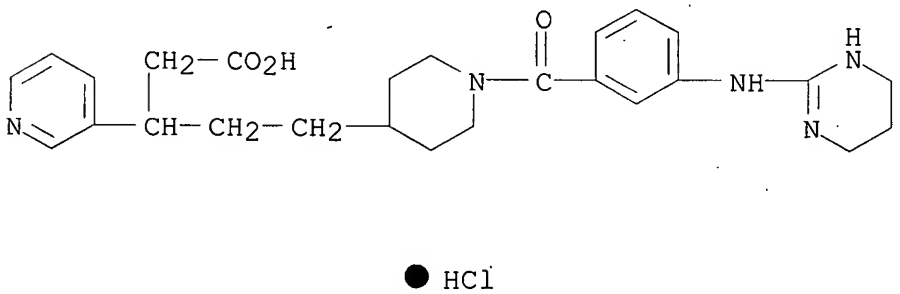
RN 669075-10-9 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA
INDEX NAME)



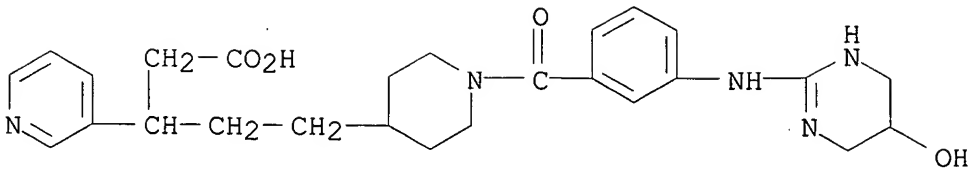
RN 669075-11-0 CAPLUS
CN 3-Quinolinepropanoic acid, 5,6,7,8-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



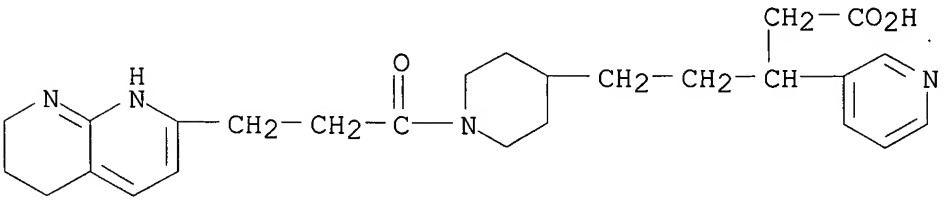
RN 669075-12-1 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 669075-17-6 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

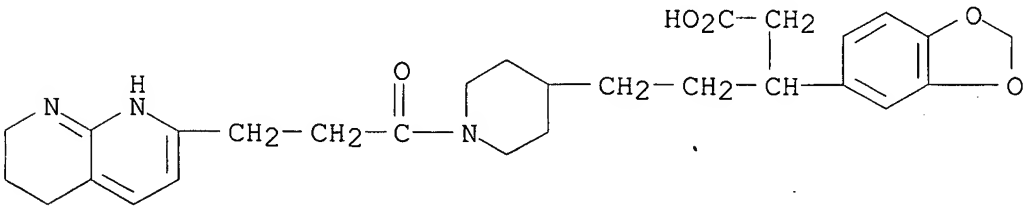


RN 669075-19-8 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI)
(CA INDEX NAME)

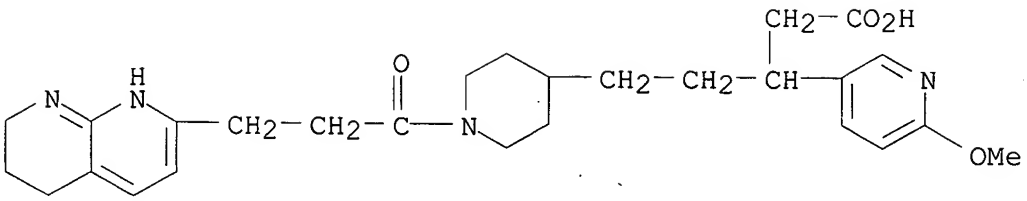


● HCl

RN 669075-21-2 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



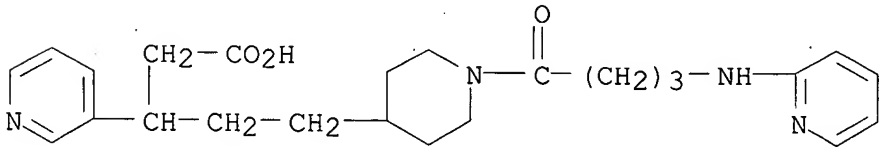
RN 669075-22-3 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethyl]- (9CI) (CA
INDEX NAME)



RN 669075-24-5 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[1-oxo-4-(2-pyridinylamino)butyl]-4-piperidinyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

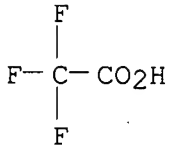
CM 1

CRN 669075-23-4
CMF C24 H32 N4 O3

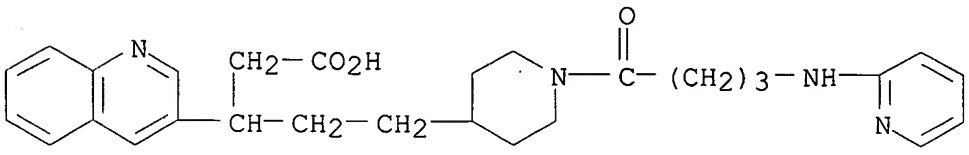


CM 2

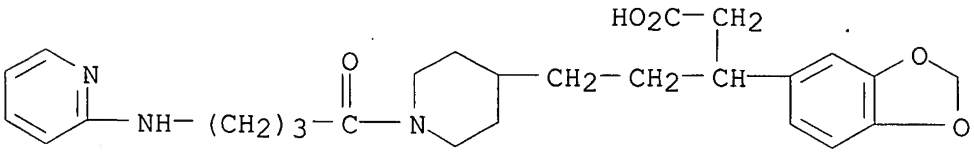
CRN 76-05-1
CMF C2 H F3 O2



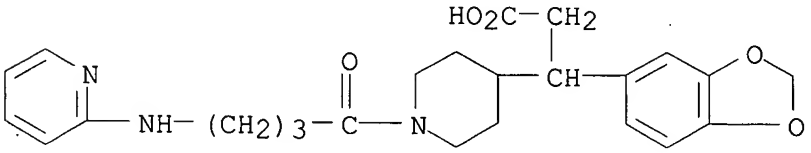
RN 669075-27-8 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[1-oxo-4-(2-pyridinylamino)butyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



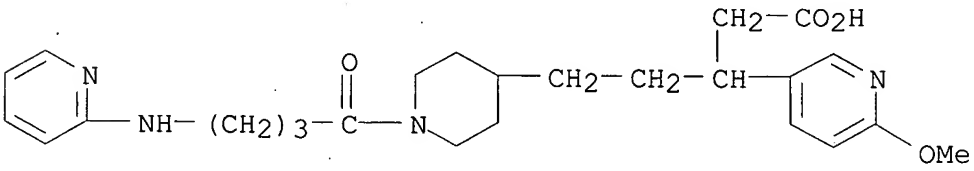
RN 669075-28-9 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-4-(2-pyridinylamino)butyl]- (9CI) (CA INDEX NAME)



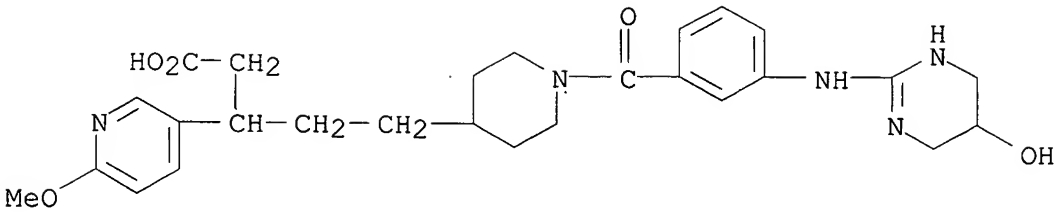
RN 669075-29-0 CAPLUS
CN 4-Piperidinepropanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-4-(2-pyridinylamino)butyl]- (9CI) (CA INDEX NAME)



RN 669075-30-3 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[1-oxo-4-(2-pyridinylamino)butyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



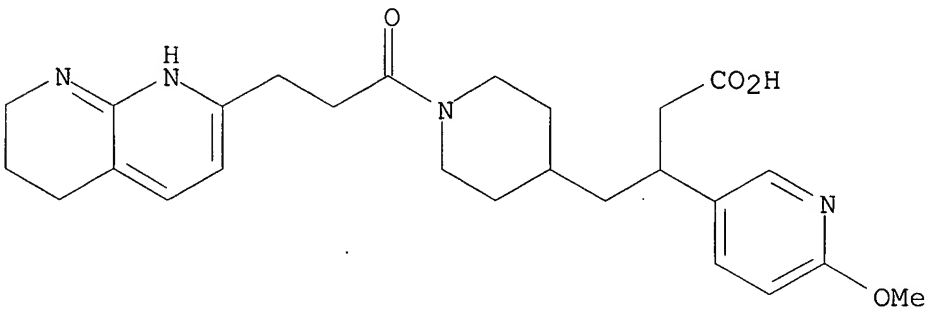
RN 669075-31-4 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

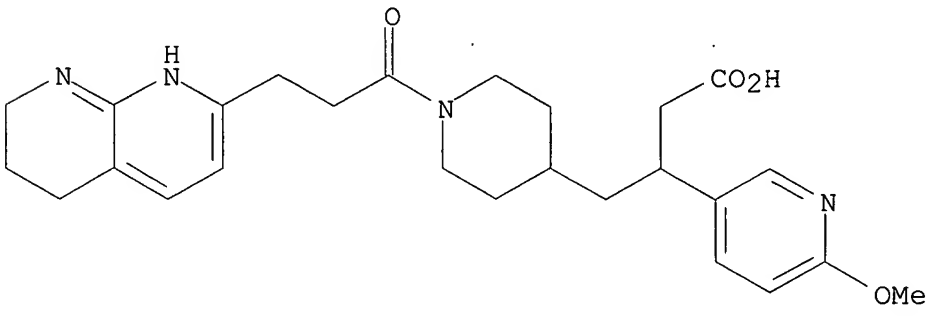
RN 669075-38-1 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 669075-39-2 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, (-)- (9CI) (CA INDEX NAME)

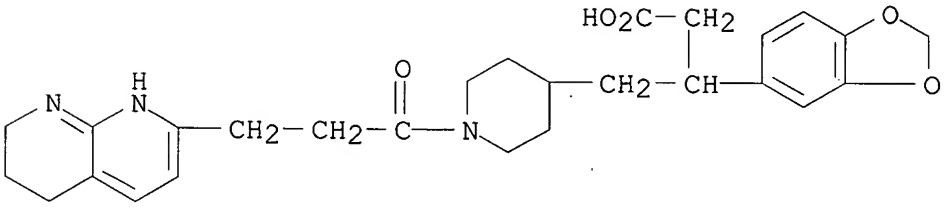
Rotation (-).



RN 669075-41-6 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

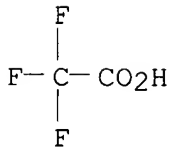
CM 1

CRN 669075-40-5
CMF C27 H33 N3 O5

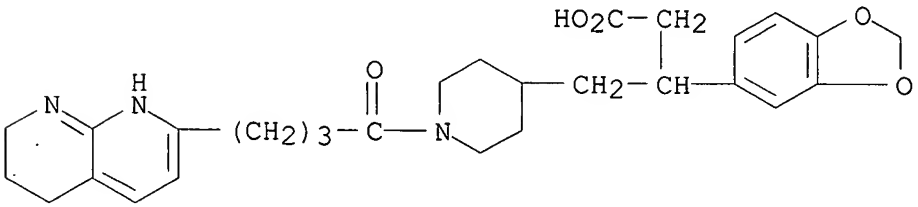


CM 2

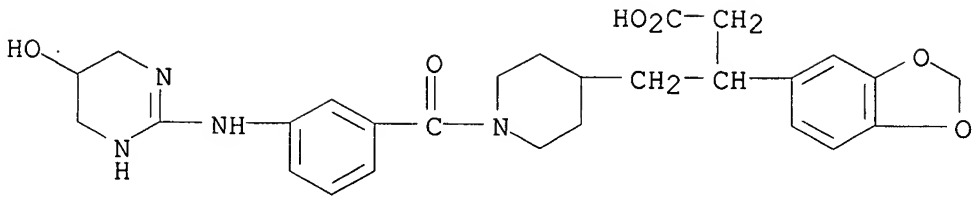
CRN 76-05-1
CMF C2 H F3 O2



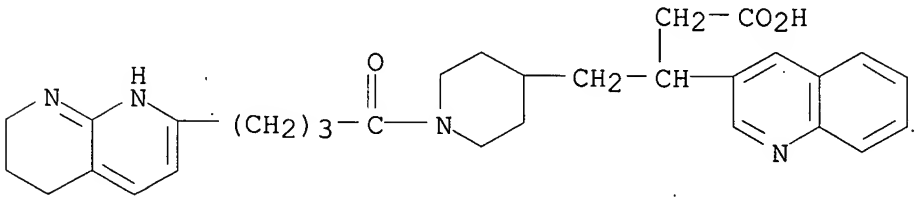
RN 669075-48-3 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)



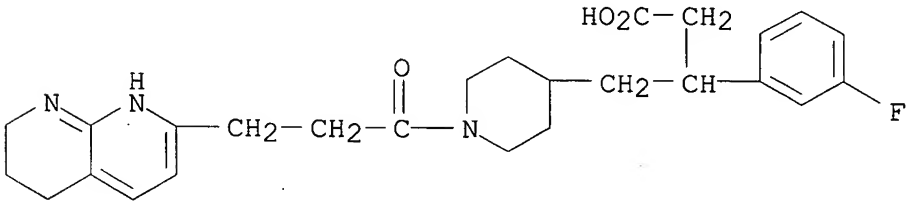
RN 669075-49-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



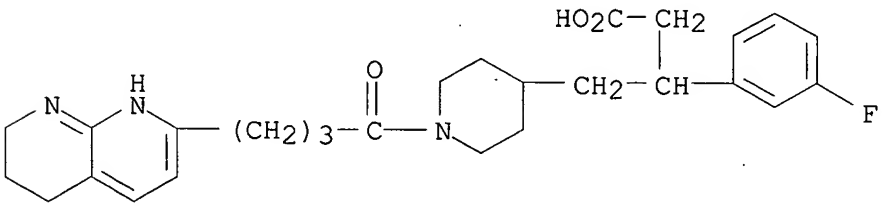
RN 669075-50-7 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 669075-51-8 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3-fluorophenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

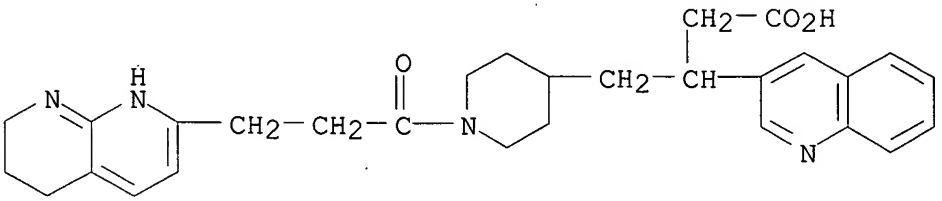


RN 669075-52-9 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3-fluorophenyl)-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)

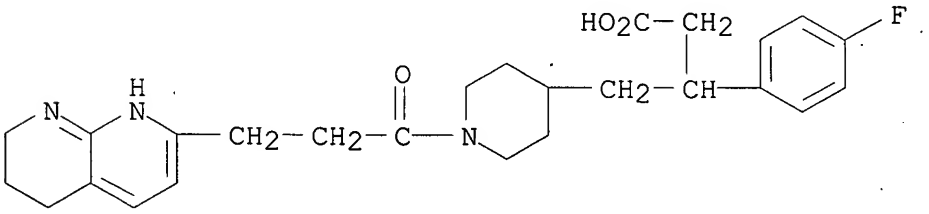


RN 669075-53-0 CAPLUS

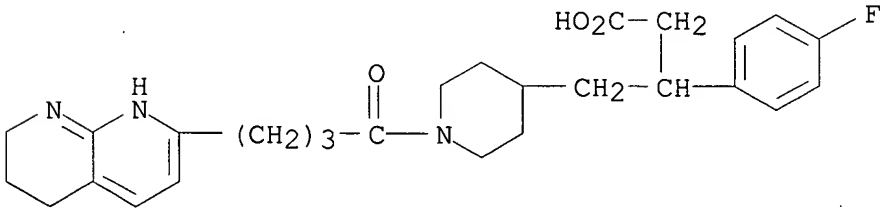
CN 3-Quinolinepropanoic acid, β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



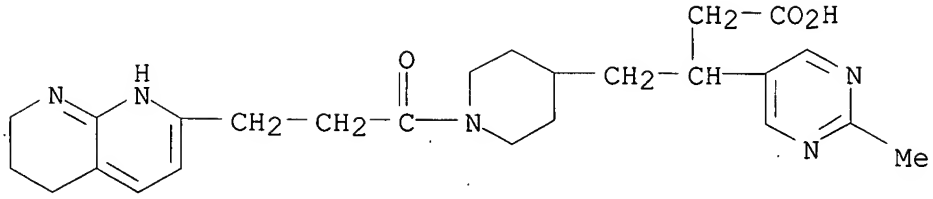
RN 669075-54-1 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-fluorophenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



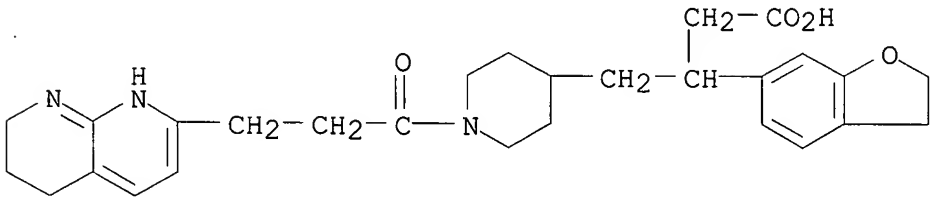
RN 669075-55-2 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-fluorophenyl)-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)



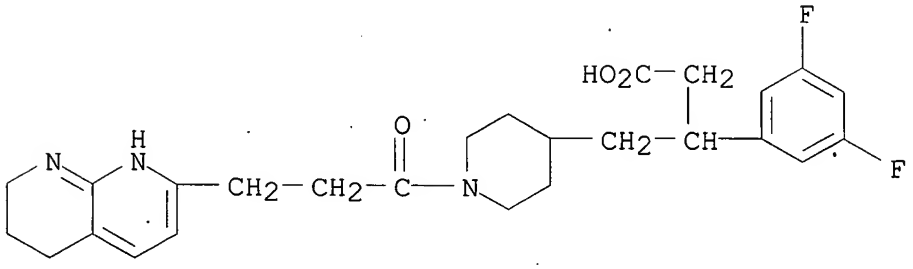
RN 669075-56-3 CAPLUS
CN 5-Pyrimidinepropanoic acid, 2-methyl-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



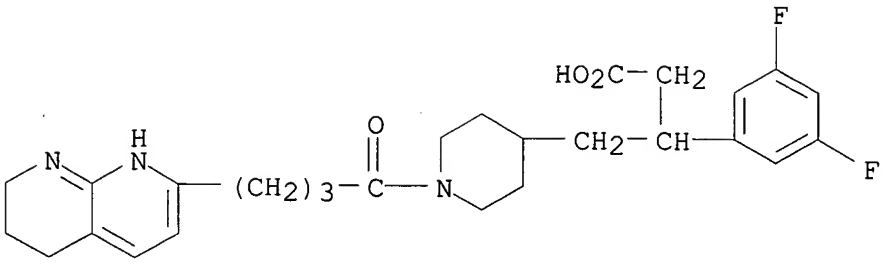
RN 669075-57-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



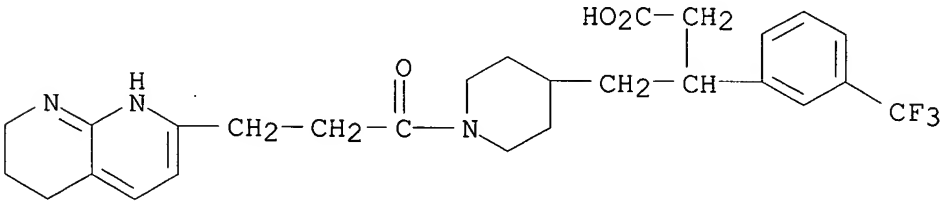
RN 669075-58-5 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3,5-difluorophenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



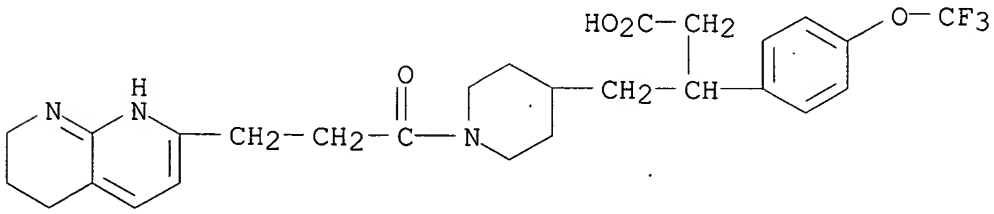
RN 669075-59-6 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3,5-difluorophenyl)-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)



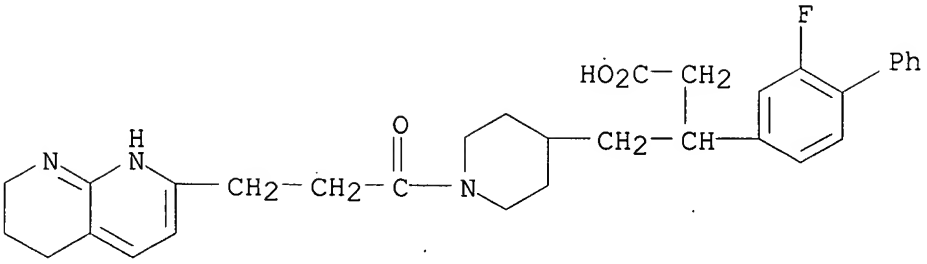
RN 669075-60-9 CAPLUS
CN 4-Piperidinebutanoic acid,
1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-β-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



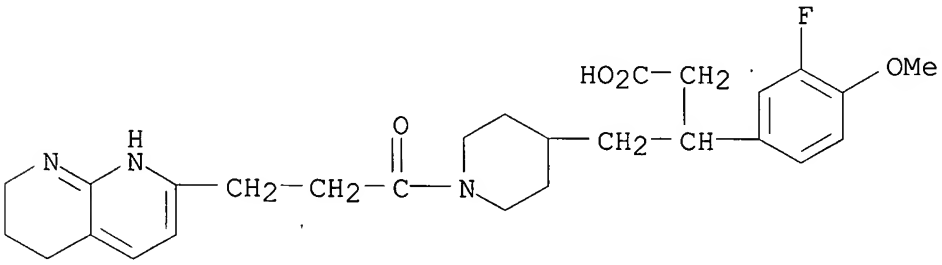
RN 669075-61-0 CAPLUS
CN 4-Piperidinebutanoic acid,
1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-β-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



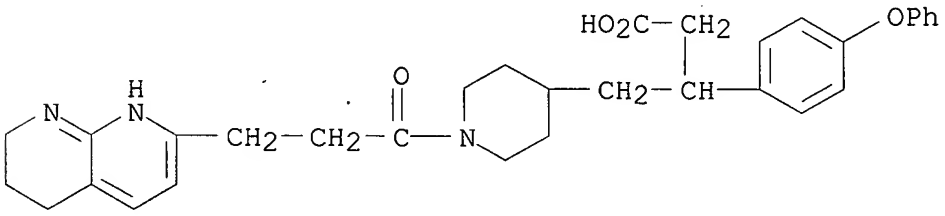
RN 669075-62-1 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2-fluoro[1,1'-biphenyl]-4-yl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



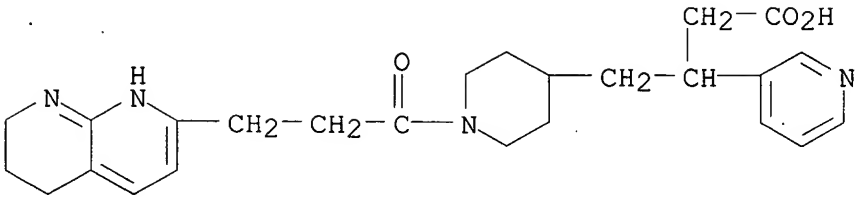
RN 669075-63-2 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3-fluoro-4-methoxyphenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



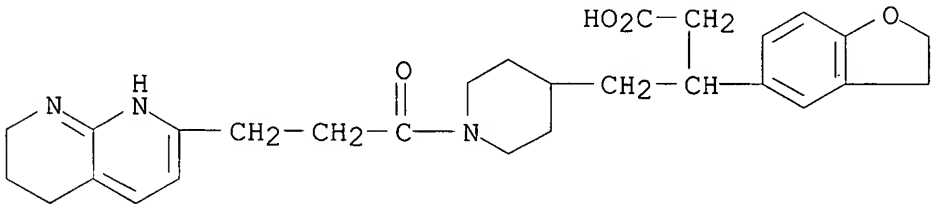
RN 669075-64-3 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-β-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



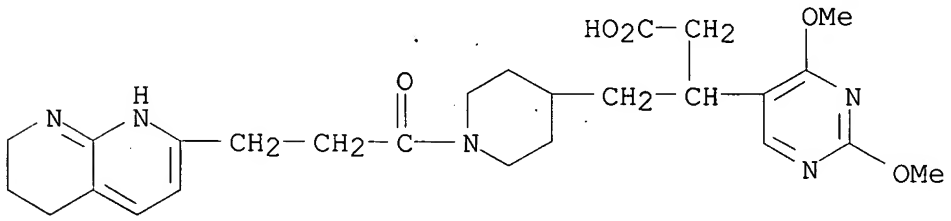
RN 669075-66-5 CAPLUS
CN 3-Pyridinepropanoic acid, β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



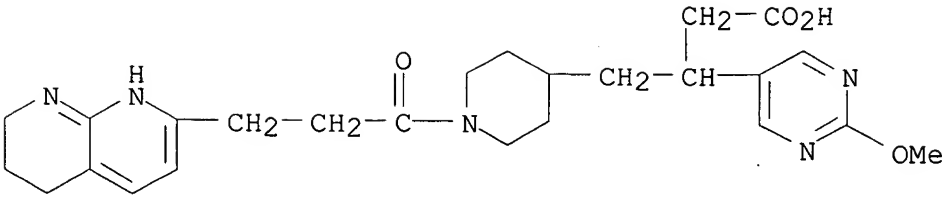
RN 669075-67-6 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-5-benzofuranyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



RN 669075-68-7 CAPLUS
CN 5-Pyrimidinepropanoic acid, 2,4-dimethoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA
INDEX NAME)



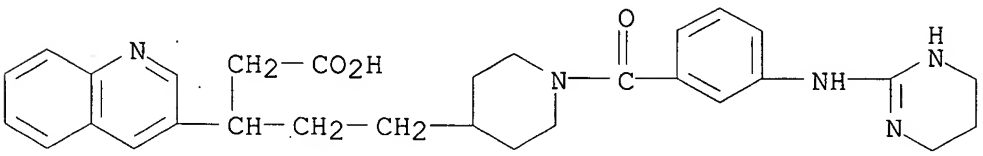
RN 669075-69-8 CAPLUS
CN 5-Pyrimidinepropanoic acid, 2-methoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA
INDEX NAME)



RN 669075-71-2 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

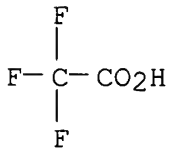
CM 1

CRN 669075-70-1
CMF C30 H35 N5 O3

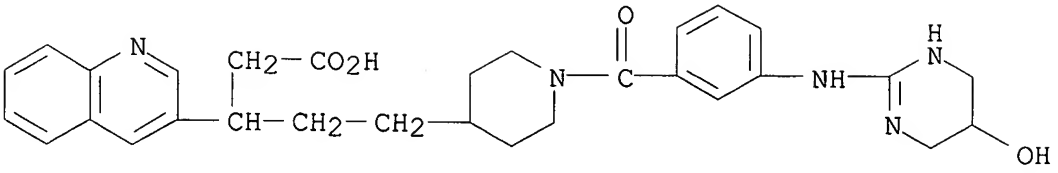


CM 2

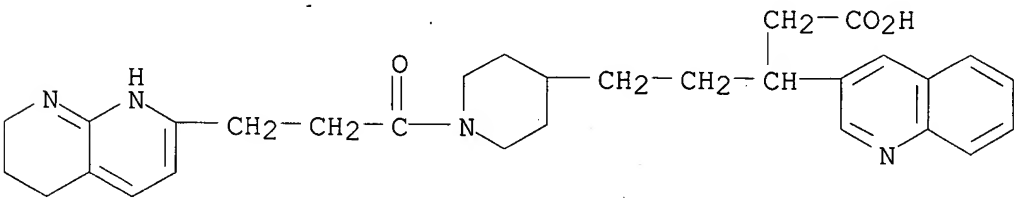
CRN 76-05-1
CMF C2 H F3 O2



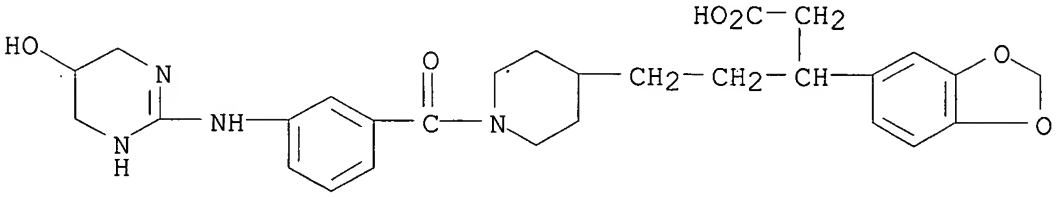
RN 669075-80-3 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



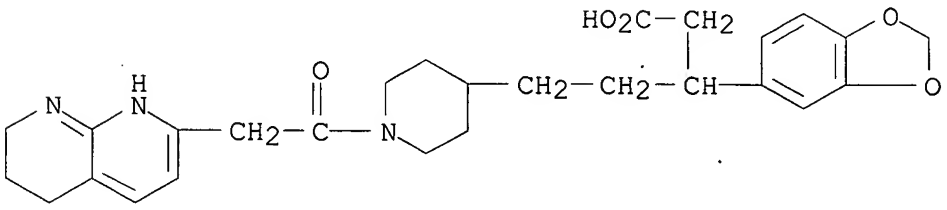
RN 669075-81-4 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 669075-83-6 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 669075-84-7 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)acetyl]- (9CI) (CA INDEX NAME)

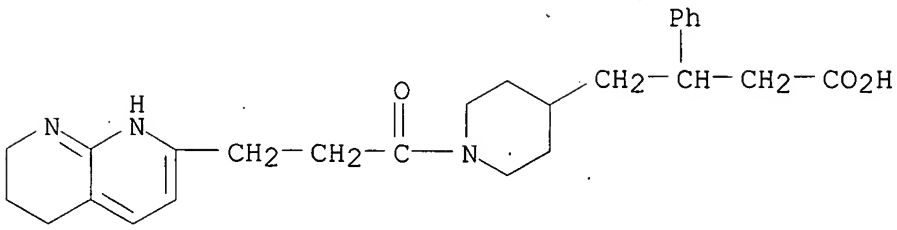


RN 669075-86-9 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-

2-yl)propyl]-β-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

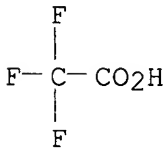
CM 1

CRN 669075-85-8
CMF C26 H33 N3 O3

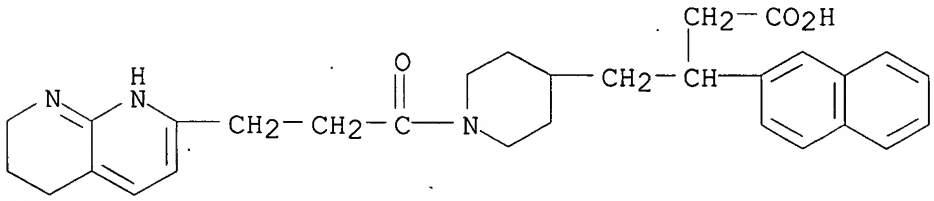


CM 2

CRN 76-05-1
CMF C2 H F3 O2

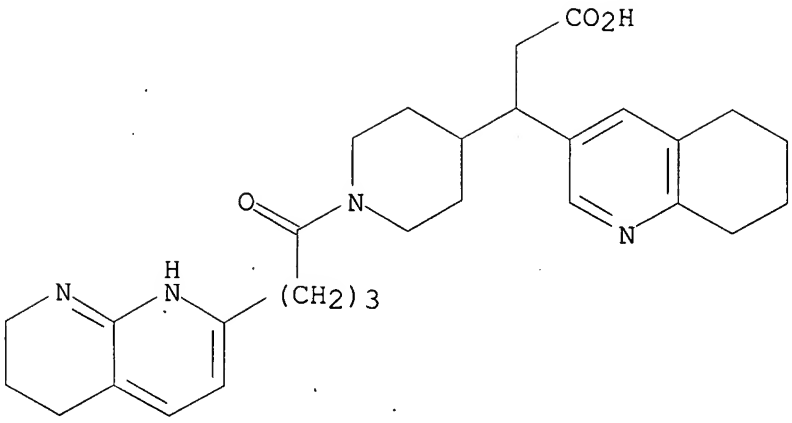


RN 669075-93-8 CAPLUS
CN 4-Piperidinebutanoic acid, β-2-naphthalenyl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



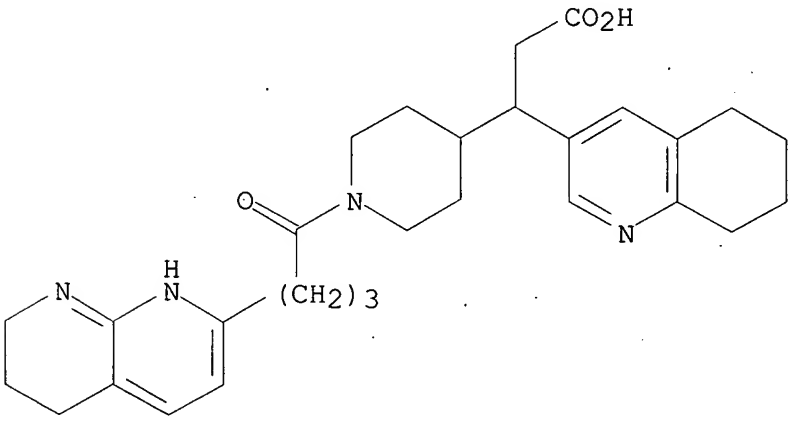
RN 669076-05-5 CAPLUS
CN 3-Quinolinepropanoic acid, 5,6,7,8-tetrahydro-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

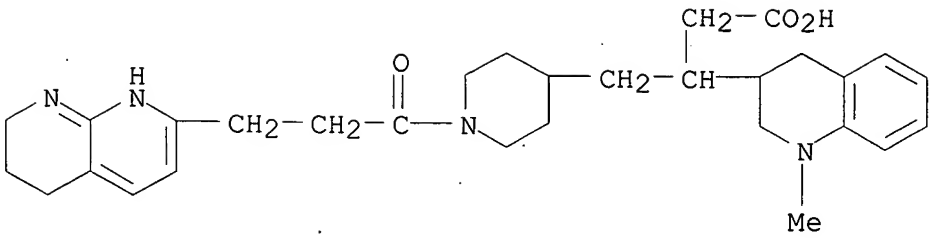


RN 669076-06-6 CAPLUS
CN 3-Quinolinepropanoic acid, 5,6,7,8-tetrahydro-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, (-)- (9CI) (CA INDEX NAME)

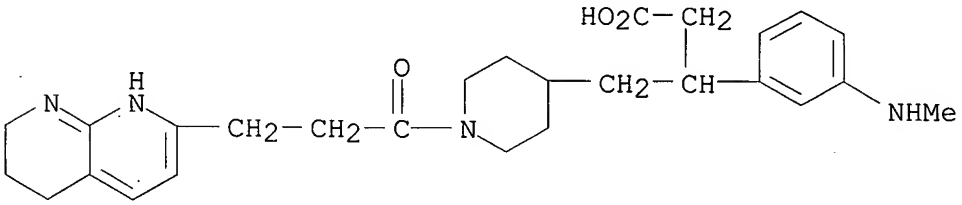
Rotation (-).



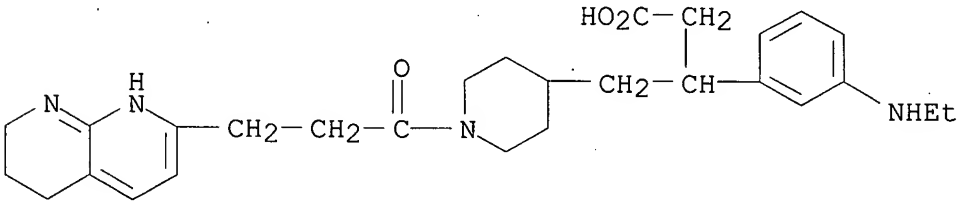
RN 669076-08-8 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-1-methyl-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



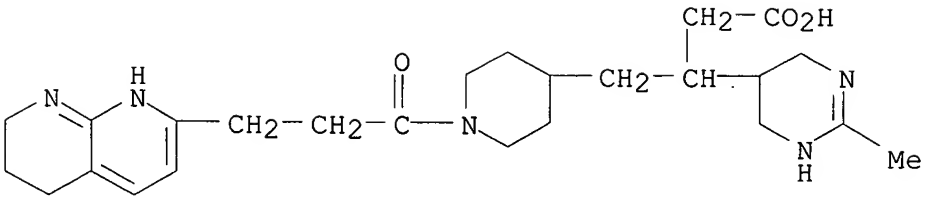
RN 669076-38-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-[3-(methylamino)phenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



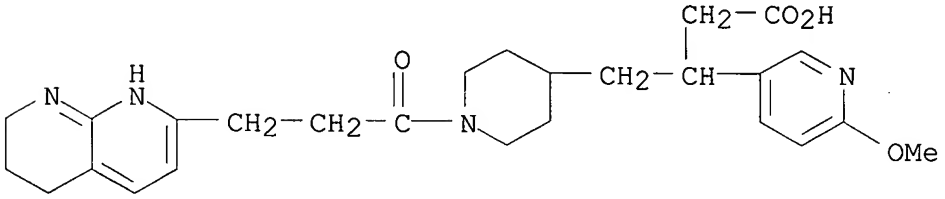
RN 669076-45-3 CAPLUS
CN 4-Piperidinebutanoic acid, β-[3-(ethylamino)phenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



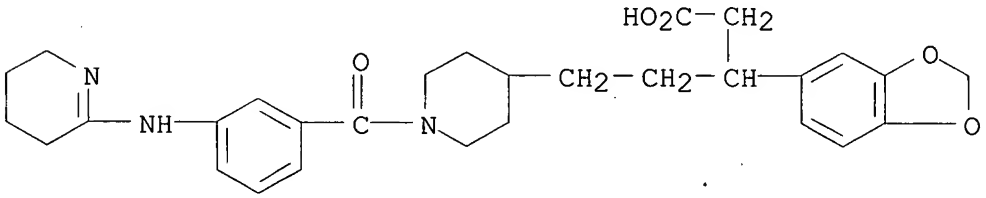
RN 669076-84-0 CAPLUS
CN 5-Pyrimidinepropanoic acid, 1,4,5,6-tetrahydro-2-methyl-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



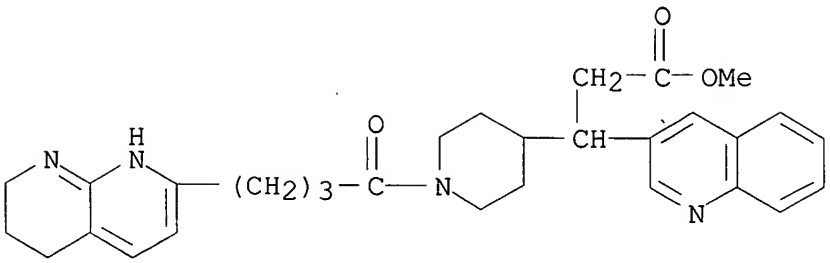
RN 669076-86-2 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 669076-87-3 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[3-[(3,4,5,6-tetrahydro-2-pyridinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



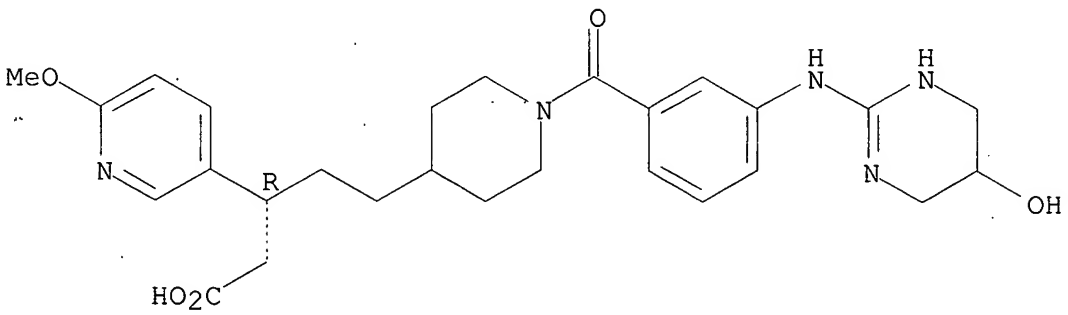
RN 791820-70-7 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, methyl ester, hydrochloride (2:7) (9CI) (CA INDEX NAME)



●7/2 HCl

RN 791820-74-1 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, monohydrochloride, (βR)- (9CI) (CA INDEX NAME)

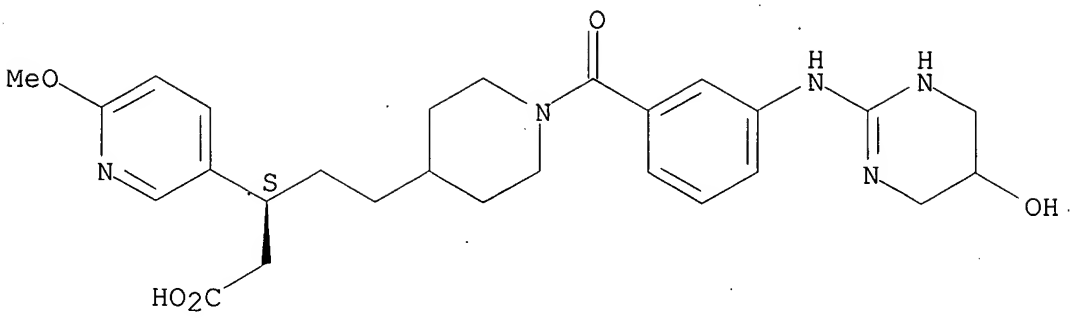
Absolute stereochemistry.



● HCl

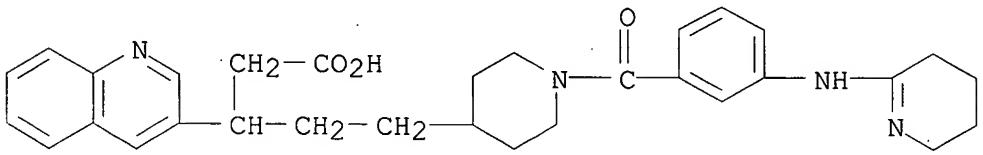
RN 791820-75-2 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, monohydrochloride, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



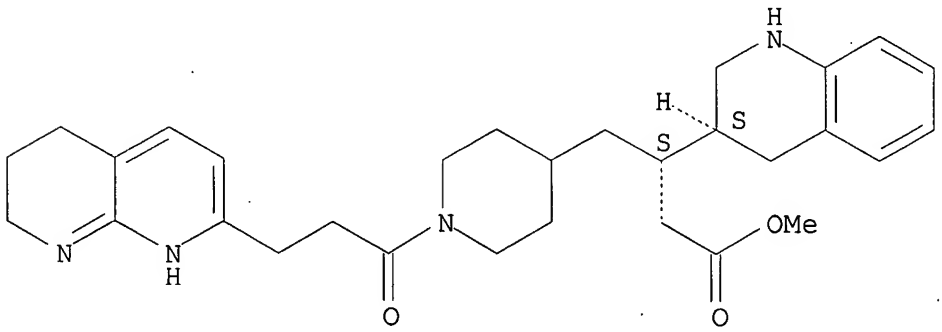
● HCl

RN 791820-80-9 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[3-[(3,4,5,6-tetrahydro-2-pyridinyl)amino]benzoyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 791820-81-0 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, methyl ester, (βS,3S)- (9CI) (CA INDEX NAME)

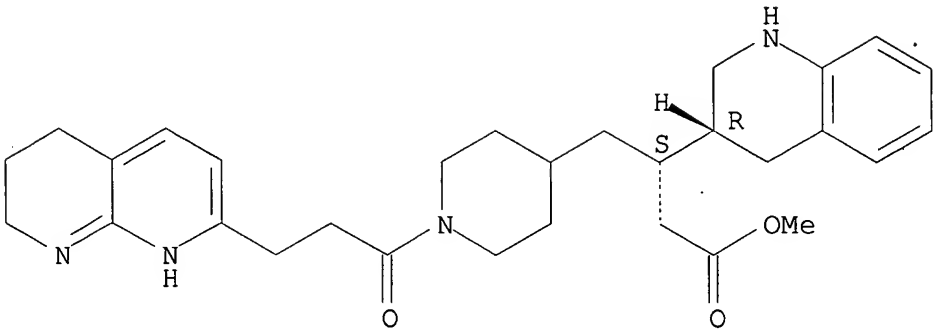
Absolute stereochemistry.



RN 791820-82-1 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, methyl

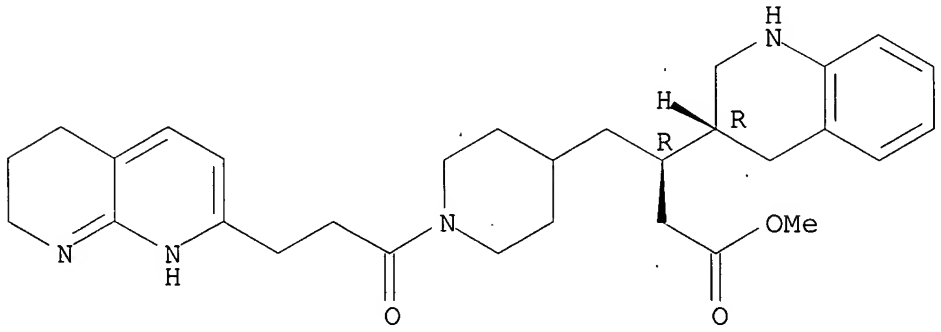
ester, (βS,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



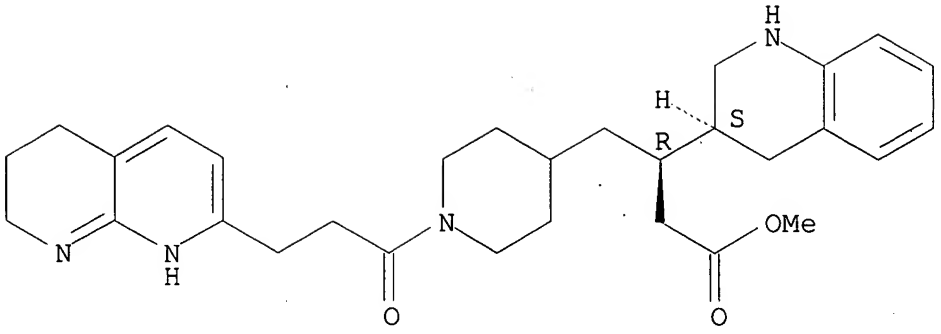
RN 791820-83-2 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, methyl ester, (βR,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



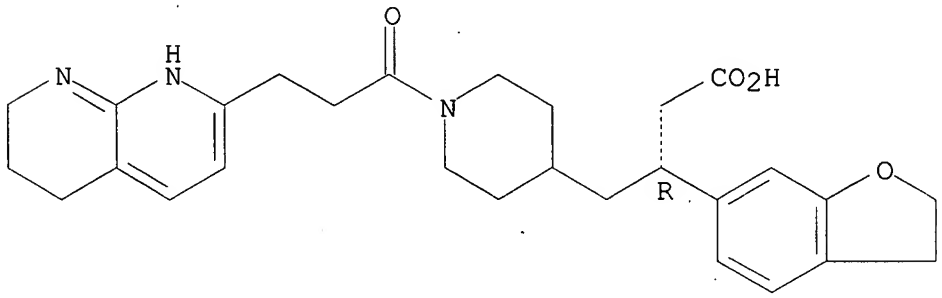
RN 791820-84-3 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, methyl ester, (βR,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 791820-93-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

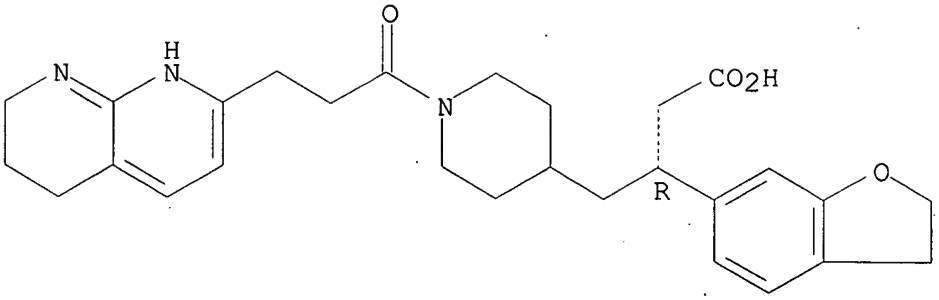


RN 791820-94-5 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, (βR)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

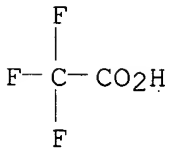
CRN 791820-93-4
CMF C28 H35 N3 O4

Absolute stereochemistry.



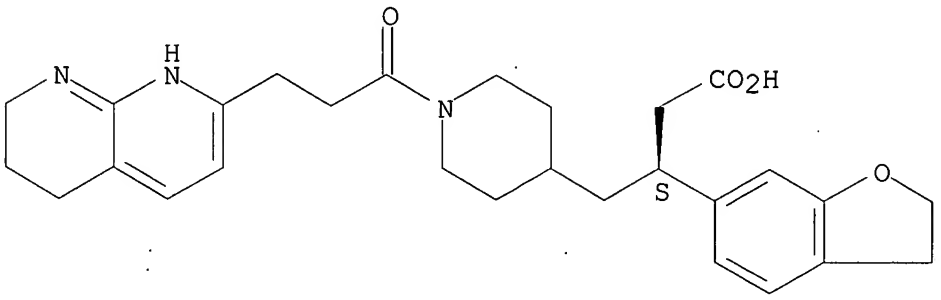
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 791820-95-6 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

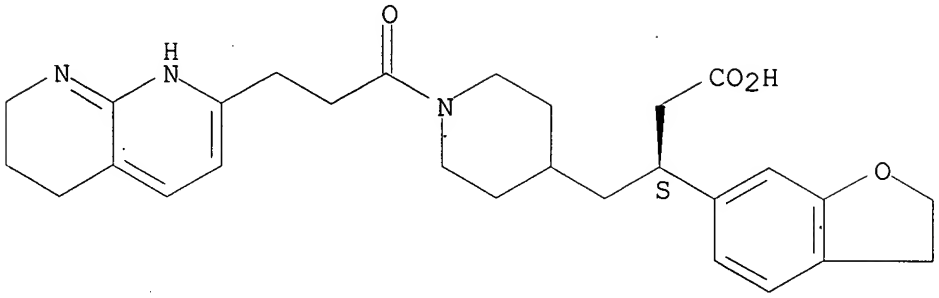


RN 791820-96-7 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, (βS)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

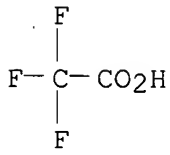
CRN 791820-95-6
CMF C28 H35 N3 O4

Absolute stereochemistry.

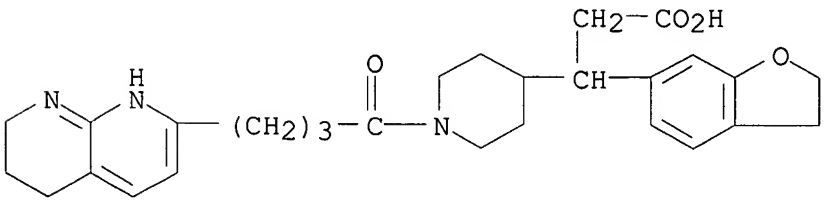


CM 2

CRN 76-05-1
CMF C2 H F3 O2



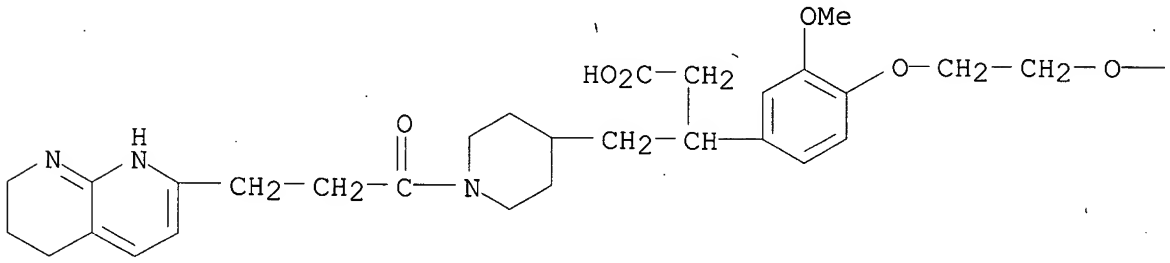
RN 791821-24-4 CAPLUS
CN 4-Piperidinepropanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

RN 791821-38-0 CAPLUS
CN 4-Piperidinebutanoic acid, β-[4-[2-(2-mercaptoethoxy)ethoxy]-3-methoxyphenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

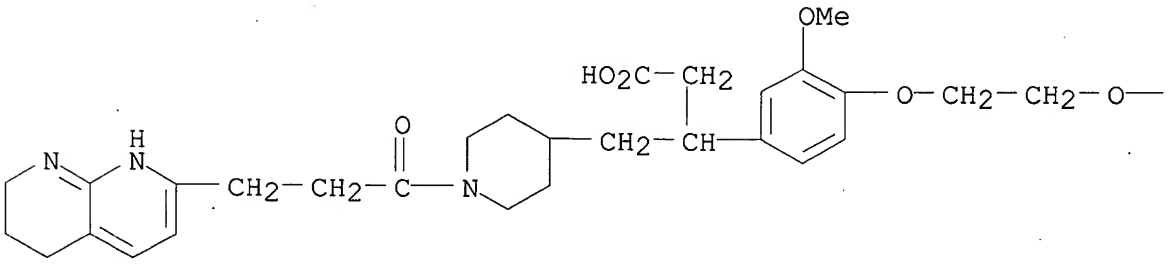


PAGE 1-B

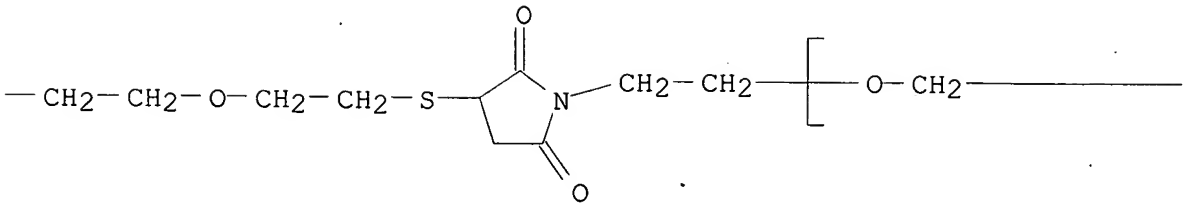
—CH2—CH2—SH

RN 791821-43-7 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α-[2-[3-[[2-[2-[2-[4-[1-(carboxymethyl)-2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethyl]-2-methoxyphenoxy]ethoxy]ethoxy]ethyl]thio]-2,5-dioxo-1-pyrrolidinyl]ethyl]-ω-[[7-hydroxy-7-oxido-2,13-dioxo-10-[(1-oxooctadecyl)oxy]-6,8,12-trioxa-3-aza-7-phosphatriacont-1-yl]oxy]- (9CI)
(CA INDEX NAME)

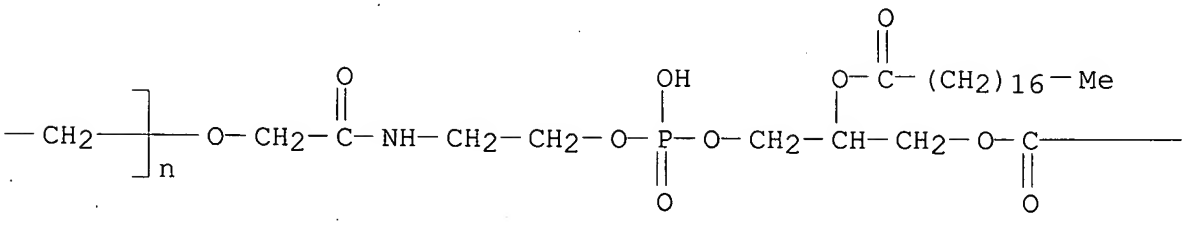
PAGE 1-A



PAGE 1-B



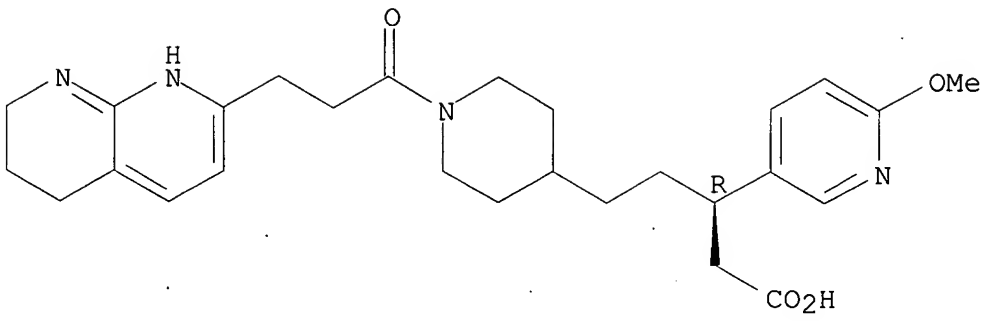
PAGE 1-C



PAGE 1-D

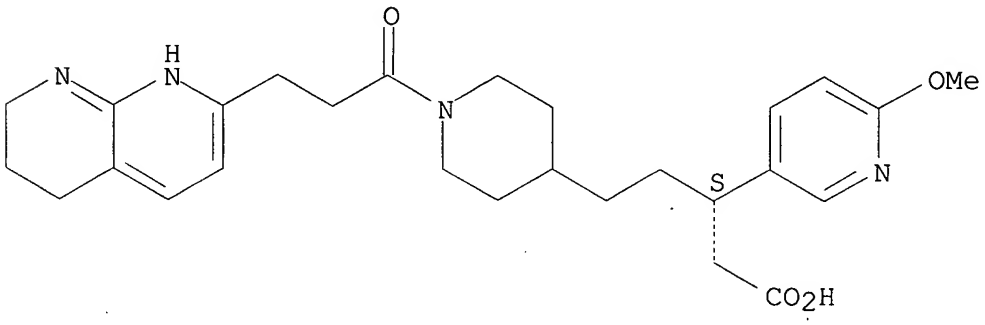
RN 791821-44-8 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethyl]-, (βR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



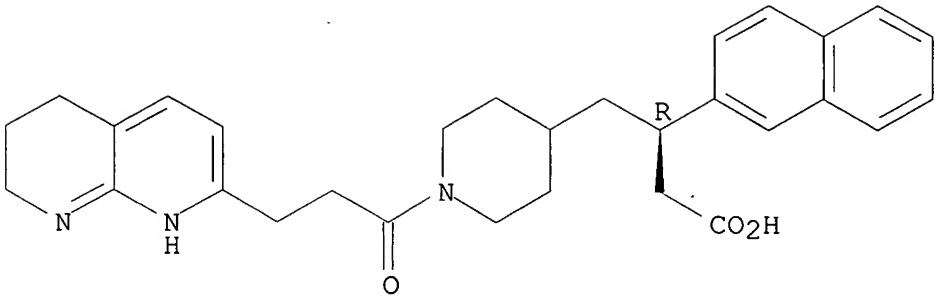
RN 791821-45-9 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethyl]-, (βS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 792931-34-1 CAPLUS
CN 4-Piperidinebutanoic acid, β-2-naphthalenyl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, monohydrochloride, (βR)-(9CI) (CA INDEX NAME)

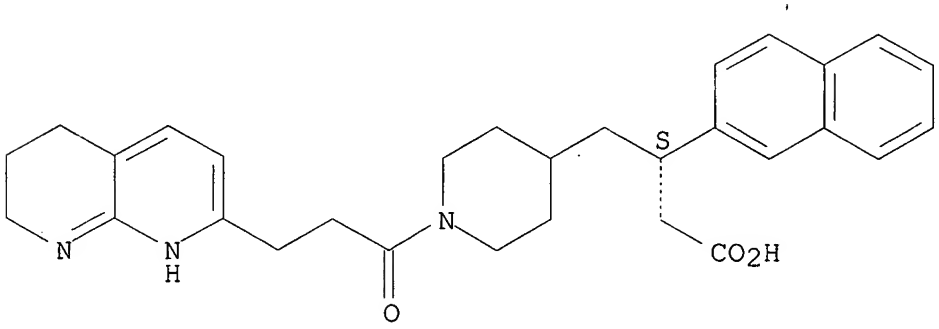
Absolute stereochemistry.



● HCl

RN 792931-35-2 CAPLUS
CN 4-Piperidinebutanoic acid, β-2-naphthalenyl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, monohydrochloride, (βS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

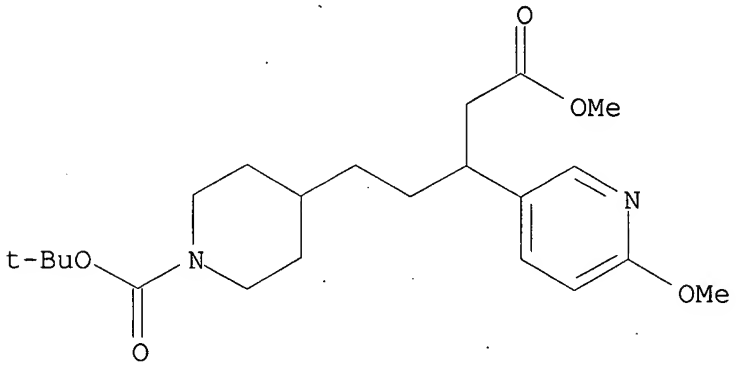


● HCl

IT 669075-36-9P 669075-37-0P 669076-50-0P
669076-51-1P 791820-86-5P 791820-87-6P
791820-88-7P 791820-89-8P 791821-00-6P
791821-01-7P 791821-25-5P 791821-26-6P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinealkanoic acids as cell targeting compds. with
selective affinity to αvβ3, αvβ5, or
αvβ6 integrin receptors for use with imaging agents or
liposomes)
RN 669075-36-9 CAPLUS

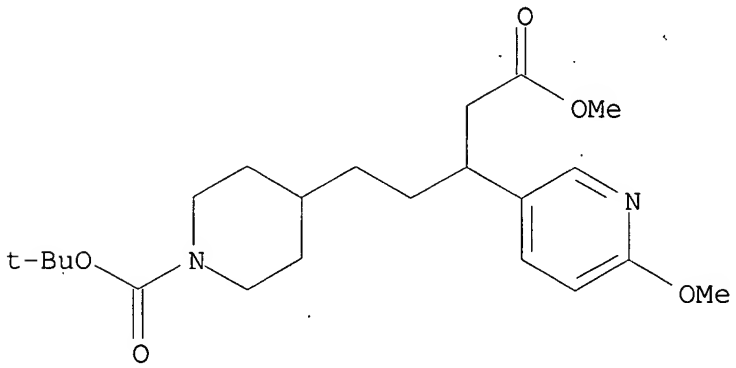
CN 3-Pyridinepropanoic acid, β-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]-6-methoxy-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



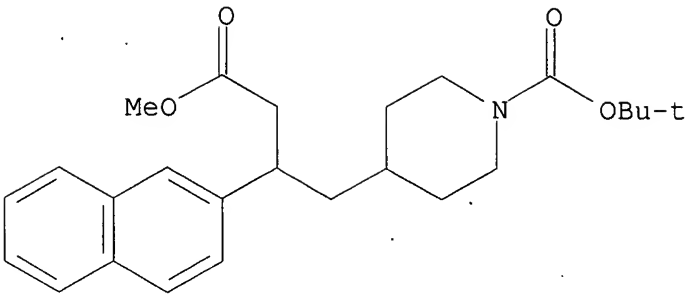
RN 669075-37-0 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]-6-methoxy-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



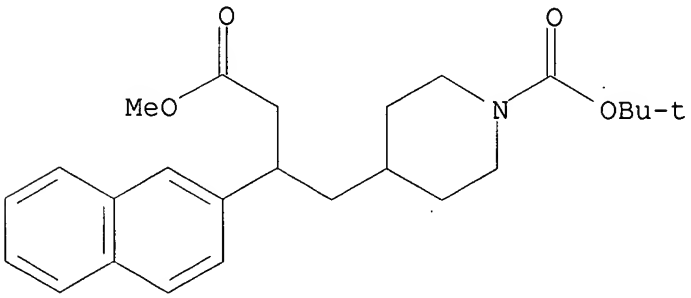
RN 669076-50-0 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-2-naphthalenyl-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



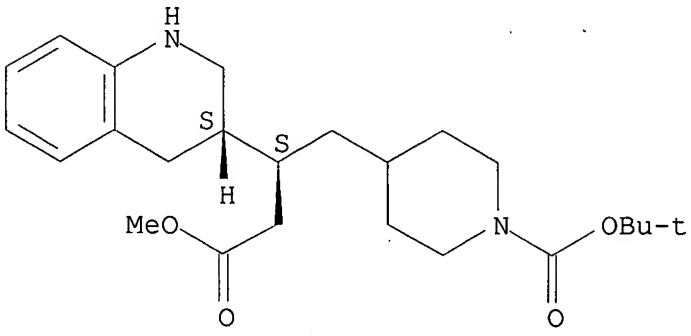
RN 669076-51-1 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-2-naphthalenyl-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 791820-86-5 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-, methyl ester, (βS,3S)- (9CI) (CA INDEX NAME)

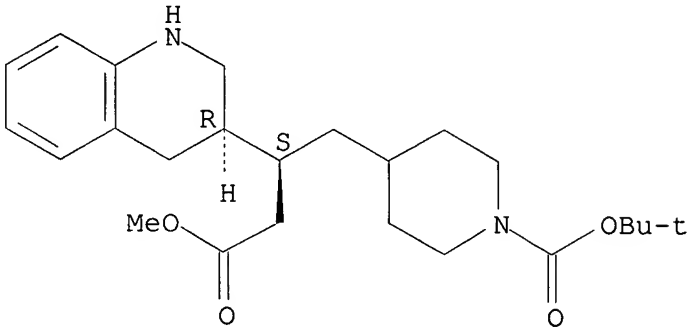
Absolute stereochemistry.



RN 791820-87-6 CAPLUS

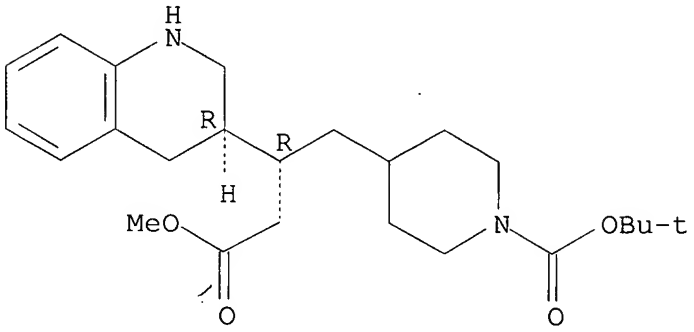
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-, methyl ester, (βS,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



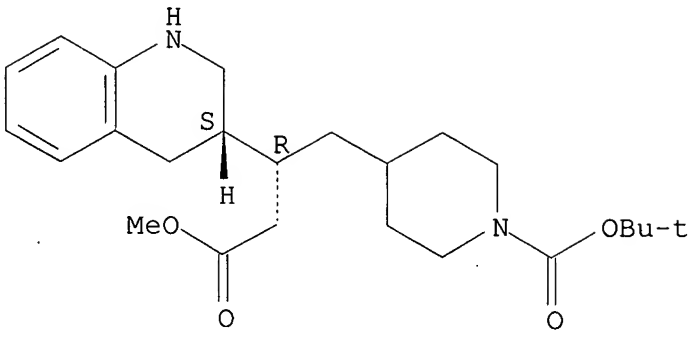
RN 791820-88-7 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-, methyl ester, (βR,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



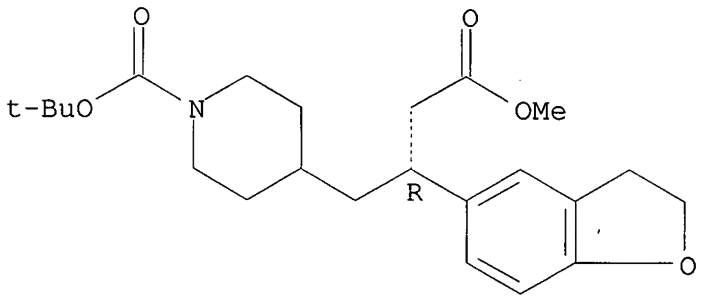
RN 791820-89-8 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-, methyl ester, (βR,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



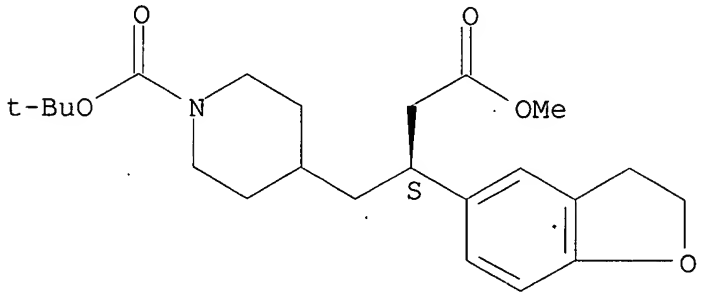
RN 791821-00-6 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-5-benzofuranyl)-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 791821-01-7 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-5-benzofuranyl)-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester, (βS)- (9CI) (CA INDEX NAME)

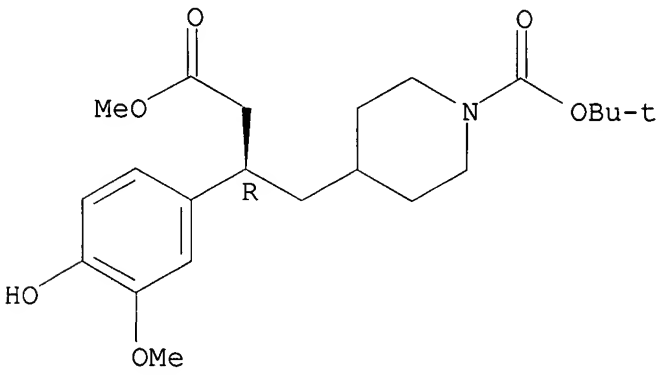
Absolute stereochemistry.



RN 791821-25-5 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-(4-

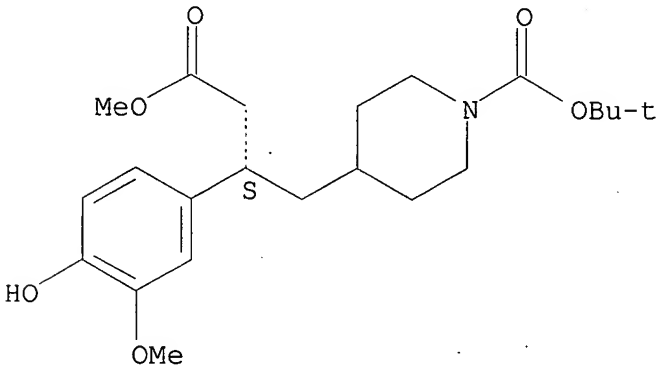
hydroxy-3-methoxyphenyl)-, methyl ester, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 791821-26-6 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-(4-hydroxy-3-methoxyphenyl)-, methyl ester, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 669074-91-3P 669074-96-8P 669074-99-1P
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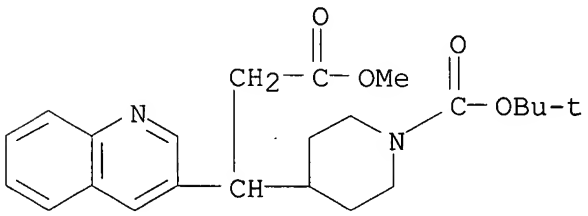
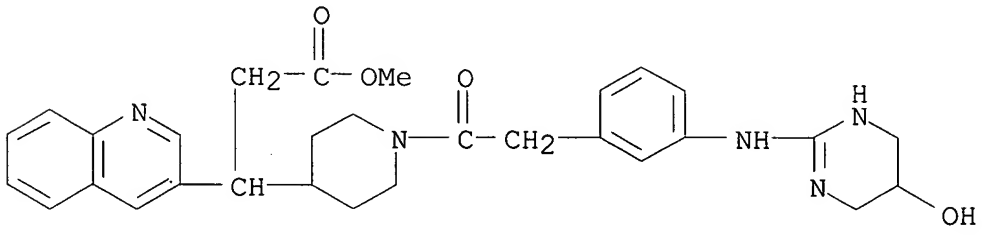
791821-33-5P 791821-36-8P 791821-37-9P
791821-39-1P 791821-40-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of piperidinealkanoic acids as cell targeting compds. with
selective affinity to αvβ3, αvβ5, or
αvβ6 integrin receptors for use with imaging agents or
liposomes)

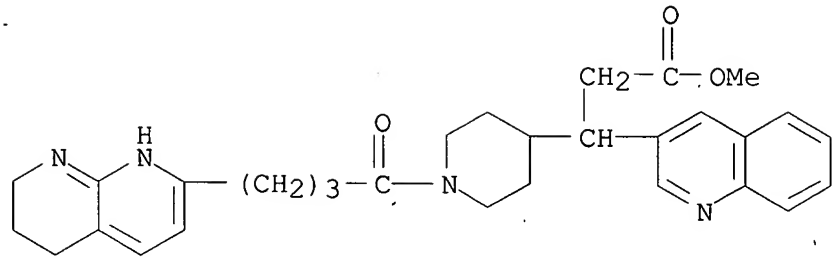
RN 669074-91-3 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)



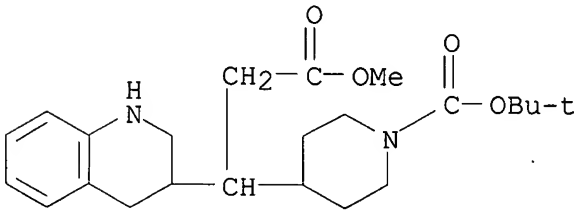
RN 669074-96-8 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]phenyl]acetyl]-4-piperidinyl]-, methyl ester (9CI) (CA
INDEX NAME)



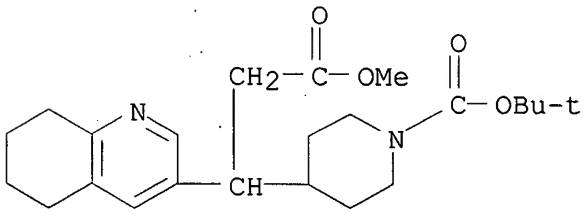
RN 669074-99-1 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)



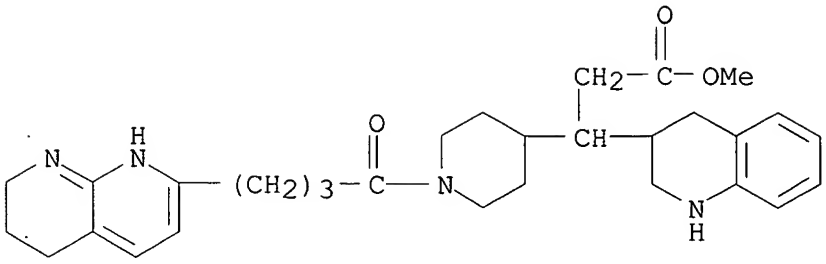
RN 669075-05-2 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-1,2,3,4-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)



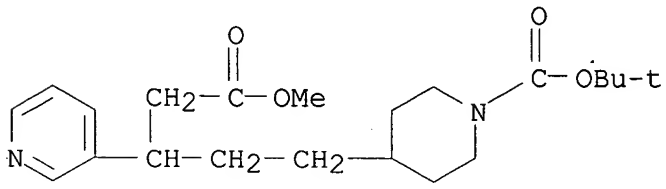
RN 669075-06-3 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-5,6,7,8-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)



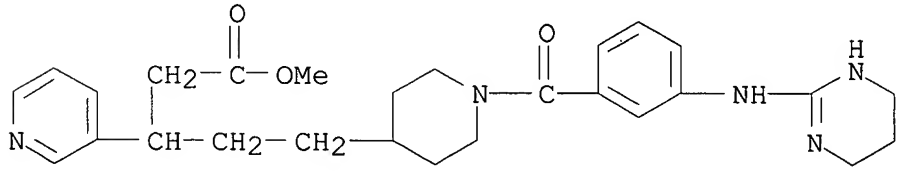
RN 669075-08-5 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)



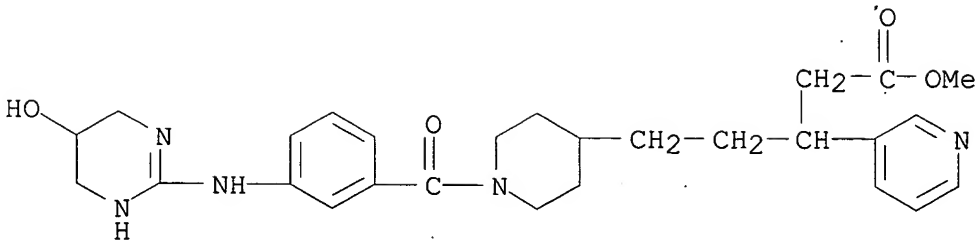
RN 669075-14-3 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



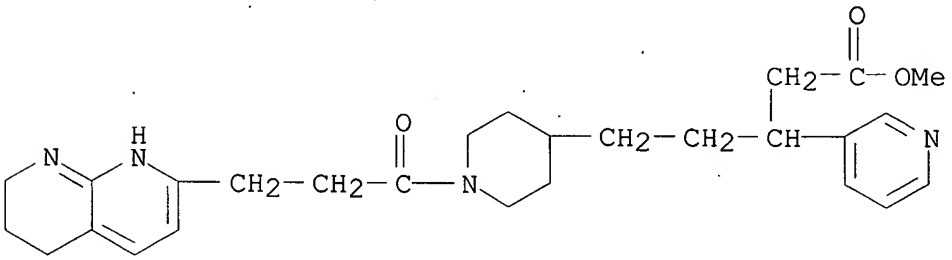
RN 669075-16-5 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA
INDEX NAME)



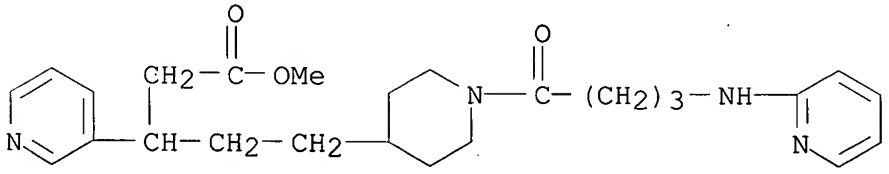
RN 669075-18-7 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA
INDEX NAME)



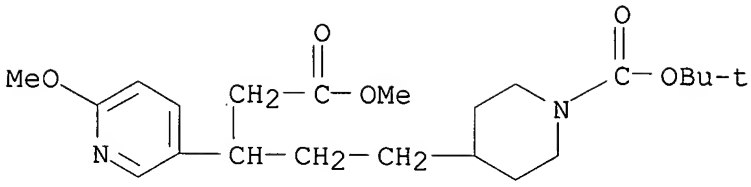
RN 669075-20-1 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



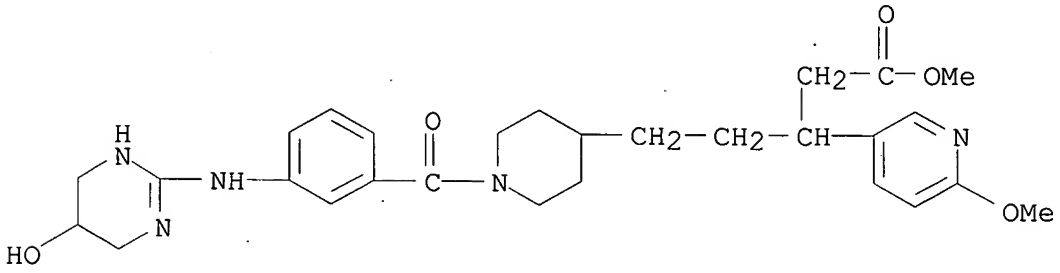
RN 669075-26-7 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[1-oxo-4-(2-pyridinylamino)butyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



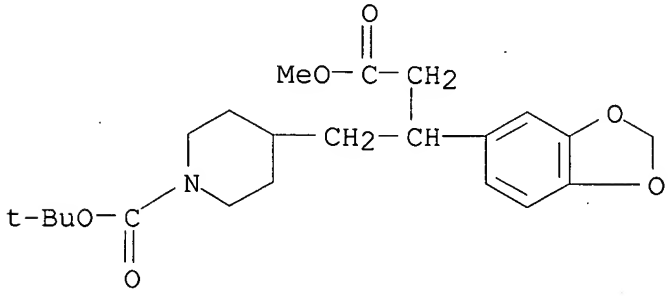
RN 669075-33-6 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]-6-methoxy-, methyl ester (9CI) (CA INDEX NAME)



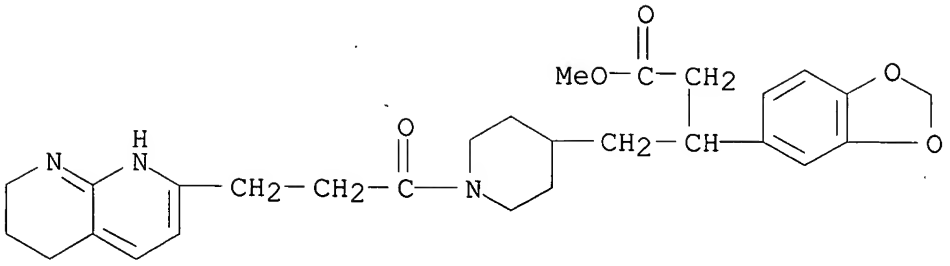
RN 669075-35-8 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, methyl ester
(9CI) (CA INDEX NAME)



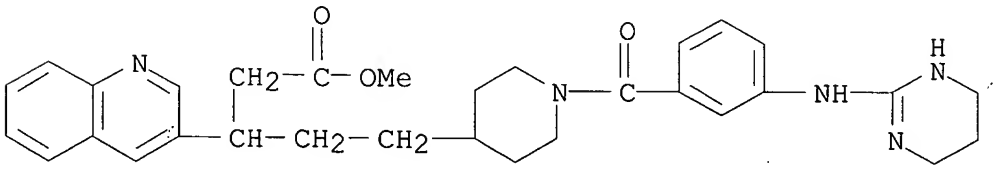
RN 669075-44-9 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



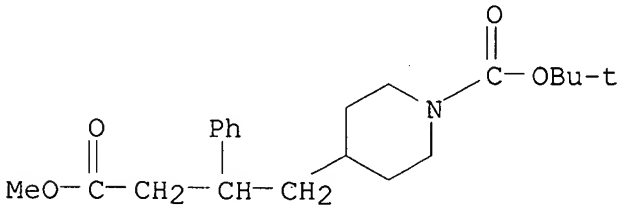
RN 669075-47-2 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester (9CI) (CA INDEX
NAME)



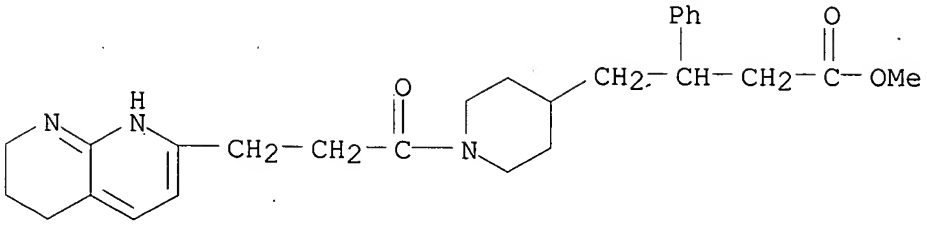
RN 669075-79-0 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



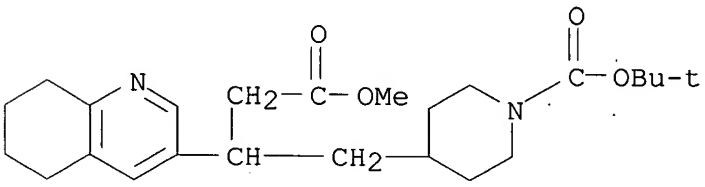
RN 669075-88-1 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-phenyl-, methyl ester (9CI) (CA INDEX NAME)



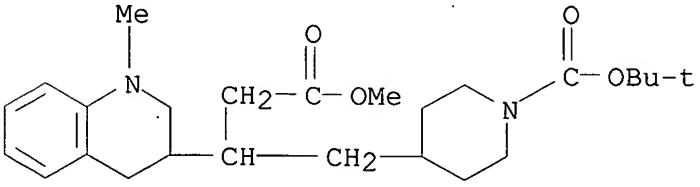
RN 669075-92-7 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-β-phenyl-, methyl ester (9CI) (CA INDEX NAME)



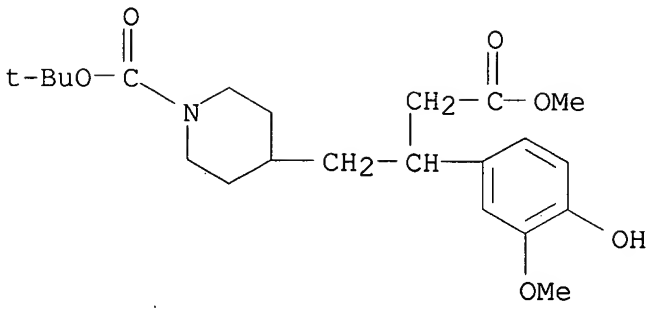
RN 669075-96-1 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-5,6,7,8-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)



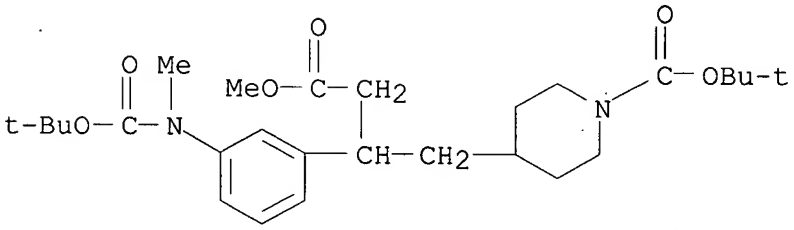
RN 669076-07-7 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-1-methyl-, methyl ester (9CI) (CA
INDEX NAME)



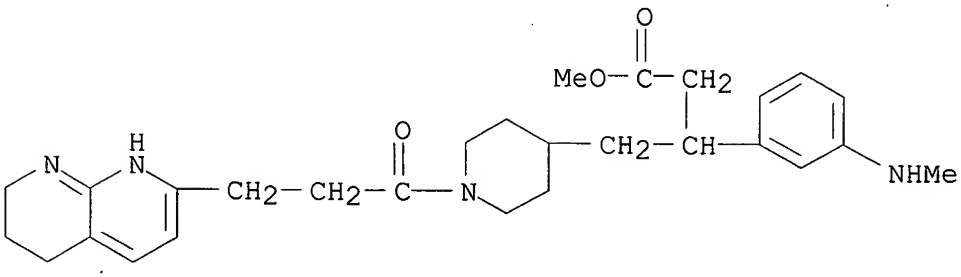
RN 669076-34-0 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-(4-hydroxy-3-methoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)



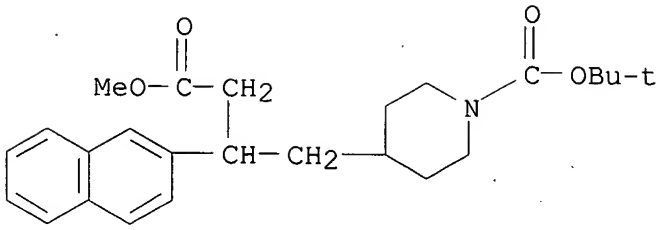
RN 669076-41-9 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-[3-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



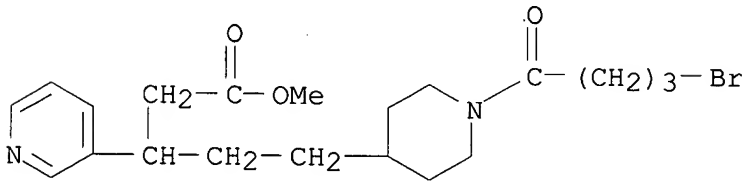
RN 669076-44-2 CAPLUS
CN 4-Piperidinebutanoic acid, β-[3-(methylamino)phenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)



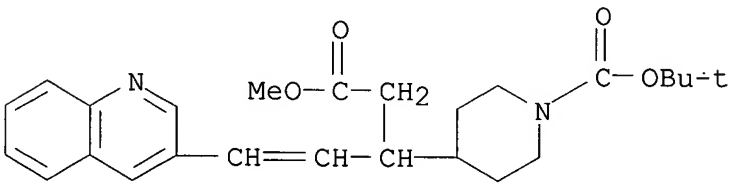
RN 669076-49-7 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-2-naphthalenyl-, methyl ester (9CI) (CA INDEX NAME)



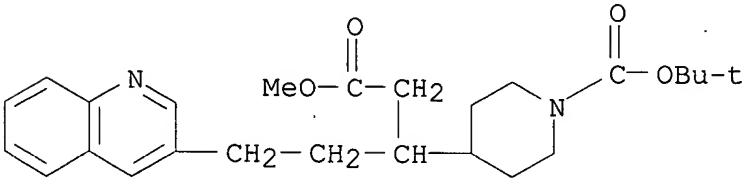
RN 791820-73-0 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-(4-bromo-1-oxobutyl)-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 791820-76-3 CAPLUS
CN 4-Piperidinepropanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-[2-(3-quinolinyl)ethenyl]-, methyl ester (9CI) (CA INDEX NAME)

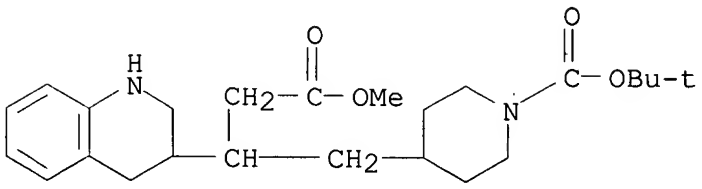


RN 791820-77-4 CAPLUS
CN 3-Quinolinepentanoic acid, β-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

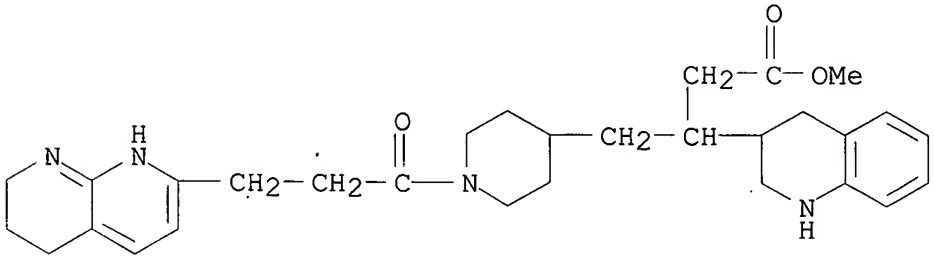


RN 791820-85-4 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-

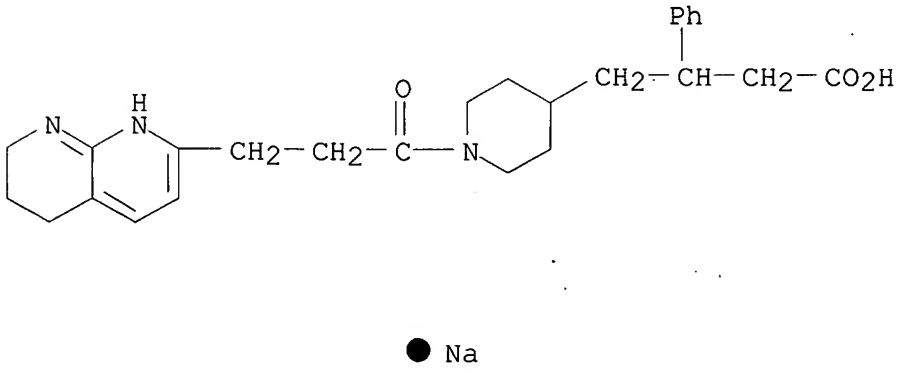
piperidinyl]methyl]-1,2,3,4-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)



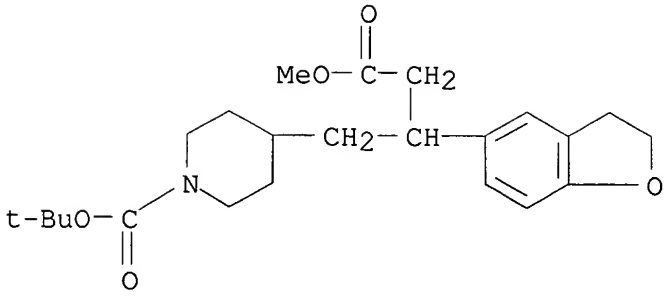
RN 791820-91-2 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 791820-92-3 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-β-phenyl-, monosodium salt (9CI) (CA INDEX NAME)

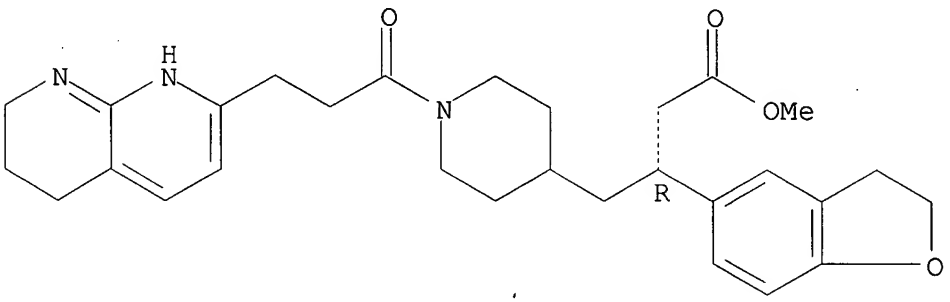


RN 791820-99-0 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-5-benzofuranyl)-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



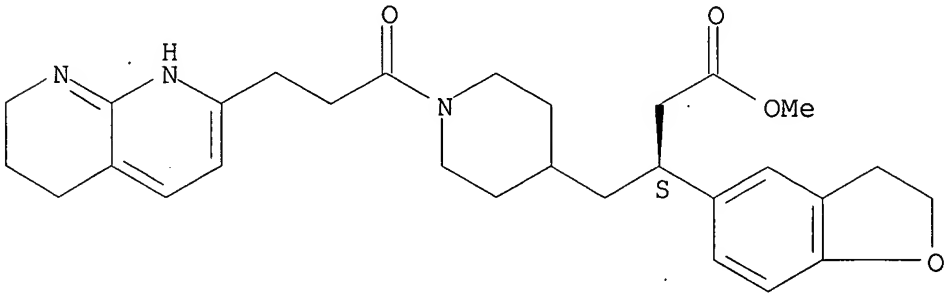
RN 791821-06-2 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-5-benzofuranyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 791821-07-3 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-5-benzofuranyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester, (βS)- (9CI) (CA INDEX NAME)

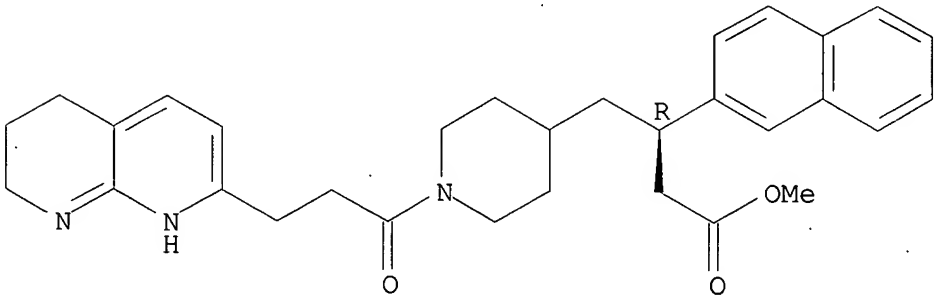
Absolute stereochemistry.



RN 791821-19-7 CAPLUS

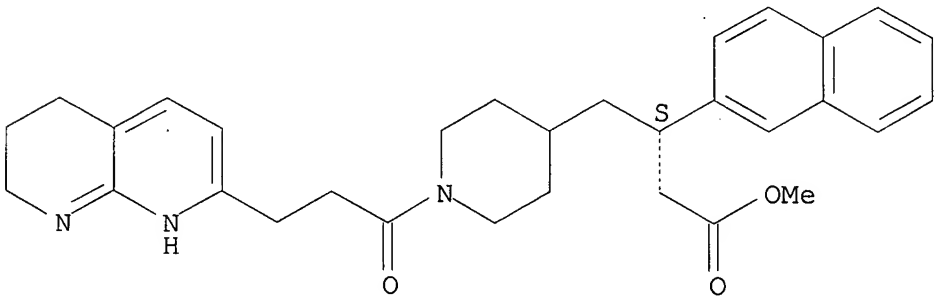
CN 4-Piperidinebutanoic acid, β-2-naphthalenyl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



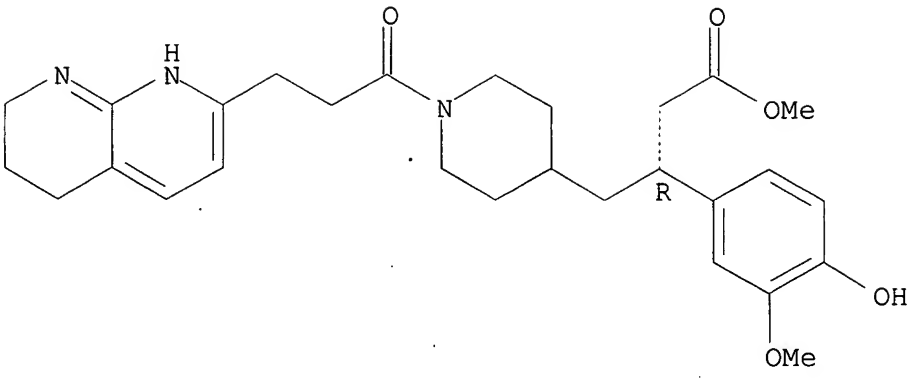
RN 791821-20-0 CAPLUS
CN 4-Piperidinebutanoic acid, β-2-naphthalenyl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



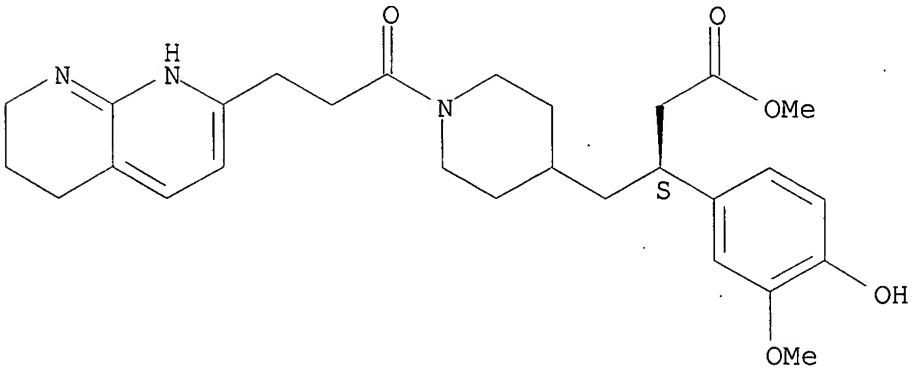
RN 791821-32-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-hydroxy-3-methoxyphenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 791821-33-5 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-hydroxy-3-methoxyphenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 791821-36-8 CAPLUS
CN 4-Piperidinebutanoic acid, β-[4-[2-(2-bromoethoxy)ethoxy]-3-methoxyphenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

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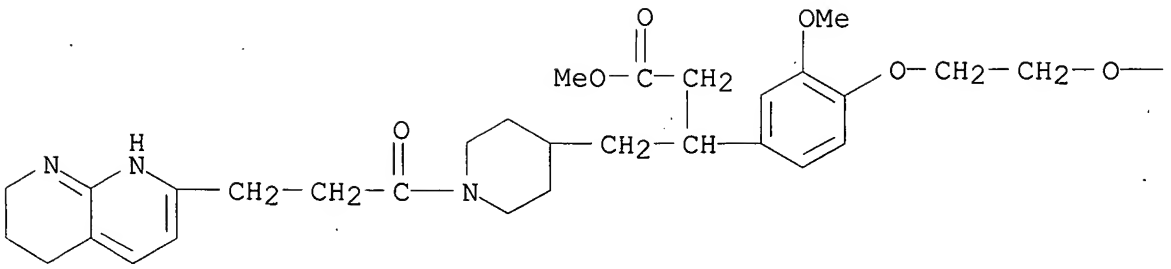

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—CH_2—CH_2Br

RN 791821-37-9 CAPLUS
CN 4-Piperidinebutanoic acid, β-[4-[2-[2-(acetylthio)ethoxy]ethoxy]-3-methoxyphenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

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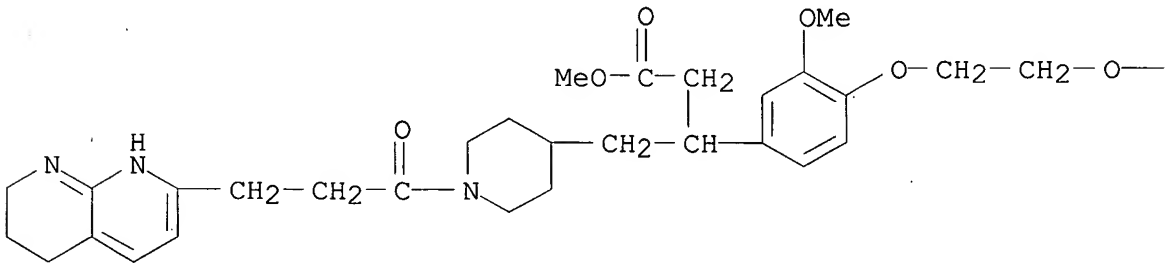

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—CH_2—CH_2—SAc

RN 791821-39-1 CAPLUS
CN 4-Piperidinebutanoic acid, β-[4-[2-[2-(2-chloroethoxy)ethoxy]ethoxy]-3-methoxyphenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

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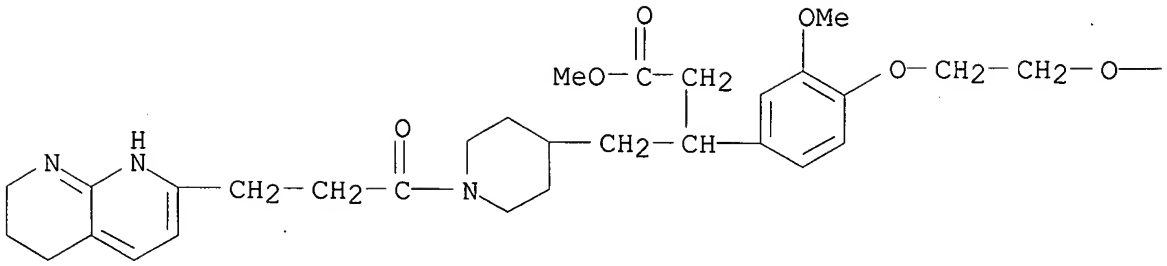

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— CH_2— CH_2— O— CH_2— CH_2Cl

RN 791821-40-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-[4-[2-[2-[2-(acetylthio)ethoxy]ethoxy]ethoxy]-3-methoxyphenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

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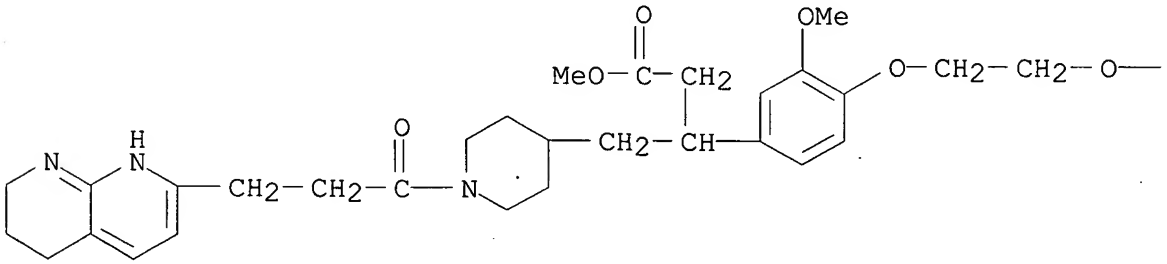

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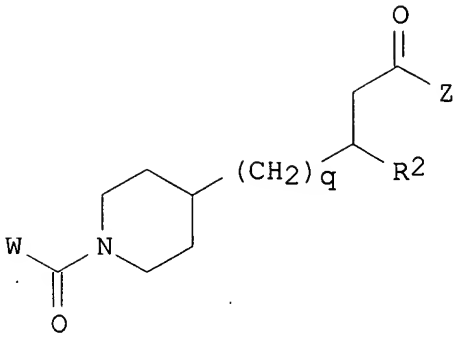
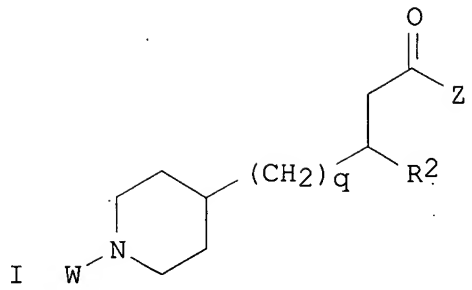
— CH_2— CH_2— O— CH_2— CH_2— SAc

GI



I



II

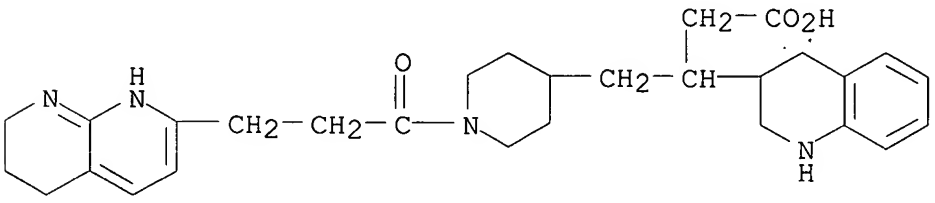
AB The present invention relates to the synthesis and biol. application of piperidinoyl carboxylic acid integrin antagonists affinity moiety of formula (I) and formula (II) [W = -C0-6alkyl(R1), -C1-6 alkyl(R1a), -C0-6 alkylaryl(R1,R8), -C0-6 alkylheterocyclyl(R1,R8), etc.; R1 = H, (un)substituted NH2, -heterocyclyl-(R8), -heteroaryl-(R8); R1a = -C(R4)(:NR4), -C(:NR4)-N(R4)2, -C(:NR4)-N(R4)(R6), -C(:N-R4)-N(R4)-C(O)-R4, etc.; R4 = H, C1-8 alkyl; R8 = H, -C1-8 alkyl(R9), -CHO, -CO-C1-8 alkyl(R9), -CONH2, etc.; R9 = H, C1-8 alkoxy, each (un)substituted NH2, CONH2, or SO2NH2, CHO, etc.; q = 0-3; R2 = -C1-8 alkyl(R7)(R11), -C2-8 alkenyl(R7)(R11), -C2-8 alkynyl(R7)(R11), -cycloalkyl-(R7)(R11), -heterocyclyl-(R8)(R12), etc.; R7 = H, -C1-8 alkoxy(R9), each (un)substituted NH2 or CONH2, CHO, -CO-C1-8 alkyl(R9), etc.; R11 = -C1-8 alkyl(R14), -O-C1-8 alkyl(R14), -NH-C1-8 alkyl(R14), -S-C1-8 alkyl(R14), etc.; R12 = -C1-8 alkyl(R14), -O-C1-8 alkyl(R14), -NH-C1-8 alkyl(R14), etc.; R14 when R11 and R12 terminates with a C(:O) is selected from the group consisting of H, OH, -OC1-4 alkyl, and NH2; otherwise R14 = OH, SH, CO2H , CO2-1-4 alkyl; Z = OH, (un)substituted NH2, -O-C1-8 alkyl, O-C1-8 alkyl-OH, -O-C1-8 alkyl-C1-8 alkoxy, etc.] and pharmaceutically acceptable salts, racemic mixts., and enantiomers thereof. These affinity moieties maybe used with imaging agents or liposomes to target cells that express the αvβ3, αvβ5, or αvβ6 integrin receptors. For example, an enantiomer of 6-methoxy-β-[[1-[1-oxo-3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-3-pyridinepropanoic acid inhibited the binding of vitronectin to αvβ3, αvβ5, and αIIbβ3 receptors with IC50 of 0.0003±0.00002, 0.0042±0.0018, and 1.83±0.57 μM, resp.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:880527 CAPLUS
DOCUMENT NUMBER: 142:74427
TITLE: 1,2,3,4-Tetrahydroquinoline-containing αvβ3 integrin antagonists with enhanced oral bioavailability
AUTHOR(S): Ghosh, Shyamali; Santulli, Rosemary J.; Kinney, William A.; DeCorte, Bart L.; Liu, Li; Lewis, Joan M.; Proost, Jef C.; Leo, Gregory C.; Masucci, John; Hageman, William E.; Thompson, Andrew S.; Chen, Ian; Kawahama, Reiko; Tuman, Robert W.; Galemmo, Robert A.; Johnson, Dana L.; Damiano, Bruce P.; Maryanoff, Bruce E.
CORPORATE SOURCE: Drug Discovery, Johnson & Johnson Pharmaceutical Research & Development, Spring House, PA, 19477-0776, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(23), 5937-5941
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:74427

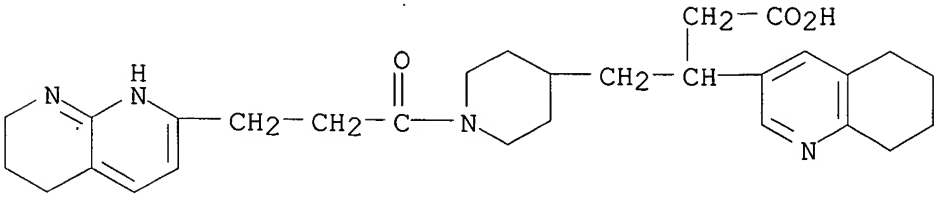
IT 669075-10-9P 669075-11-0P 669075-38-1P
669075-39-2P 669075-53-0P 669076-08-8P
669076-79-3P 669076-80-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 1,2,3,4-tetrahydroquinoline-containing αvβ3 integrin antagonists with enhanced oral bioavailability)

RN 669075-10-9 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



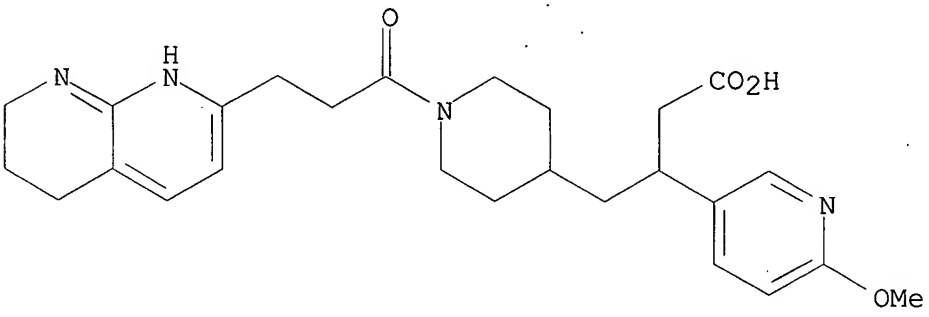
RN 669075-11-0 CAPLUS
CN 3-Quinolinepropanoic acid, 5,6,7,8-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-

tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA
INDEX NAME)



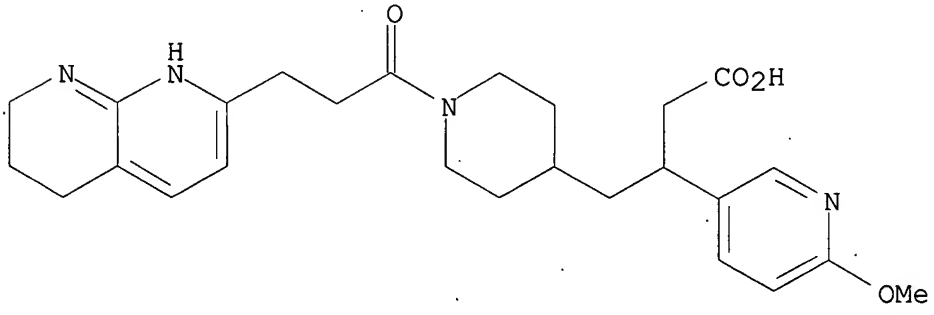
RN 669075-38-1 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



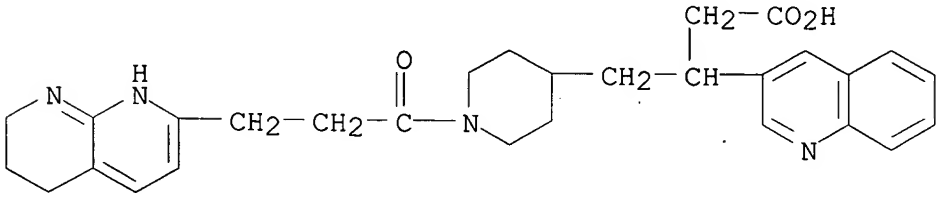
RN 669075-39-2 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

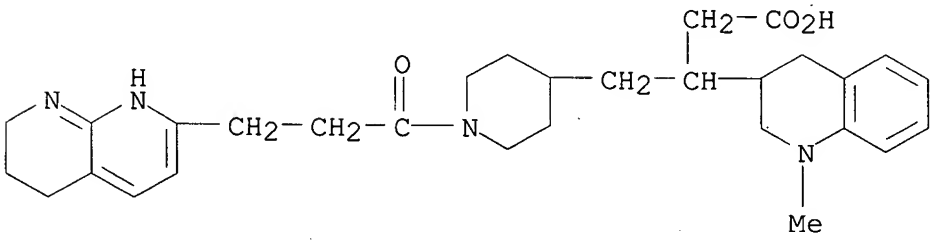


RN 669075-53-0 CAPLUS

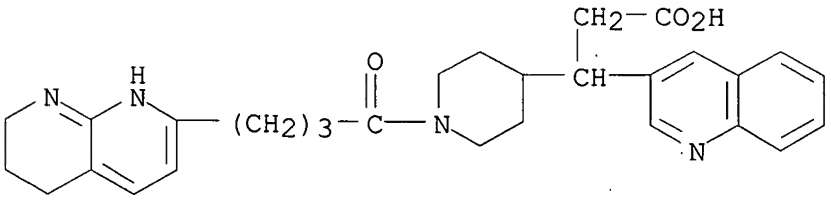
CN 3-Quinolinepropanoic acid, β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



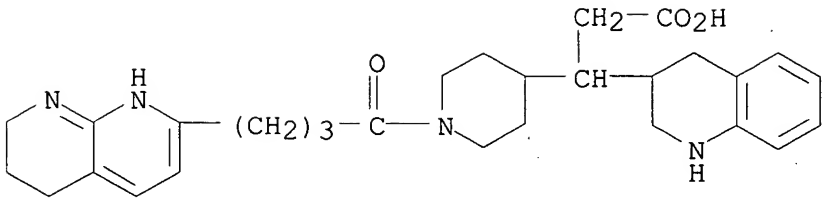
RN 669076-08-8 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-1-methyl-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



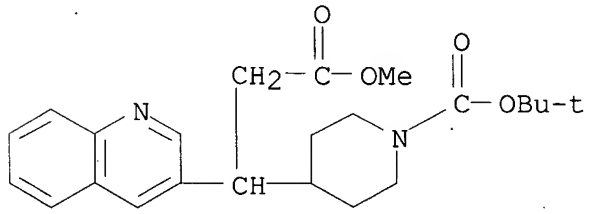
RN 669076-79-3 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



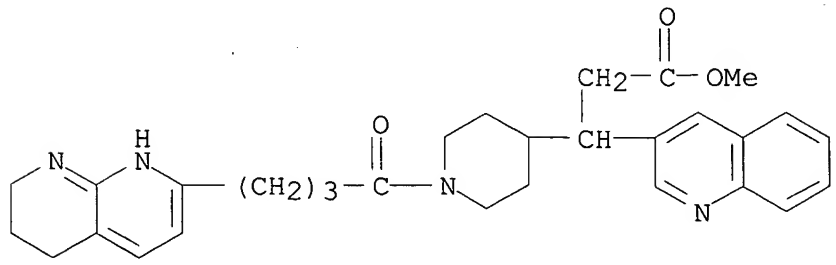
RN 669076-80-6 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



IT 669074-91-3P 669074-99-1P 669075-05-2P
669075-06-3P 669075-08-5P 669075-96-1P
791820-86-5P 791820-87-6P 791820-88-7P
791820-89-8P 791820-91-2P 811842-91-8P
852286-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of 1,2,3,4-tetrahydroquinoline-containing αvβ3 integrin
antagonists with enhanced oral bioavailability)
RN 669074-91-3 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

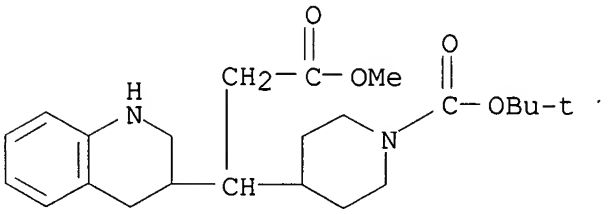


RN 669074-99-1 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

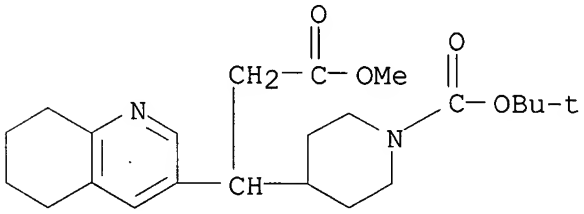


RN 669075-05-2 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[(1,1-dimethylethoxy)carbonyl]-4-

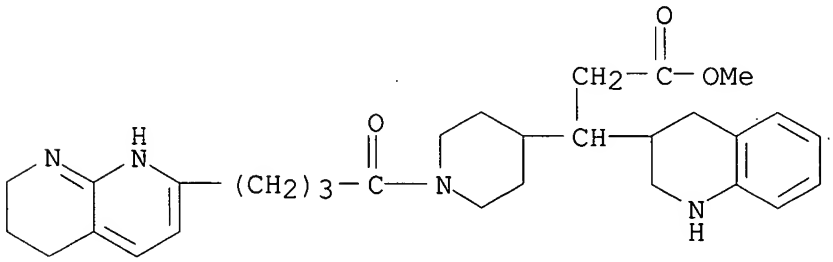
piperidinyl]-1,2,3,4-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)



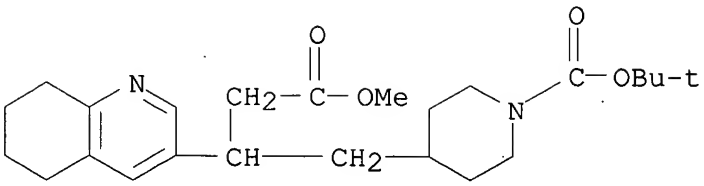
RN 669075-06-3 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-5,6,7,8-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)



RN 669075-08-5 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

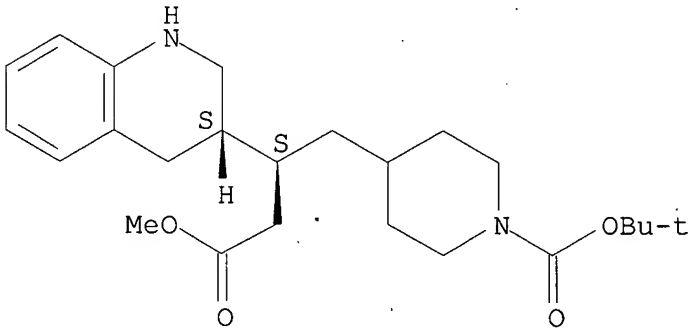


RN 669075-96-1 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-5,6,7,8-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)



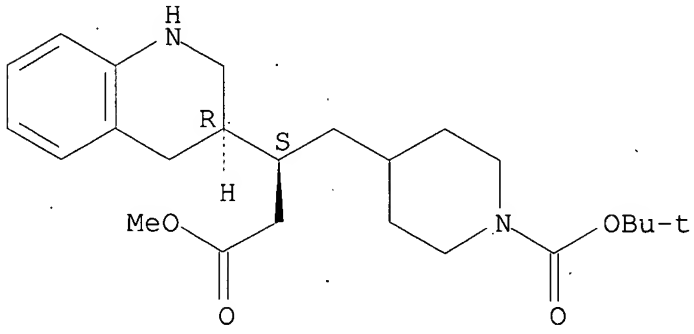
RN 791820-86-5 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-, methyl ester, (βS,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 791820-87-6 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-, methyl ester, (βS,3R)- (9CI) (CA INDEX NAME)

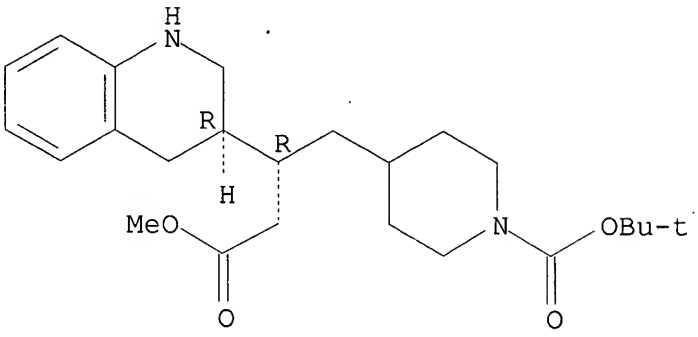
Absolute stereochemistry.



RN 791820-88-7 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-, methyl ester, (βR,3R)- (9CI)

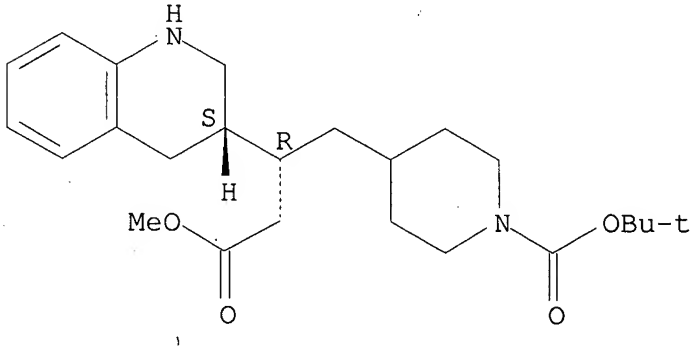
(CA INDEX NAME)

Absolute stereochemistry.

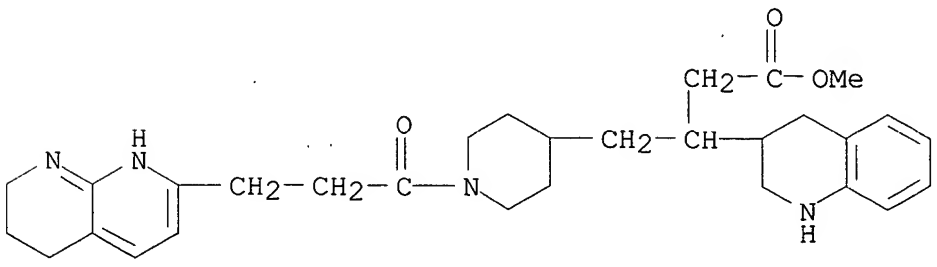


RN 791820-89-8 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-, methyl ester, (βR,3S)- (9CI) (CA INDEX NAME)

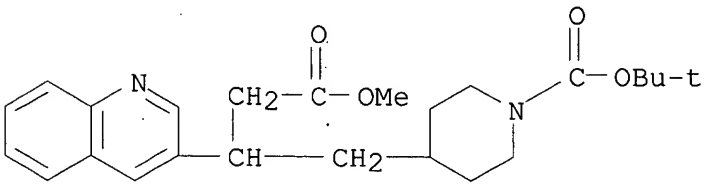
Absolute stereochemistry.



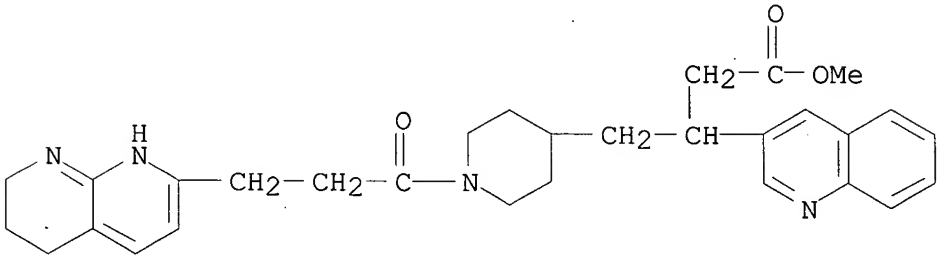
RN 791820-91-2 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 811842-91-8 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

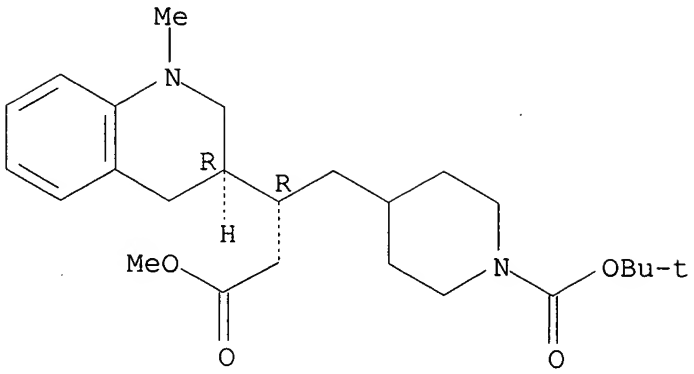


RN 852286-61-4 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

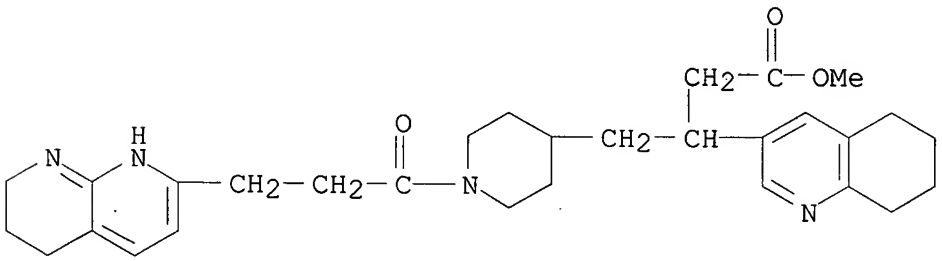


IT 852201-06-0P 852201-07-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1,2,3,4-tetrahydroquinolines as antagonists of vitronectin binding to αvβ3 and αvβ5 and fibrinogen binding to αIIbβ3)
RN 852201-06-0 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-1-methyl-, methyl ester, (βR,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 852201-07-1 CAPLUS
CN 3-Quinolinepropanoic acid, 5,6,7,8-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



AB Reduction of the quinoline ring in an αvβ3 antagonist yielded a 1,2,3,4-tetrahydro derivative as two diastereomers, the four isomers of which were separated by sequential chiral HPLC. Two isomers had significant αVβ3 antagonist activity with improved oral bioavailability, relative to the corresponding quinoline derivative

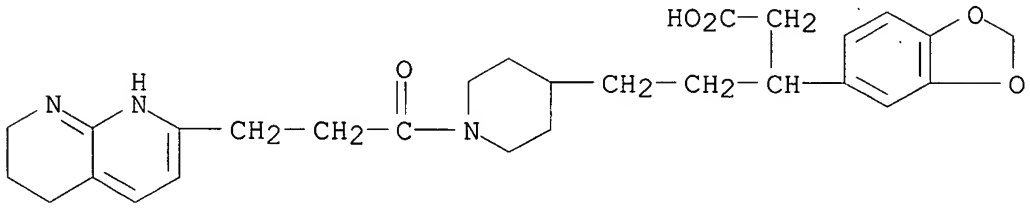
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:767310 CAPLUS
DOCUMENT NUMBER: 141:410773
TITLE: Piperidine-containing β-arylpropionic acids as potent antagonists of αvβ3/αvβ5 integrins
AUTHOR(S): De Corte, Bart L.; Kinney, William A.; Liu, Li; Ghosh, Shyamali; Brunner, Livia; Hoekstra, William J.; Santulli, Rosemary J.; Tuman, Robert W.; Baker,

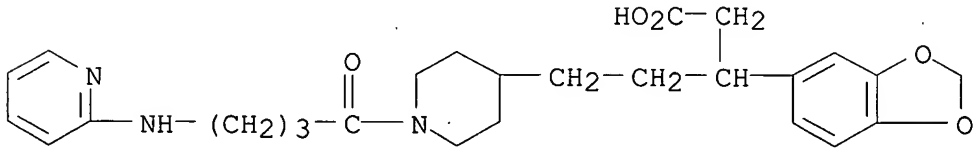
Judith; Burns, Candace; Proost, Jef C.; Tounge, Brett
A.; Damiano, Bruce P.; Maryanoff, Bruce E.; Johnson,
Dana L.; Galemmo, Robert A.
CORPORATE SOURCE: Drug Discovery, Johnson & Johnson Pharmaceutical Research and Development, Spring House, PA, 19477-0776, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(20), 5227-5232
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:410773

IT 669075-21-2P 669075-28-9P 669075-83-6P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation αvβ3/αIIbβ3 integrin binding affinity, pharmacokinetics, and structure-activity relationship of β-aryl(piperidinyl)pentanoic acids starting from piperidinecarboxylic acid)

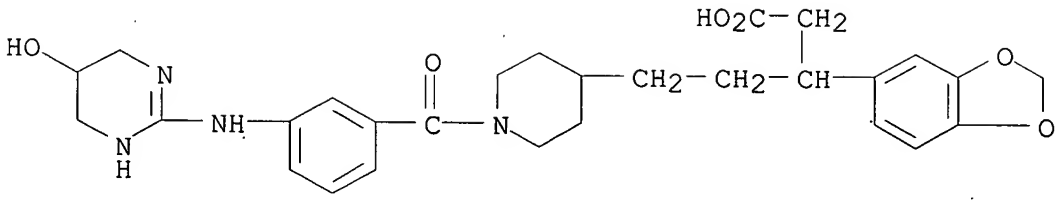
RN 669075-21-2 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



RN 669075-28-9 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-4-(2-pyridinylamino)butyl]- (9CI) (CA INDEX NAME)

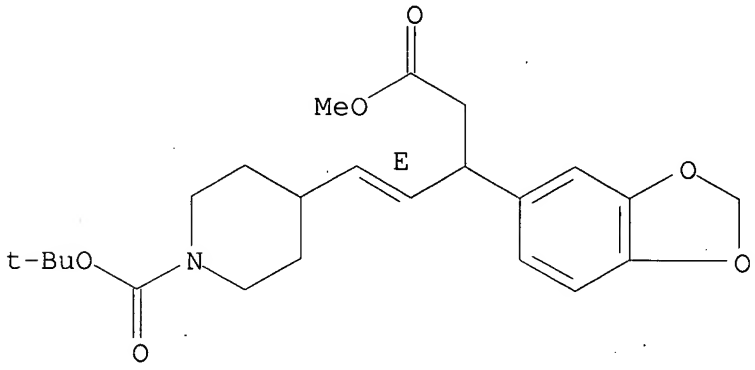


RN 669075-83-6 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



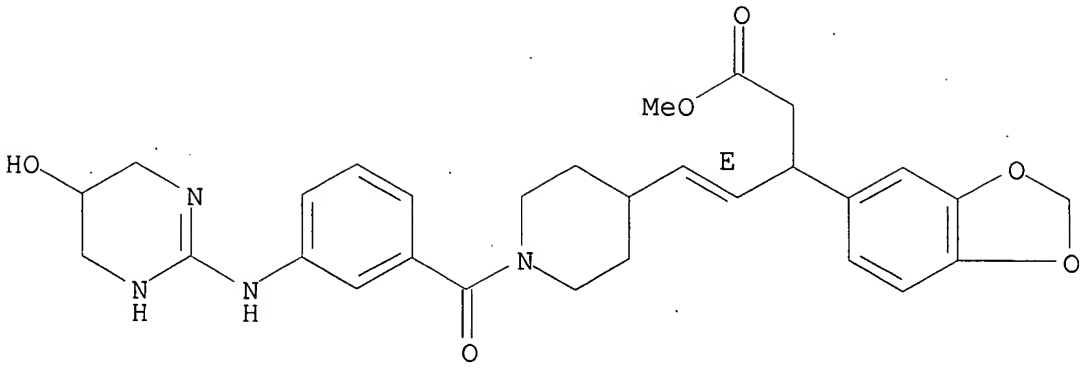
IT 791064-60-3P 791064-77-2P 791064-78-3P
791064-79-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation αvβ3/αIIbβ3 integrin binding affinity, pharmacokinetics, and structure-activity relationship of β-aryl(piperidinyl)pentanoic acids starting from piperidinecarboxylic acid)
RN 791064-60-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1E)-3-(1,3-benzodioxol-5-yl)-5-methoxy-5-oxo-1-pentenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



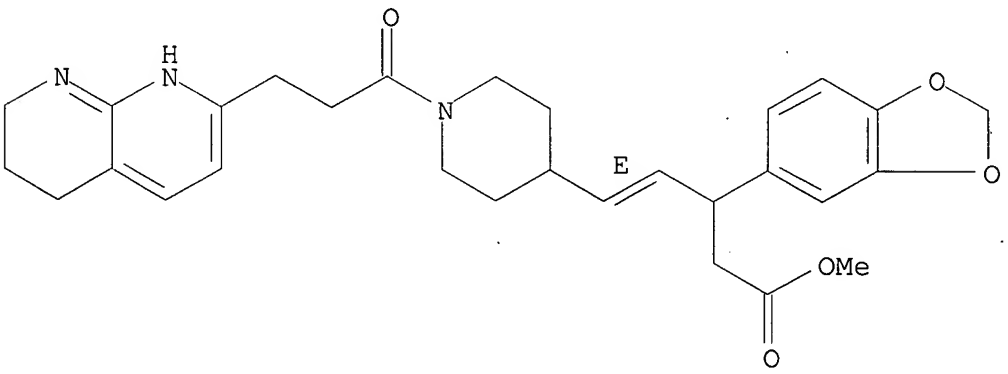
RN 791064-77-2 CAPLUS
CN 1,3-Benzodioxole-5-propanoic acid, β-[(1E)-2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethenyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



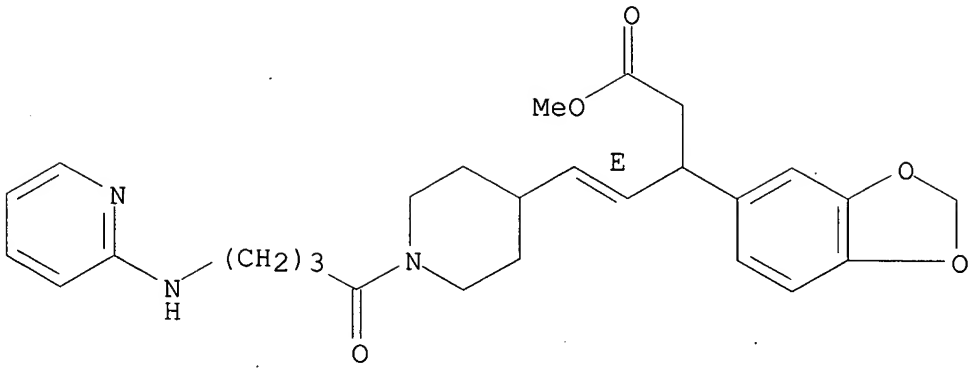
RN 791064-78-3 CAPLUS
CN 1,3-Benzodioxole-5-propanoic acid, β-[(1E)-2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethenyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

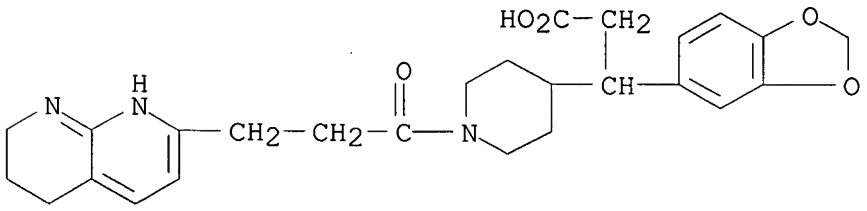


RN 791064-79-4 CAPLUS
CN 1,3-Benzodioxole-5-propanoic acid, β-[(1E)-2-[1-[1-oxo-4-(2-pyridinylamino)butyl]-4-piperidinyl]ethenyl]-, methyl ester (9CI) (CA INDEX NAME)

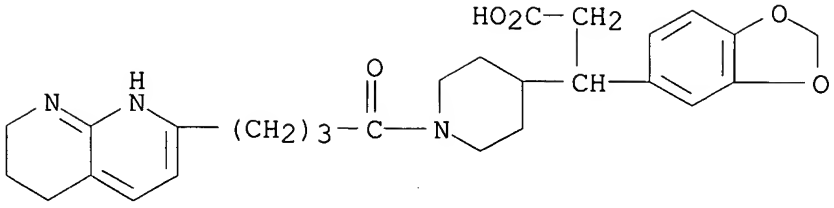
Double bond geometry as shown.



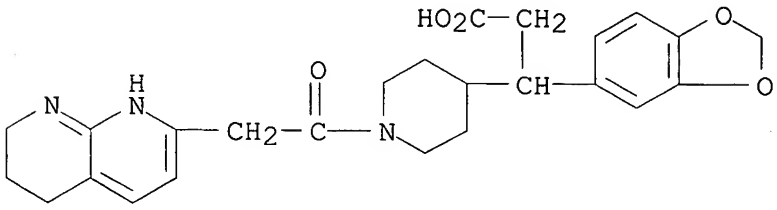
IT 669075-00-7P 669075-01-8P 669075-02-9P
669075-40-5P 669075-48-3P 669075-51-8P
669075-56-3P 669075-66-5P 669075-68-7P
669075-84-7P 669075-93-8P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation αvβ3/αIIbβ3/αvβ5 integrin binding affinity, and structure-activity relationship of β-aryl(pyridinyl)alkanoic acids starting from piperidinylalkanoic acids)
RN 669075-00-7 CAPLUS
CN 4-Piperidinepropanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



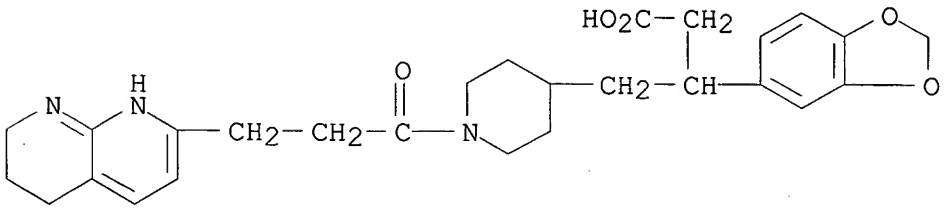
RN 669075-01-8 CAPLUS
CN 4-Piperidinepropanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)



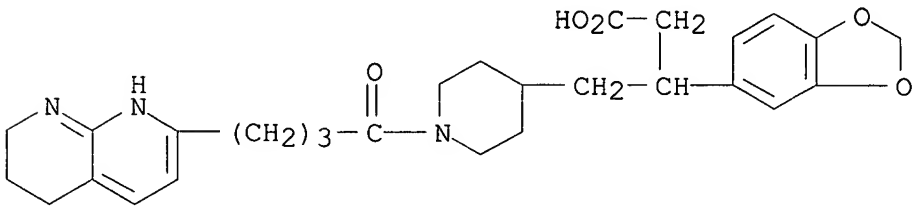
RN 669075-02-9 CAPLUS
CN 4-Piperidinepropanoic acid, β-1,3-benzodioxol-5-yl-1-[(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)acetyl]- (9CI) (CA INDEX NAME)



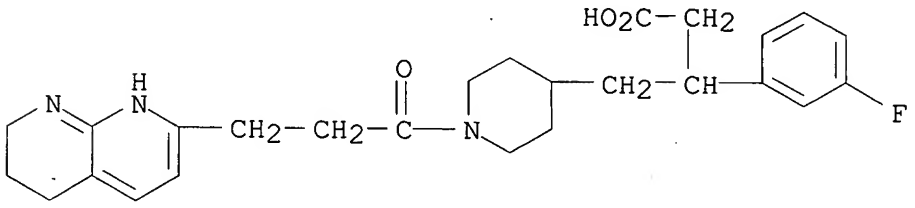
RN 669075-40-5 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



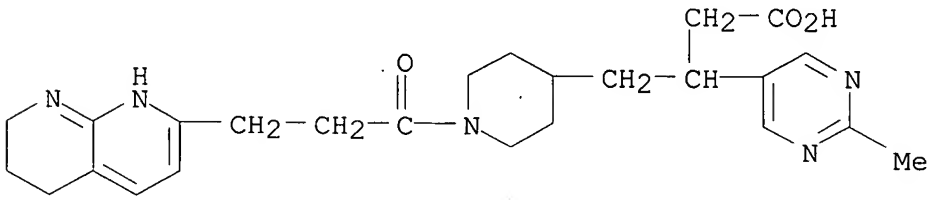
RN 669075-48-3 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)



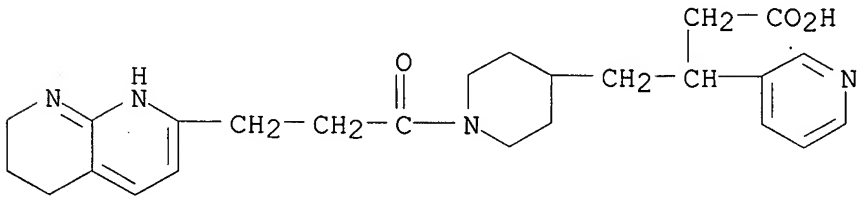
RN 669075-51-8 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3-fluorophenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



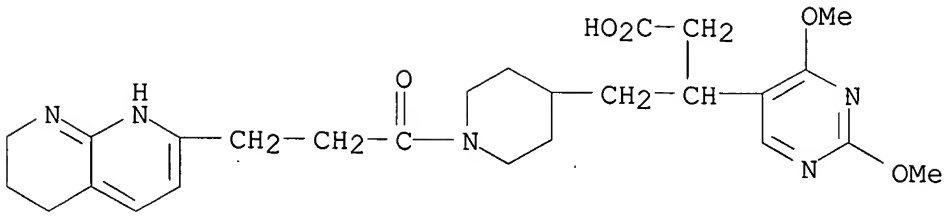
RN 669075-56-3 CAPLUS
CN 5-Pyrimidinepropanoic acid, 2-methyl-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



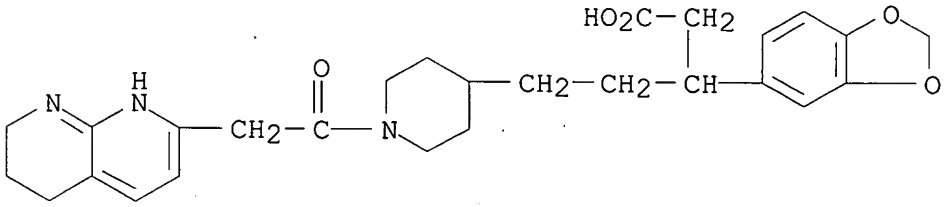
RN 669075-66-5 CAPLUS
CN 3-Pyridinepropanoic acid, β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



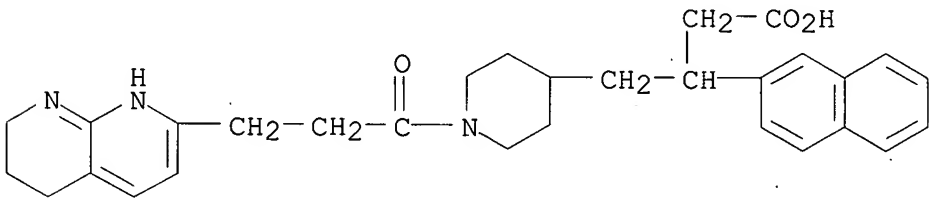
RN 669075-68-7 CAPLUS
CN 5-Pyrimidinepropanoic acid, 2,4-dimethoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



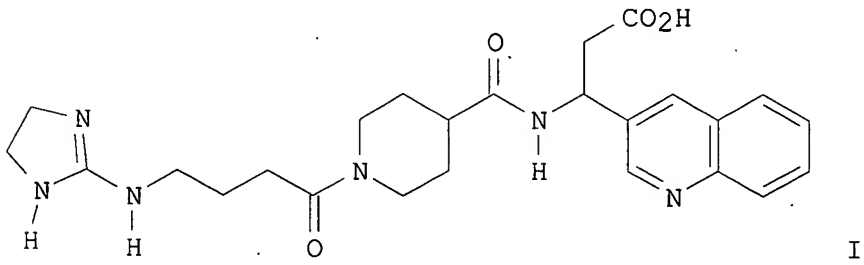
RN 669075-84-7 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)acetyl]- (9CI) (CA INDEX NAME)



RN 669075-93-8 CAPLUS
CN 4-Piperidinebutanoic acid, β-2-naphthalenyl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



GI



I

AB The synthesis and SAR of a class of piperidine-based

αvβ3/αvβ5 integrin antagonists, e.g., I, is described. Replacement of an amide bond in a prototype isonipecotamide by a C-C isostere, and adjustment of the spacer length between the carboxylic acid and basic moieties, led to low nanomolar antagonists of αvβ3 and/or αvβ5 integrins with excellent selectivity vs. αIIbβ3.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

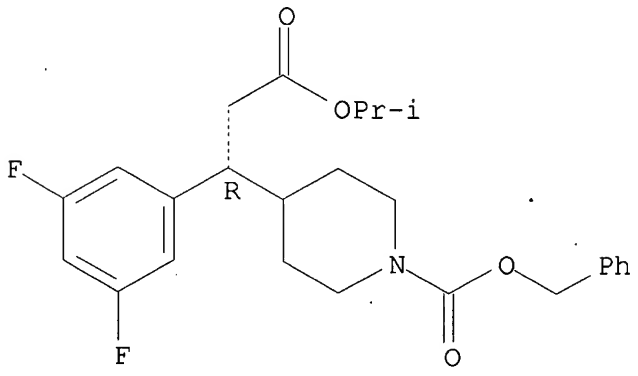
L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:546479 CAPLUS
DOCUMENT NUMBER: 141:106374
TITLE: A preparation of novel piperidine derivatives as modulators of chemokine receptor CCR5
INVENTOR(S): Cumming, John; Faull, Alan; Fielding, Colin; Oldfield, John; Tucker, Howard
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056773	A1	20040708	WO 2003-SE2008	20031218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508624	A1	20040708	CA 2003-2508624	20031218
AU 2003288856	A1	20040714	AU 2003-288856	20031218
EP 1572650	A1	20050914	EP 2003-781235	20031218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017459	A	20051116	BR 2003-17459	20031218
CN 1732153	A	20060208	CN 2003-80107833	20031218
JP 2006514107	T	20060427	JP 2005-502630	20031218
US 2006189650	A1	20060824	US 2005-539859	20050617

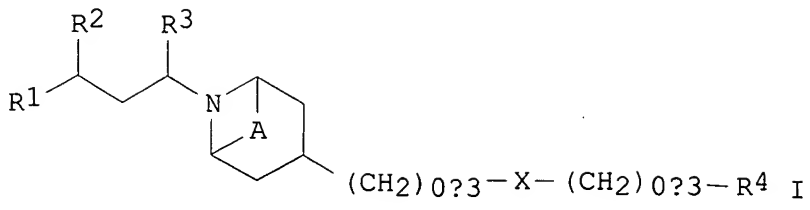
NO 2005003539	A	20050920	NO 2005-3539		20050719
PRIORITY APPLN. INFO.:			SE 2002-3821	A	20021220
			SE 2003-499	A	20030224
			SE 2003-1425	A	20030515
			WO 2003-SE2008	W	20031218

OTHER SOURCE(S): MARPAT 141:106374
IT 718610-71-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of novel piperidine derivs. as modulators of chemokine receptor
ccr5)
RN 718610-71-0 CAPLUS
CN 4-Piperidinepropanoic acid, β-(3,5-difluorophenyl)-1-[(phenylmethoxy)carbonyl]-, 1-methylethyl ester, (βR)- (9CI) (CA INDEX NAME)

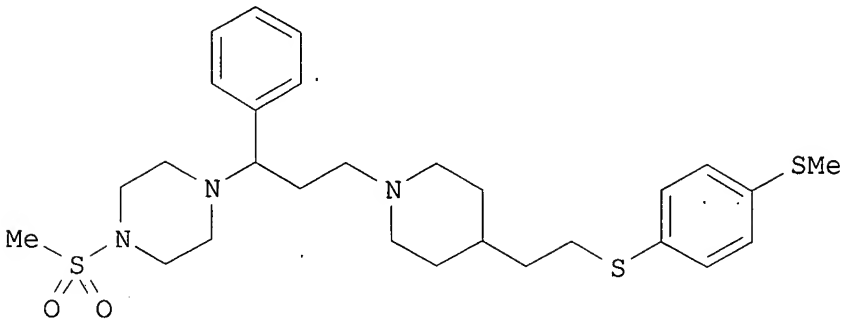
Absolute stereochemistry.



GI



I



II

AB The invention relates to a preparation of novel piperidine derivs. of formula I
[wherein: A is absent or (CH2)2; R1 is alkyl, C(O)NH-alkyl, or CO2-alkyl,
etc.; R2 is alkyl, Ph, heteroaryl, or cycloalkyl; R3 is H or alkyl; R4 is
(hetero)aryl or (cyclo)alkyl; X is O or S(O)0-2], useful as modulators of
chemokine receptor CCR5. The invention compds. are claimed to be useful
for the treatment of CCR5-mediated diseases such as autoimmune,
inflammatory, or proliferative diseases. The invented compds. are also of
value in inhibiting the entry of viruses (such as HIV) into target cells
(no biol. data). The ability of the invention compds. to inhibit the
binding of RANTES and MIP-1α was assessed (certain compds. of
formula I have IC50 < 50 μM). For instance, Pic50 (neg. log of the
IC50 result) for piperidine derivative II was determined as 6.91 (table XV).
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:203829 CAPLUS
DOCUMENT NUMBER: 140:253451
TITLE: Piperidinyl compounds that selectively bind integrins

INVENTOR(S): De Corte, Bart; Kinney, William A.; Maryanoff, Bruce
E.; Ghosh, Shyamali; Liu, Li
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

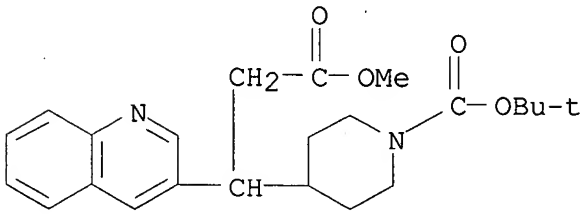
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004020435	A1	20040311	WO 2003-US25782		20030815
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2003259891	A1	20040319	AU 2003-259891		20030815
CA 2496127	A1	20050216	CA 2003-2496127		20030815
EP 1539739	A1	20050615	EP 2003-791686		20030815
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003013534	A	20050712	BR 2003-13534		20030815
CN 1688572	A	20051026	CN 2003-824090		20030815
JP 2005539049	T	20051222	JP 2004-532905		20030815
NO 2005001273	A	20050510	NO 2005-1273		20050311
IN 2005KN00434	A	20060303	IN 2005-KN434		20050316
PRIORITY APPLN. INFO.:			US 2002-404239P	P	20020816
			WO 2003-US25782	W	20030815

OTHER SOURCE(S): MARPAT 140:253451
IT 669074-91-3P 669074-96-8P 669074-99-1P
669075-05-2P 669075-08-5P 669075-14-3P
669075-16-5P 669075-18-7P 669075-20-1P
669075-26-7P 669075-33-6P 669075-35-8P
669075-44-9P 669075-47-2P 669075-75-6P
669075-76-7P 669075-79-0P 669075-88-1P
669075-92-7P 669076-23-7P 669076-28-2P
669076-34-0P 669076-37-3P 669076-41-9P
669076-44-2P 669076-49-7P 669076-54-4P
669076-74-8P 669076-76-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of piperidinyl derivs. useful as αvβ3 and αvβ5 integrin receptor antagonists)

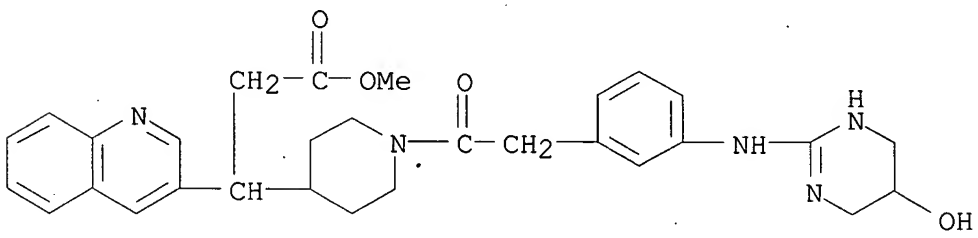
RN 669074-91-3 CAPLUS

CN 3-Quinolinepropanoic acid, β-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)



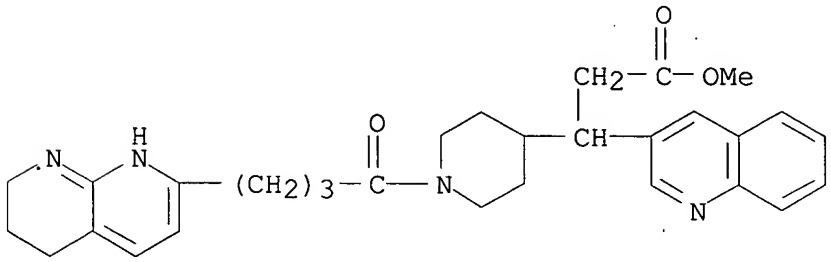
RN 669074-96-8 CAPLUS

CN 3-Quinolinepropanoic acid, β-[1-[[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]phenyl]acetyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)



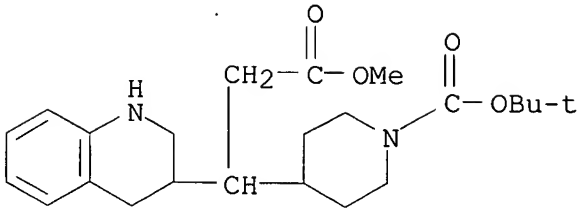
RN 669074-99-1 CAPLUS

CN 3-Quinolinepropanoic acid, β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

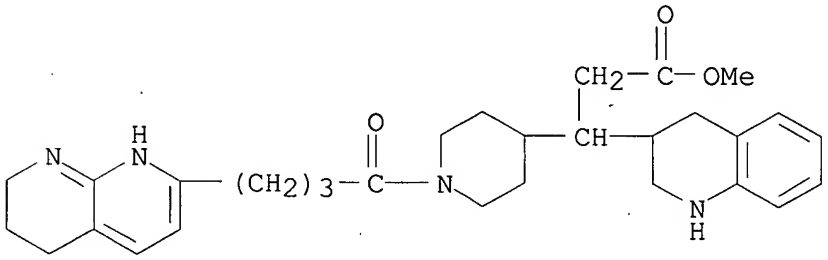


RN 669075-05-2 CAPLUS

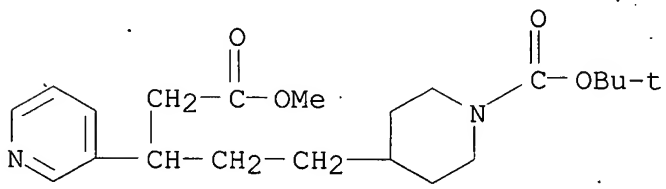
CN 3-Quinolinepropanoic acid, β-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-1,2,3,4-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)



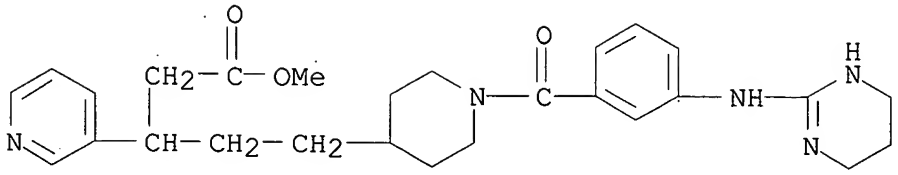
RN 669075-08-5 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)



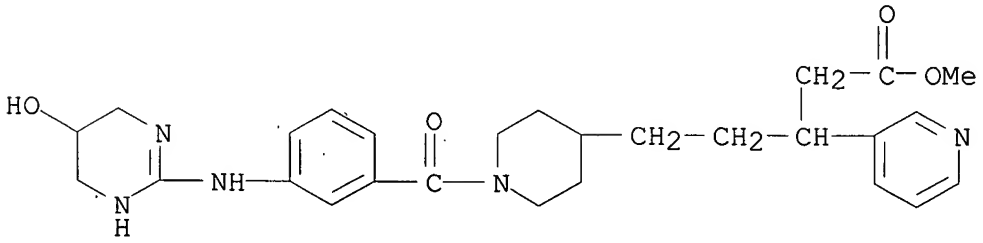
RN 669075-14-3 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



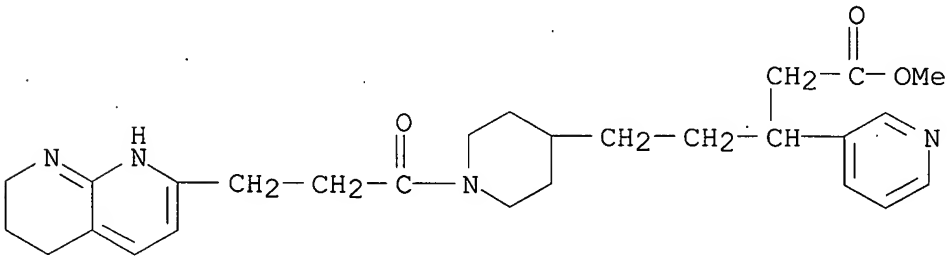
RN 669075-16-5 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA
INDEX NAME)



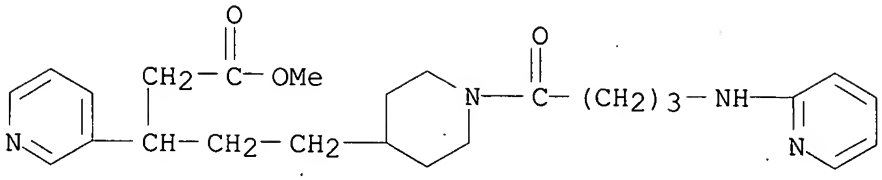
RN 669075-18-7 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA
INDEX NAME)



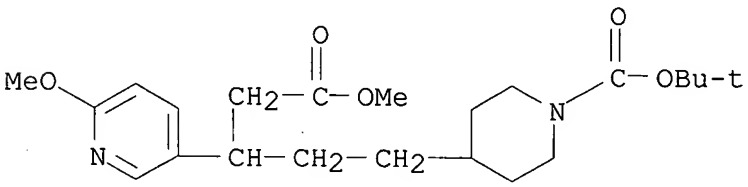
RN 669075-20-1 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA
INDEX NAME)



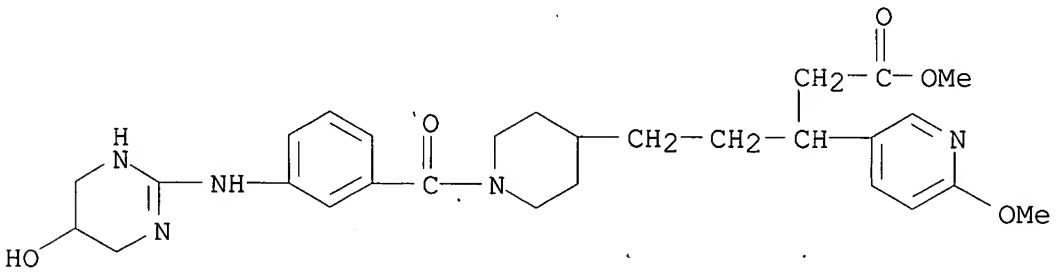
RN 669075-26-7 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[1-oxo-4-(2-pyridinylamino)butyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



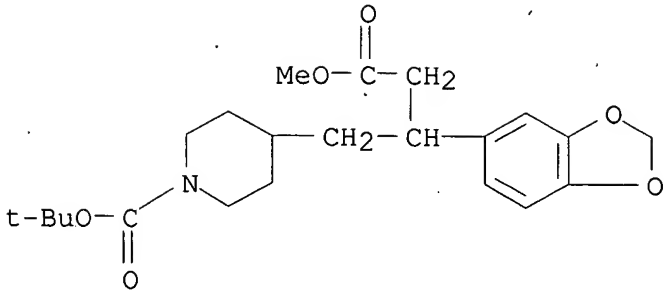
RN 669075-33-6 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]-6-methoxy-, methyl ester (9CI) · (CA INDEX NAME)



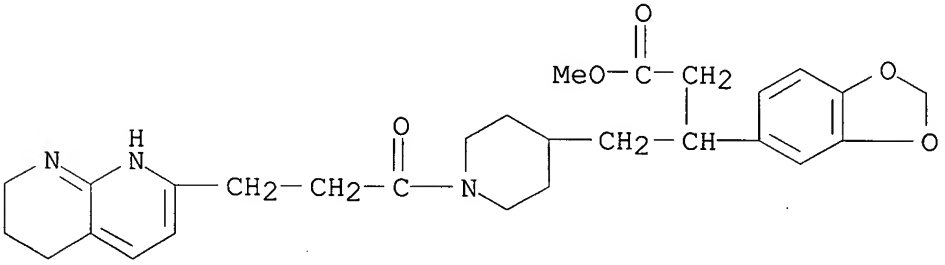
RN 669075-35-8 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, methyl ester
(9CI) (CA INDEX NAME)



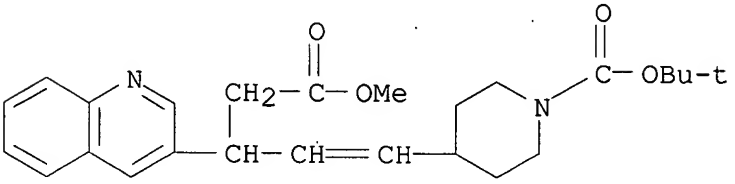
RN 669075-44-9 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



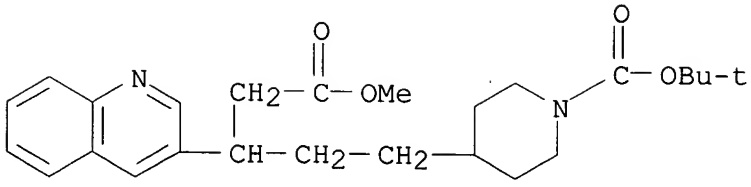
RN 669075-47-2 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)



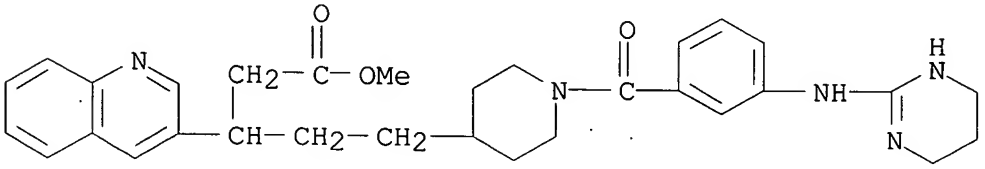
RN 669075-75-6 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethenyl]-, methyl ester (9CI) (CA INDEX NAME)



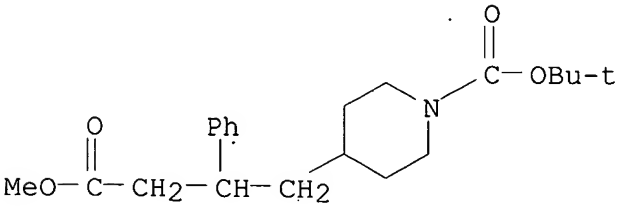
RN 669075-76-7 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



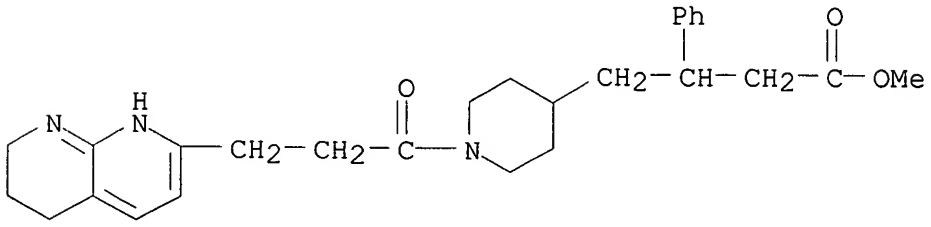
RN 669075-79-0 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



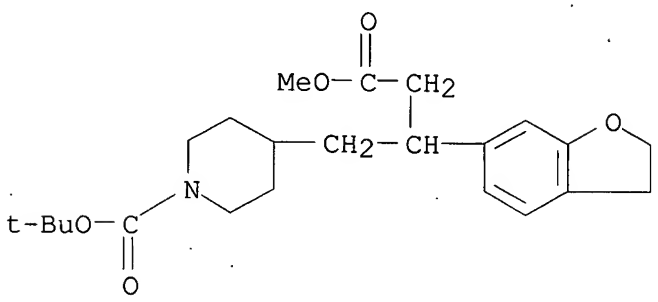
RN 669075-88-1 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-phenyl-, methyl ester (9CI) (CA INDEX NAME)



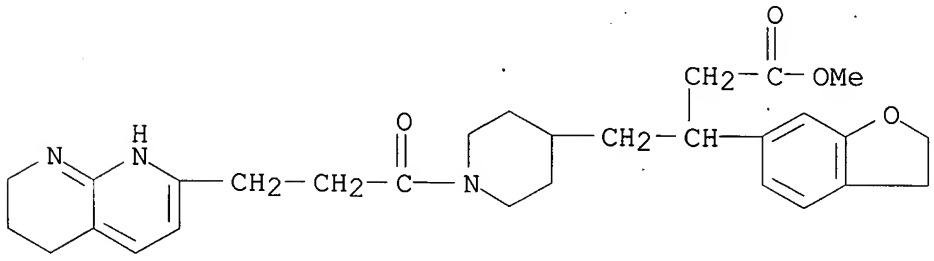
RN 669075-92-7 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-β-phenyl-, methyl ester (9CI) (CA INDEX NAME)



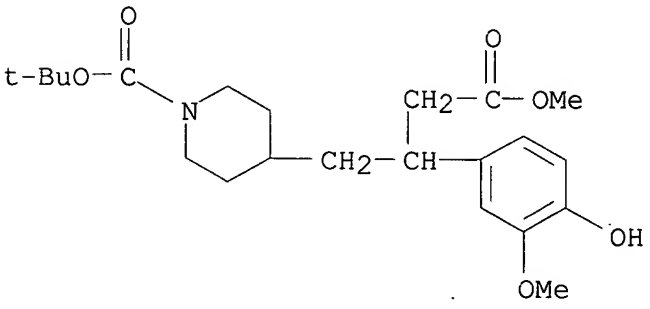
RN 669076-23-7 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 669076-28-2 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

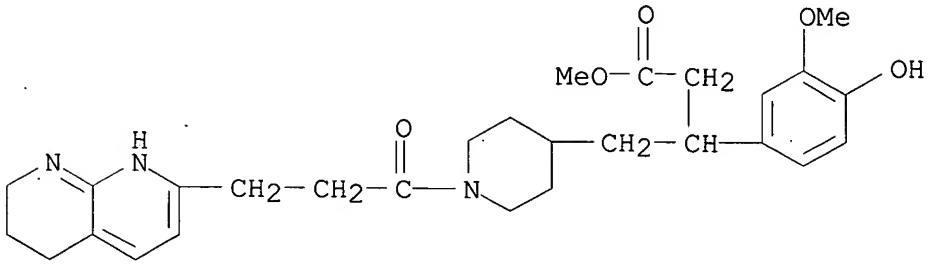


RN 669076-34-0 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-(4-hydroxy-3-methoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)

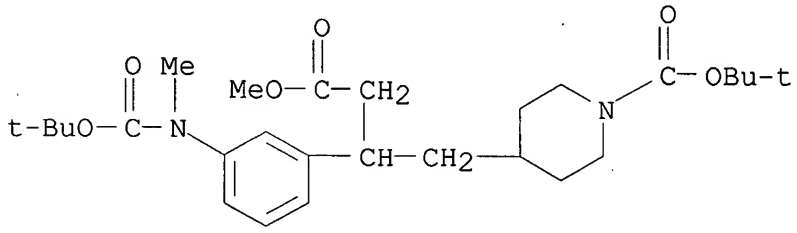


RN 669076-37-3 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-hydroxy-3-methoxyphenyl)-1-[1-oxo-3-

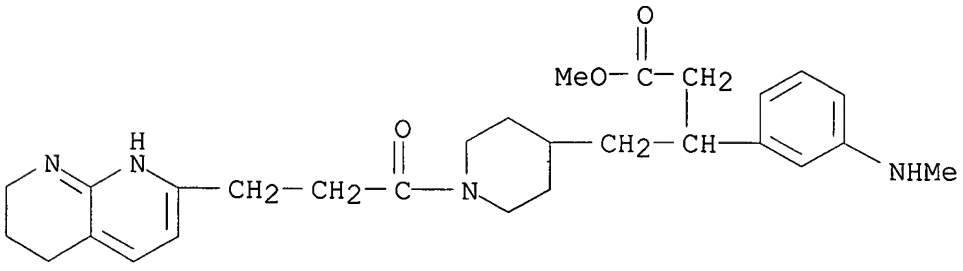
(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)



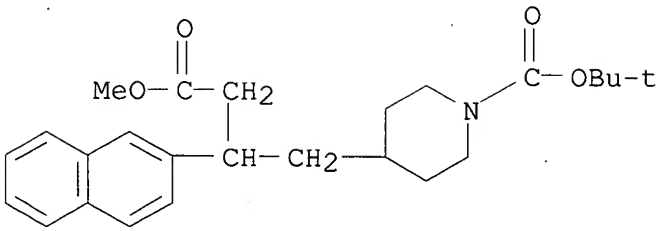
RN 669076-41-9 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-[3-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



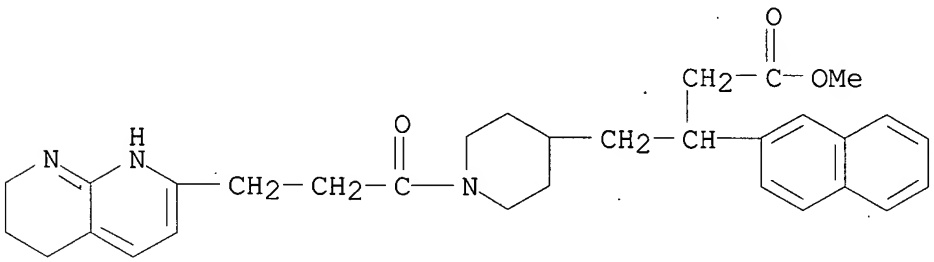
RN 669076-44-2 CAPLUS
CN 4-Piperidinebutanoic acid, β-[3-(methylamino)phenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)



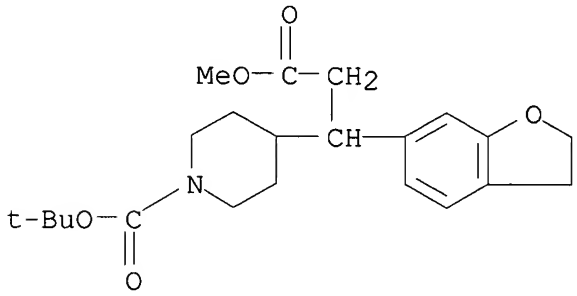
RN 669076-49-7 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-2-naphthalenyl-, methyl ester (9CI) (CA INDEX NAME)



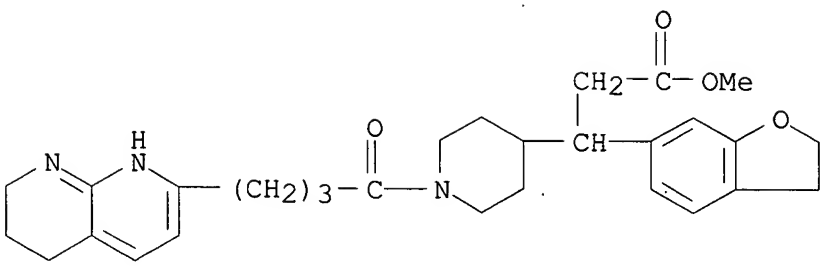
RN 669076-54-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-2-naphthalenyl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 669076-74-8 CAPLUS
CN 4-Piperidinepropanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

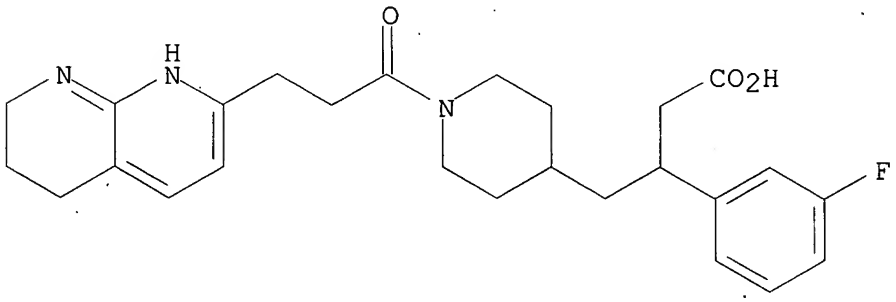


RN 669076-76-0 CAPLUS
CN 4-Piperidinepropanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-, methyl ester (9CI) (CA INDEX NAME)



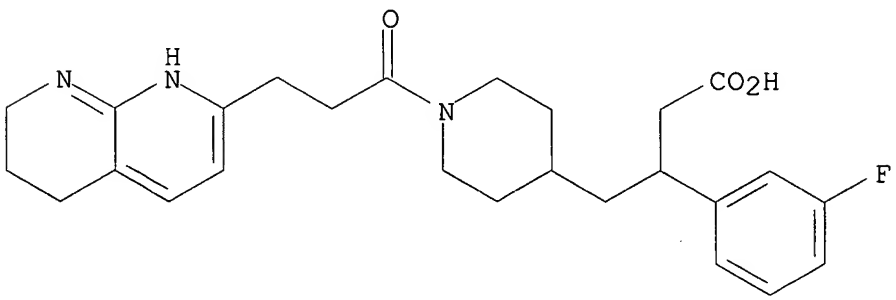
IT 669076-68-0P 669076-69-1P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(preparation of piperidinyl derivs. useful as αvβ3 and αvβ5 integrin receptor antagonists)
RN 669076-68-0 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3-fluorophenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 669076-69-1 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3-fluorophenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



IT 669074-97-9P 669074-98-0P 669075-00-7P
669075-01-8P 669075-02-9P 669075-03-0P
669075-04-1P 669075-09-6P 669075-10-9P
669075-11-0P 669075-12-1P 669075-17-6P
669075-19-8P 669075-21-2P 669075-22-3P
669075-23-4P 669075-24-5P 669075-27-8P
669075-28-9P 669075-29-0P 669075-30-3P
669075-31-4P 669075-38-1P 669075-39-2P
669075-40-5P 669075-41-6P 669075-48-3P
669075-49-4P 669075-50-7P 669075-51-8P
669075-52-9P 669075-53-0P 669075-54-1P
669075-55-2P 669075-56-3P 669075-57-4P
669075-58-5P 669075-59-6P 669075-60-9P
669075-61-0P 669075-62-1P 669075-63-2P
669075-64-3P 669075-65-4P 669075-66-5P
669075-67-6P 669075-68-7P 669075-69-8P
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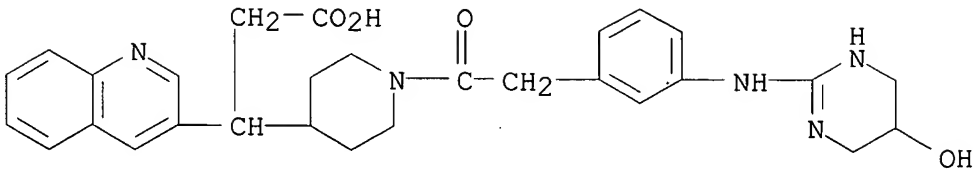
669076-94-2P 669076-96-4P 669076-97-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinyl derivs. useful as αvβ3 and αvβ5 integrin receptor antagonists)

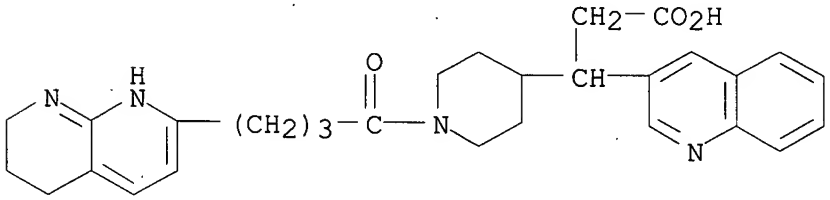
RN 669074-97-9 CAPLUS

CN 3-Quinolinepropanoic acid, β-[1-[[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]phenyl]acetyl]-4-piperidinyl]-, monohydrochloride (9CI)
(CA INDEX NAME)



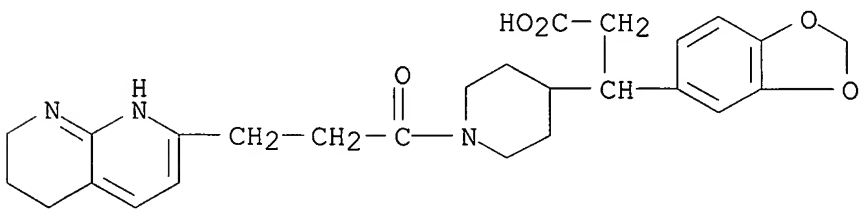
● HCl

RN 669074-98-0 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, hydrochloride (2:7) (9CI) (CA INDEX NAME)

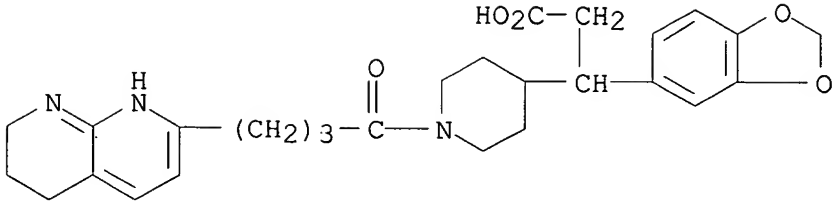


●7/2 HCl

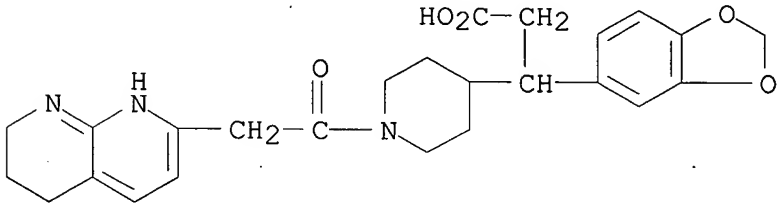
RN 669075-00-7 CAPLUS
CN 4-Piperidinepropanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



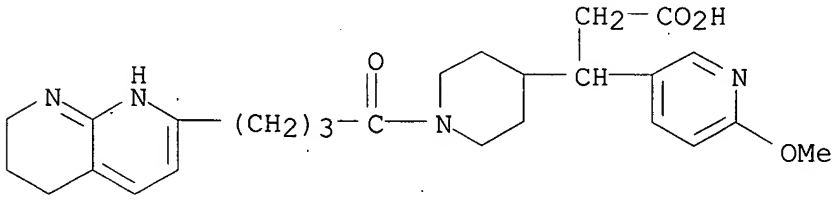
RN 669075-01-8 CAPLUS
CN 4-Piperidinepropanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)



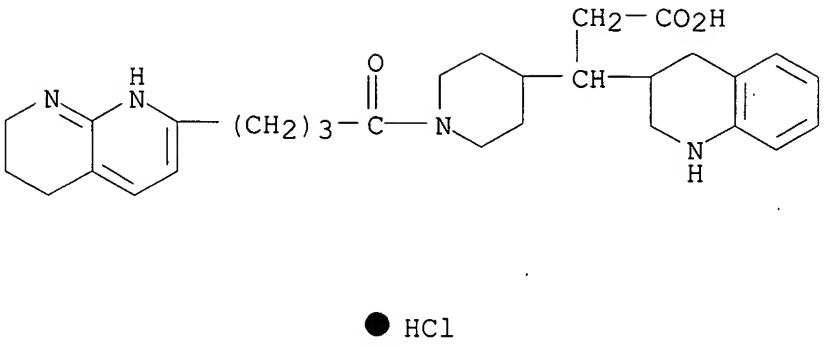
RN 669075-02-9 CAPLUS
CN 4-Piperidinepropanoic acid, β-1,3-benzodioxol-5-yl-1-[(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)acetyl]- (9CI) (CA INDEX NAME)



RN 669075-03-0 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

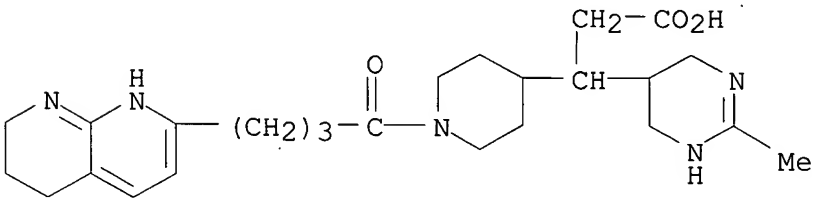


RN 669075-04-1 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, monohydrochloride
(9CI) (CA INDEX NAME)

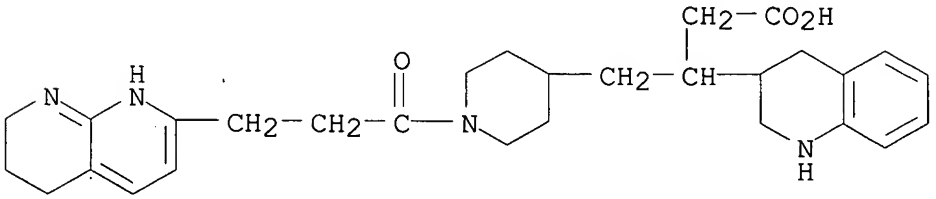


● HCl

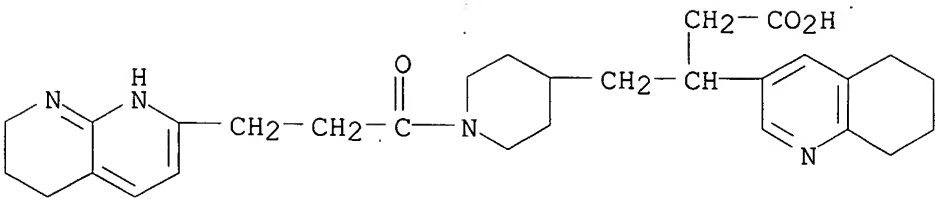
RN 669075-09-6 CAPLUS
CN 5-Pyrimidinepropanoic acid, 1,4,5,6-tetrahydro-2-methyl-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



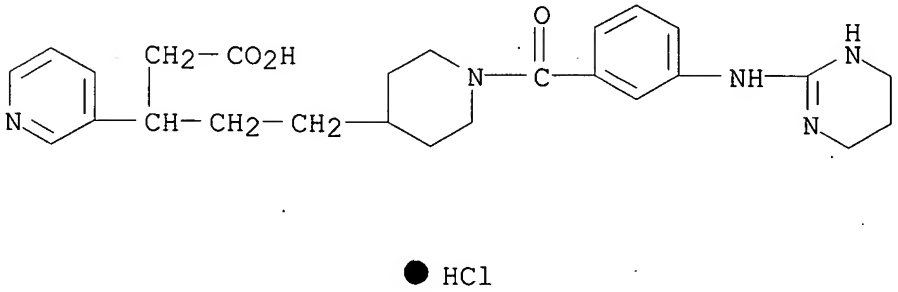
RN 669075-10-9 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 669075-11-0 CAPLUS
CN 3-Quinolinepropanoic acid, 5,6,7,8-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

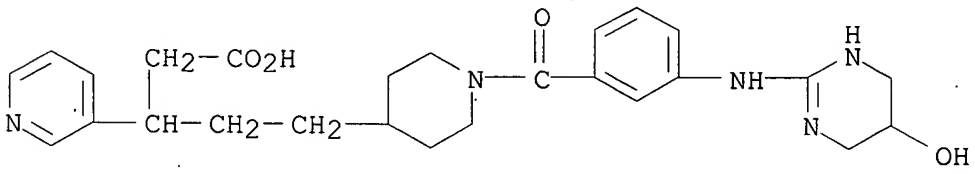


RN 669075-12-1 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI)
(CA INDEX NAME)

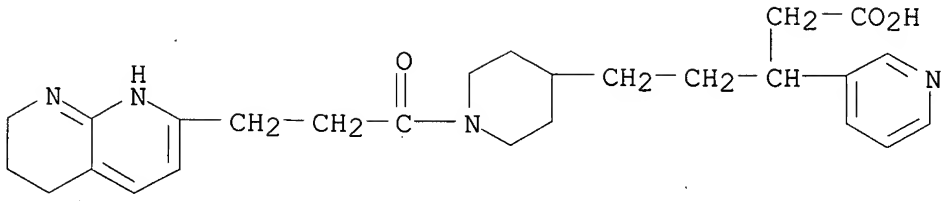


● HCl

RN 669075-17-6 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

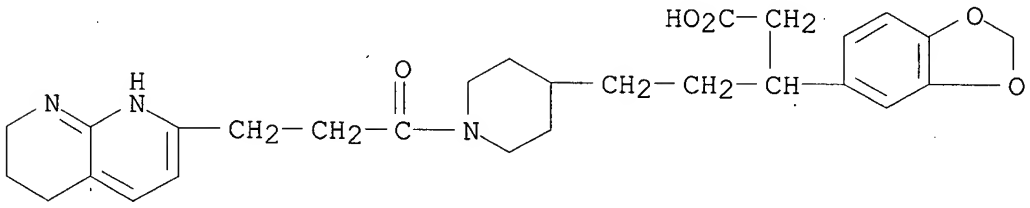


RN 669075-19-8 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI)
(CA INDEX NAME)

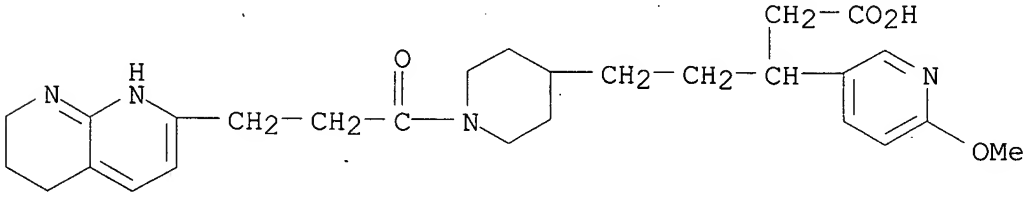


● HCl

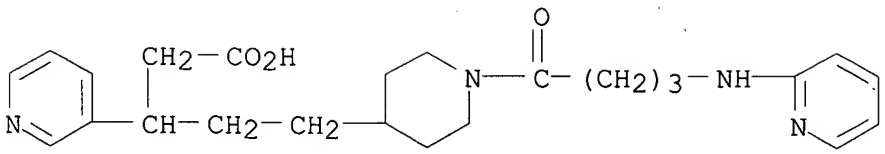
RN 669075-21-2 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



RN 669075-22-3 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



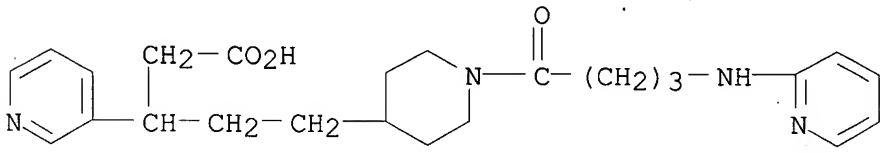
RN 669075-23-4 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[1-oxo-4-(2-pyridinylamino)butyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 669075-24-5 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[1-oxo-4-(2-pyridinylamino)butyl]-4-piperidinyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

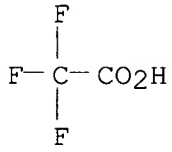
CM 1

CRN 669075-23-4
CMF C24 H32 N4 O3

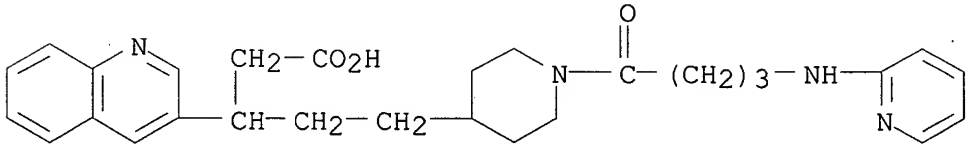


CM 2

CRN 76-05-1
CMF C2 H F3 O2

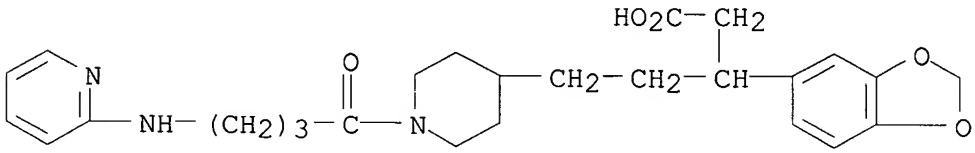


RN 669075-27-8 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[1-oxo-4-(2-pyridinylamino)butyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

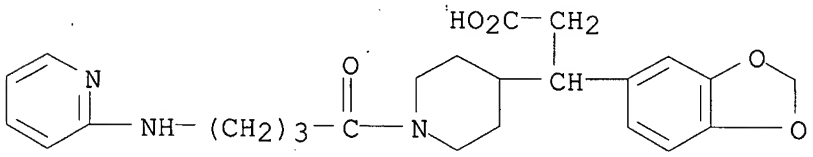


RN 669075-28-9 CAPLUS

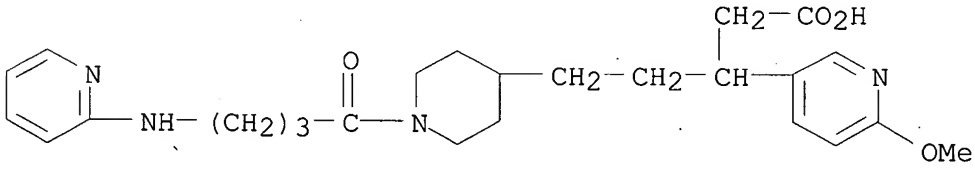
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-4-(2-pyridinylamino)butyl]- (9CI) (CA INDEX NAME)



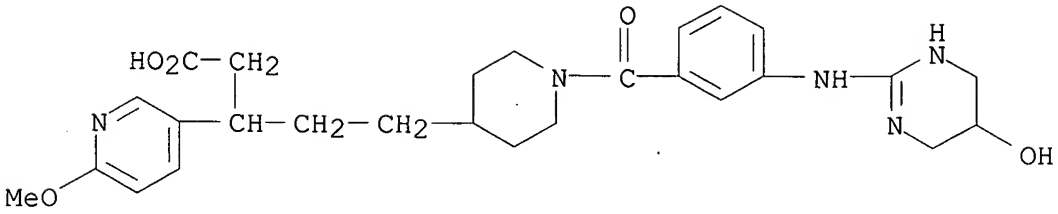
RN 669075-29-0 CAPLUS
CN 4-Piperidinepropanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-4-(2-pyridinylamino)butyl]- (9CI) (CA INDEX NAME)



RN 669075-30-3 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[1-oxo-4-(2-pyridinylamino)butyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



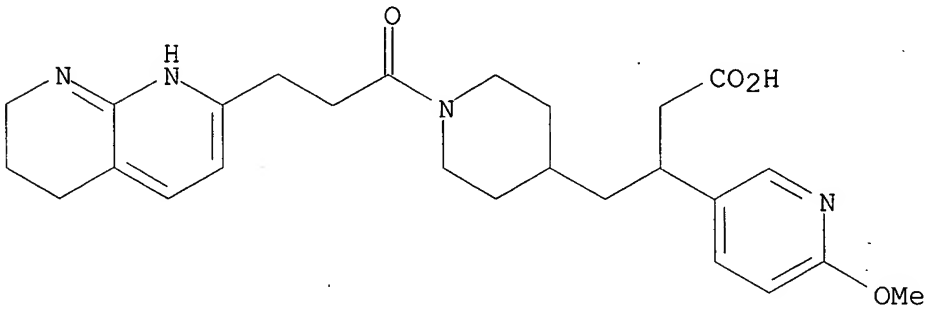
RN 669075-31-4 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

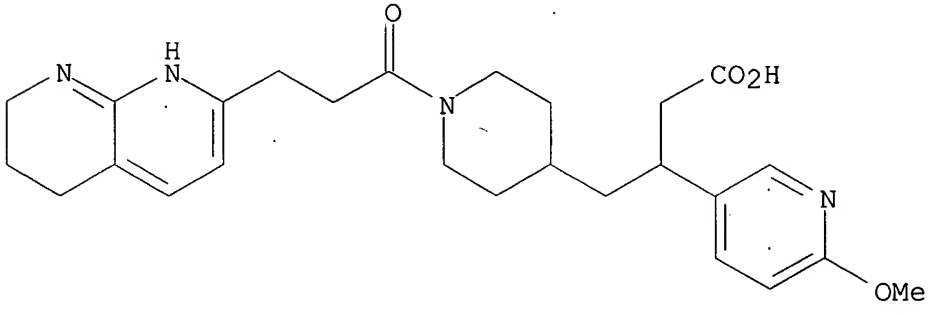
RN 669075-38-1 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

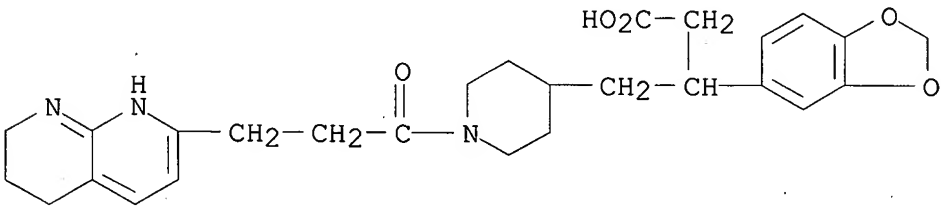


RN 669075-39-2 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



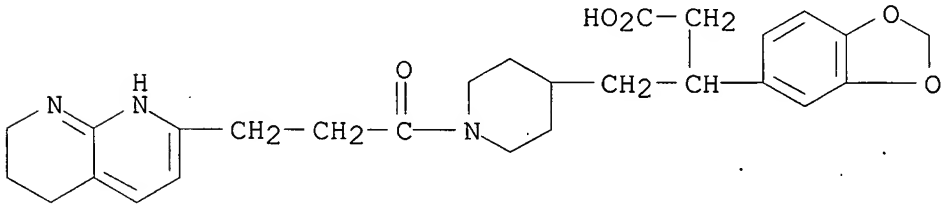
RN 669075-40-5 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



RN 669075-41-6 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

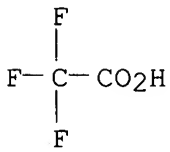
CM 1

CRN 669075-40-5
CMF C27 H33 N3 O5

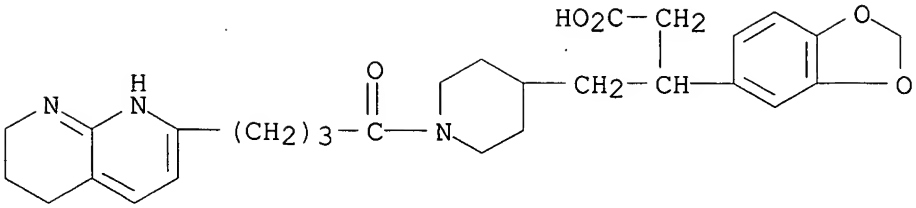


CM 2

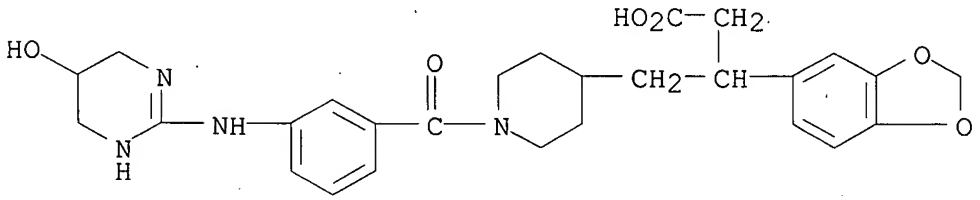
CRN 76-05-1
CMF C2 H F3 O2



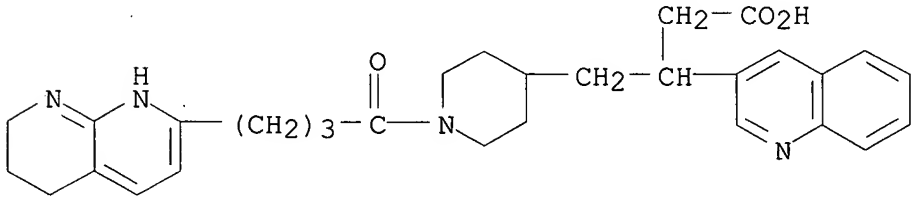
RN 669075-48-3 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)



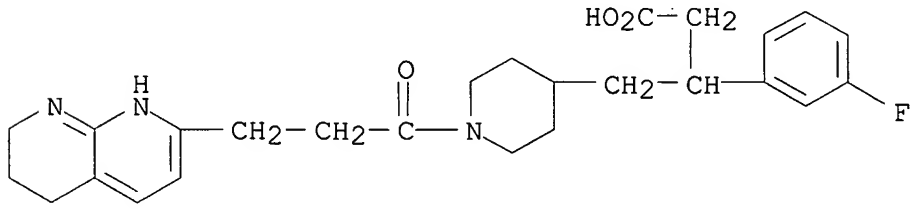
RN 669075-49-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-1,3-benzodioxol-5-yl-1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 669075-50-7 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

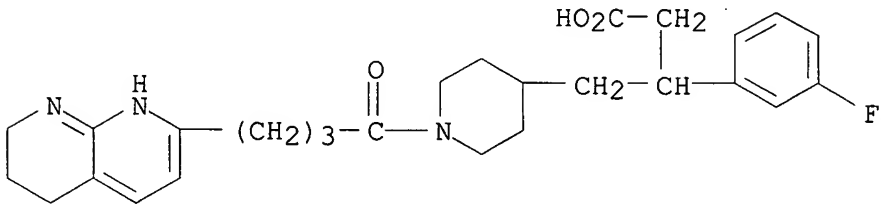


RN 669075-51-8 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3-fluorophenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

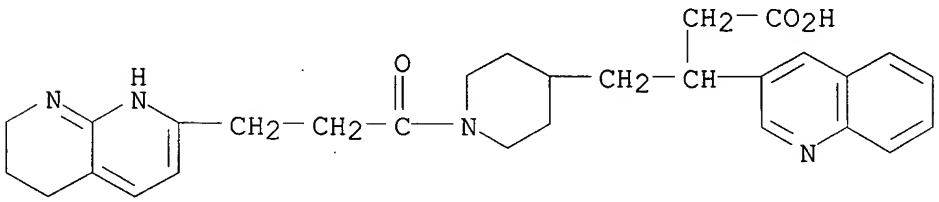


RN 669075-52-9 CAPLUS

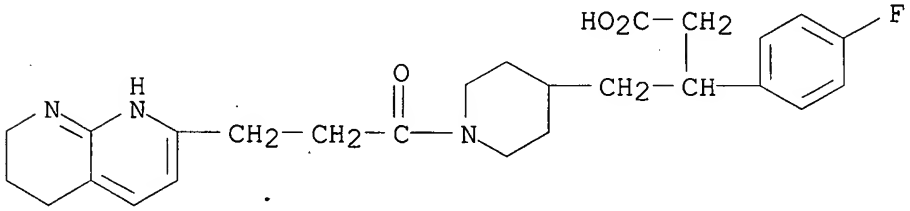
CN 4-Piperidinebutanoic acid, β-(3-fluorophenyl)-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)



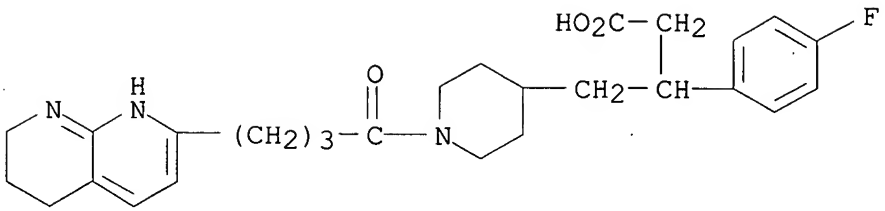
RN 669075-53-0 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



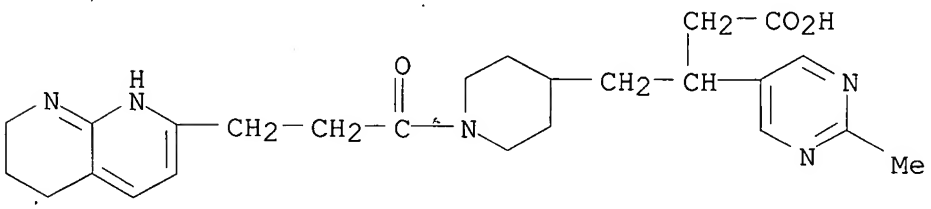
RN 669075-54-1 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-fluorophenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



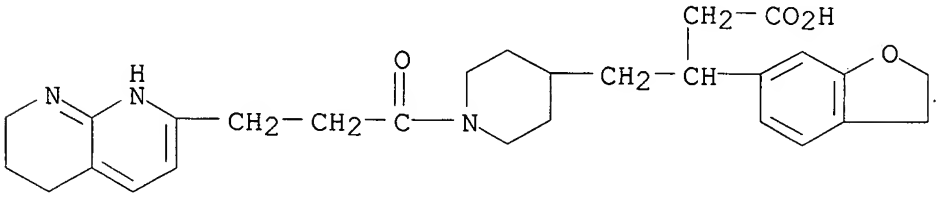
RN 669075-55-2 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-fluorophenyl)-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)



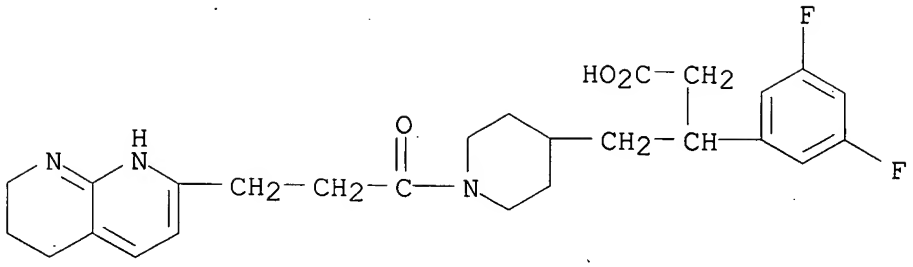
RN 669075-56-3 CAPLUS
CN 5-Pyrimidinepropanoic acid, 2-methyl-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



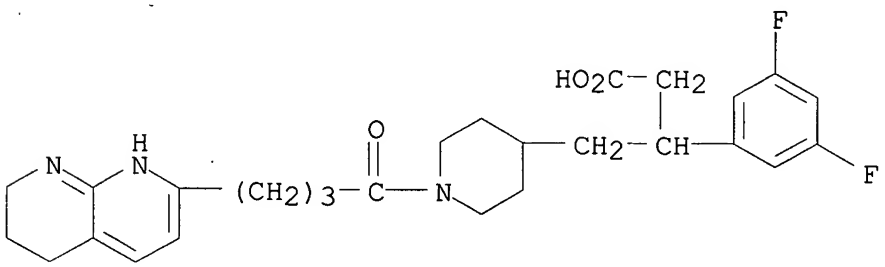
RN 669075-57-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



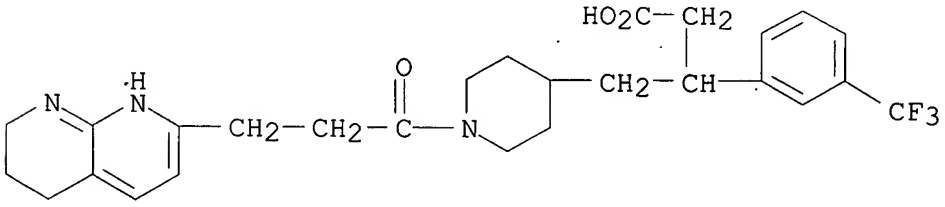
RN 669075-58-5 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3,5-difluorophenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



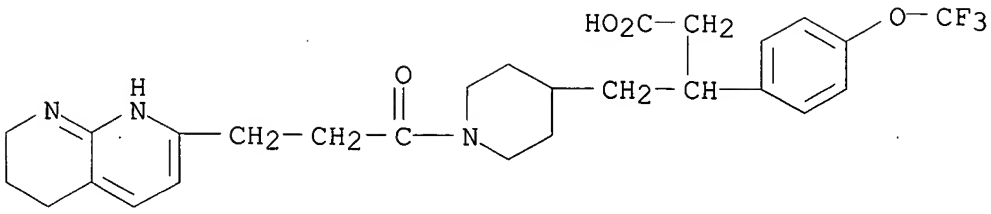
RN 669075-59-6 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3,5-difluorophenyl)-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)



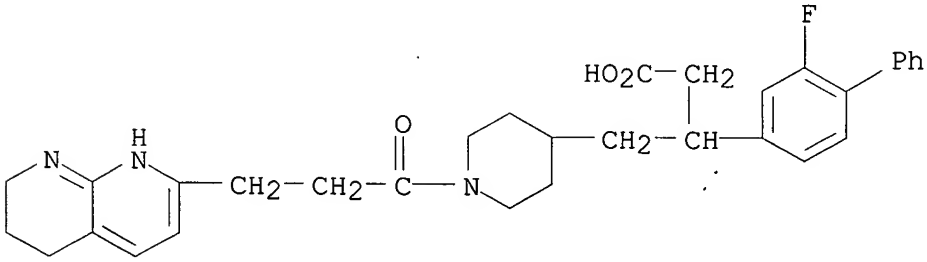
RN 669075-60-9 CAPLUS
CN 4-Piperidinebutanoic acid,
1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-β-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



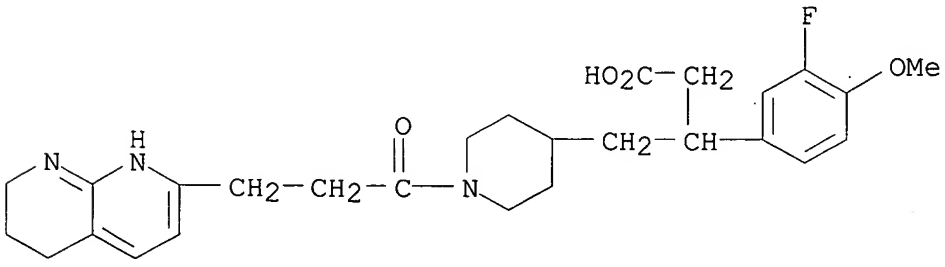
RN 669075-61-0 CAPLUS
CN 4-Piperidinebutanoic acid,
1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-β-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



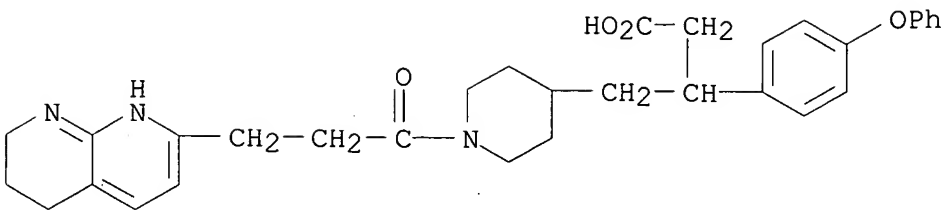
RN 669075-62-1 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2-fluoro[1,1'-biphenyl]-4-yl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



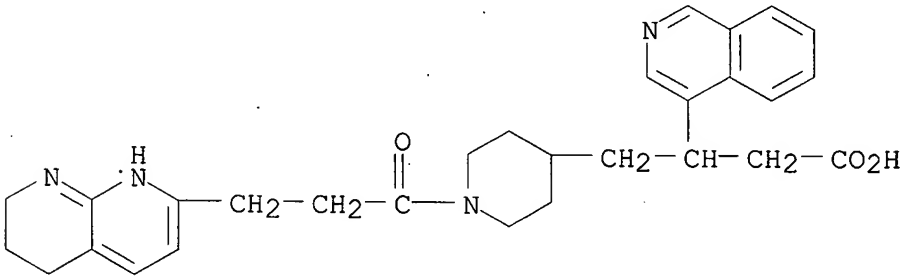
RN 669075-63-2 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3-fluoro-4-methoxyphenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



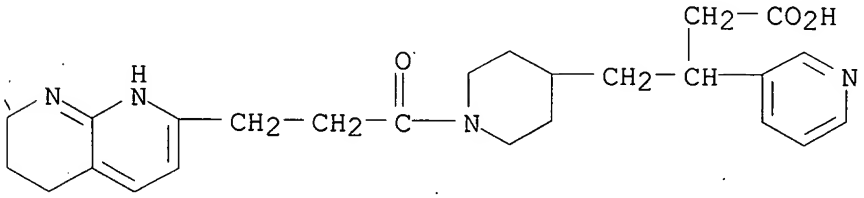
RN 669075-64-3 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-β-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



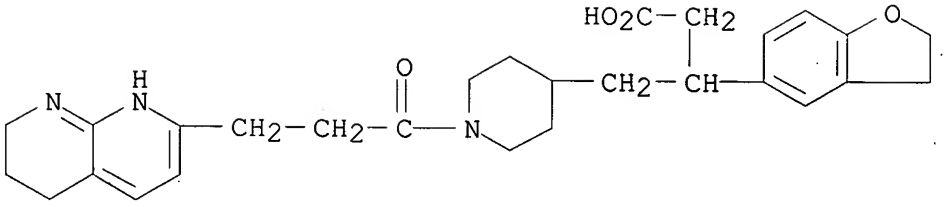
RN 669075-65-4 CAPLUS
CN 4-Isoquinolinepropanoic acid, β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



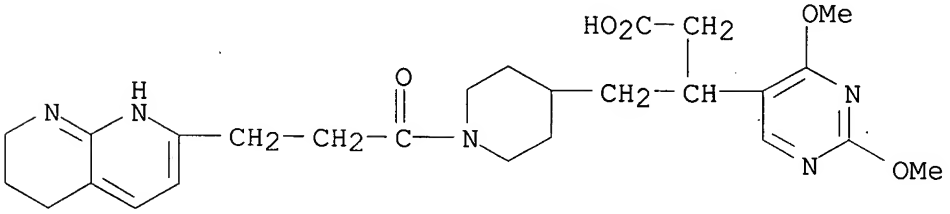
RN 669075-66-5 CAPLUS
CN 3-Pyridinepropanoic acid, β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



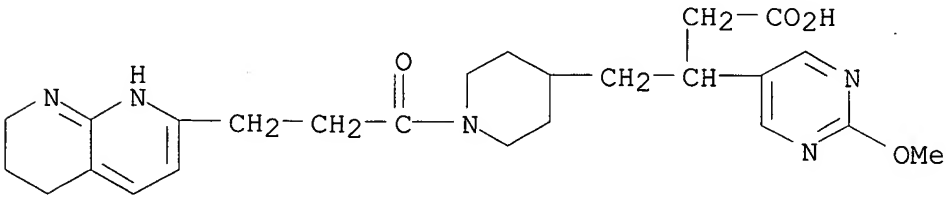
RN 669075-67-6 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-5-benzofuranyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



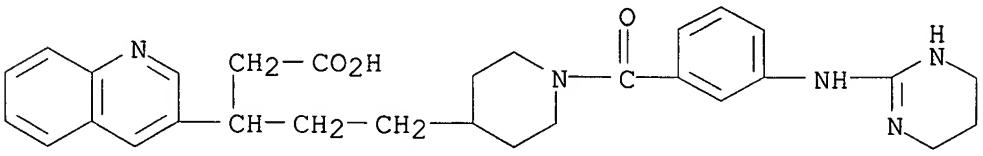
RN 669075-68-7 CAPLUS
CN 5-Pyrimidinepropanoic acid, 2,4-dimethoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA
INDEX NAME)



RN 669075-69-8 CAPLUS
CN 5-Pyrimidinepropanoic acid, 2-methoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA
INDEX NAME)



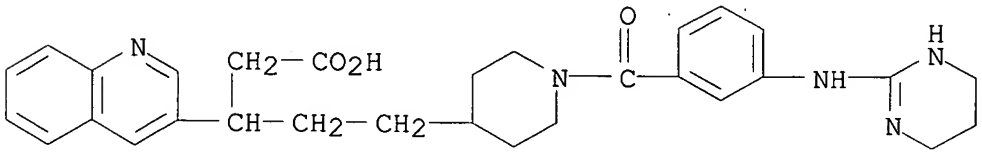
RN 669075-70-1 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 669075-71-2 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

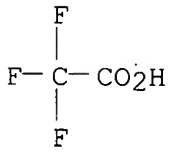
CM 1

CRN 669075-70-1
CMF C30 H35 N5 O3

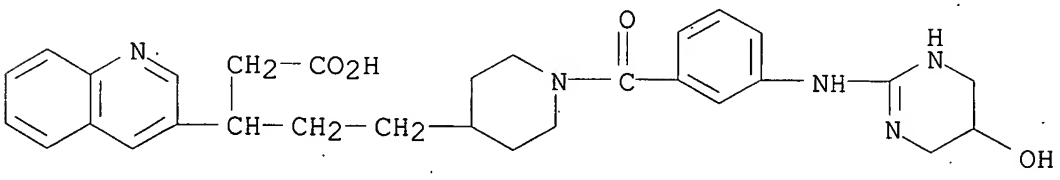


CM 2

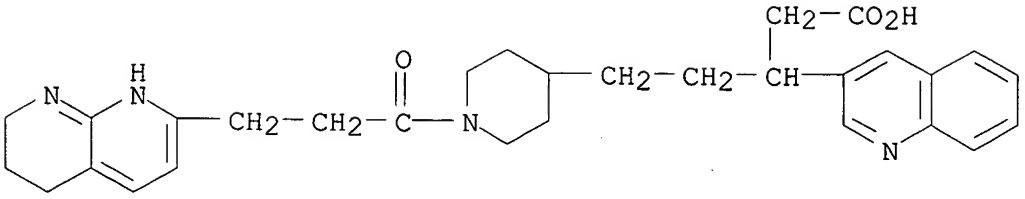
CRN 76-05-1
CMF C2 H F3 O2



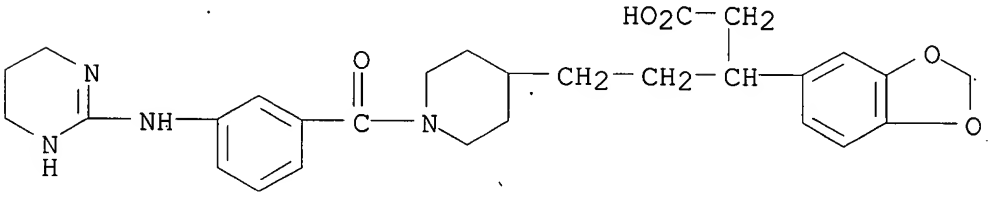
RN 669075-80-3 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



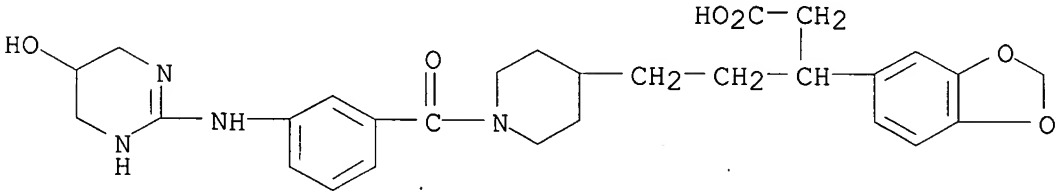
RN 669075-81-4 CAPLUS
CN 3-Quinolinepropanoic acid, β-[2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



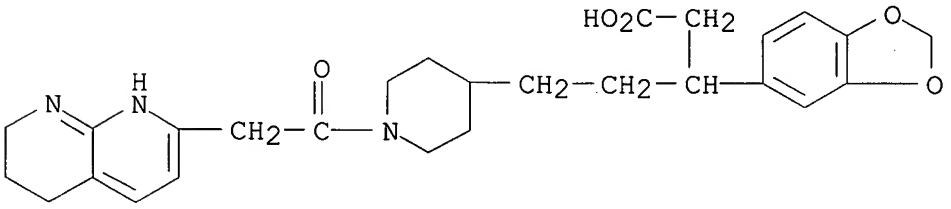
RN 669075-82-5 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 669075-83-6 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

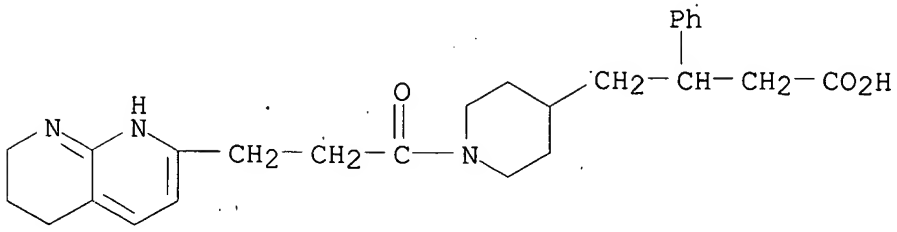


RN 669075-84-7 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)acetyl]- (9CI) (CA INDEX NAME)



RN 669075-85-8 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-

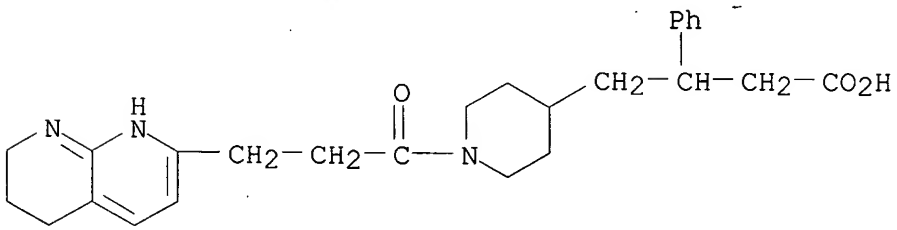
2-yl)propyl]-β-phenyl- (9CI) (CA INDEX NAME)



RN 669075-86-9 CAPLUS
CN 4-Piperidinebutanoic acid,
1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-
2-yl)propyl]-β-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

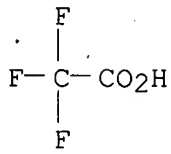
CM 1

CRN 669075-85-8
CMF C26 H33 N3 O3

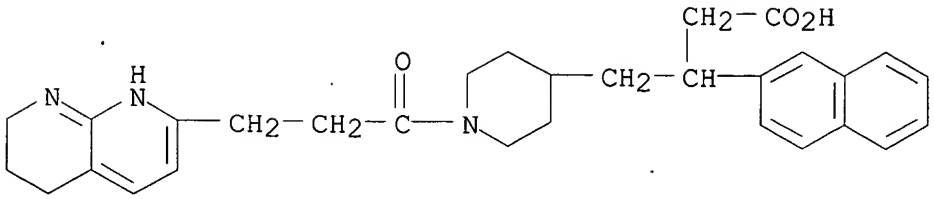


CM 2

CRN 76-05-1
CMF C2 H F3 O2

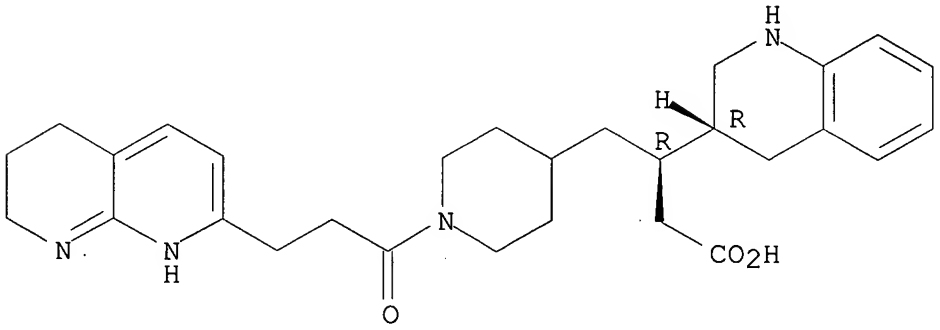


RN 669075-93-8 CAPLUS
CN 4-Piperidinebutanoic acid, β-2-naphthalenyl-1-[1-oxo-3-(1,5,6,7-
tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



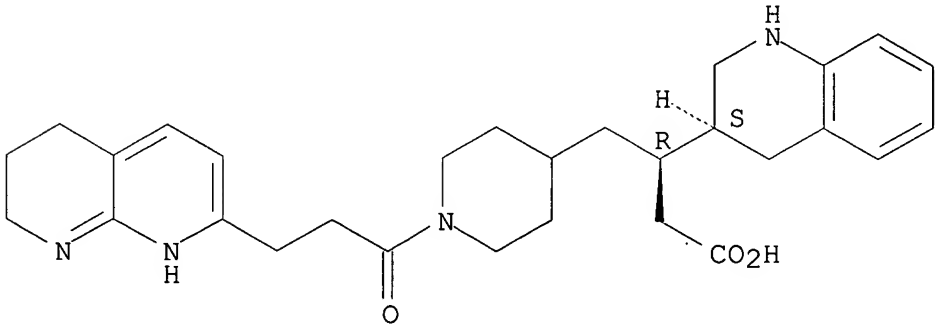
RN 669076-01-1 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, (βR,3R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



RN 669076-02-2 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, (βR,3S)-rel-(+)- (9CI) (CA INDEX NAME)

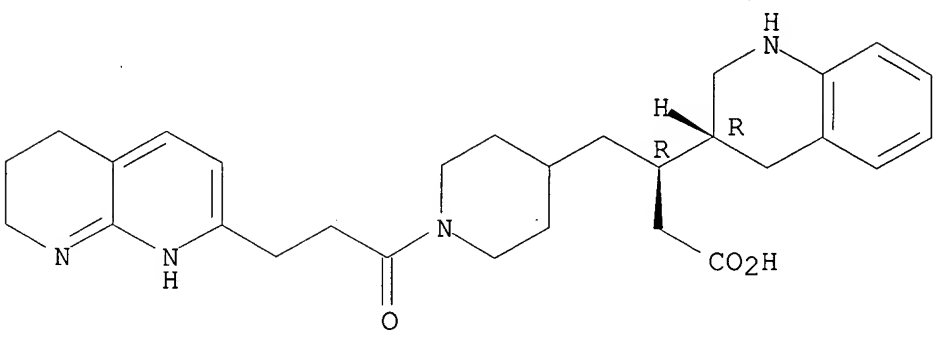
Rotation (+). Absolute stereochemistry unknown.



RN 669076-03-3 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-,

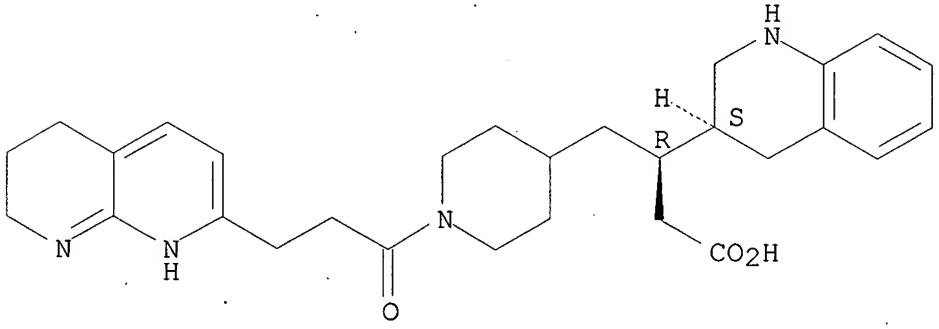
(βR,3R)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



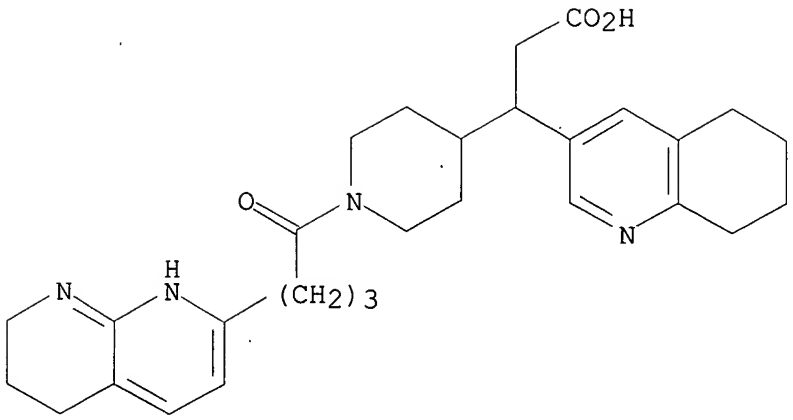
RN 669076-04-4 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]-, (βR,3S)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



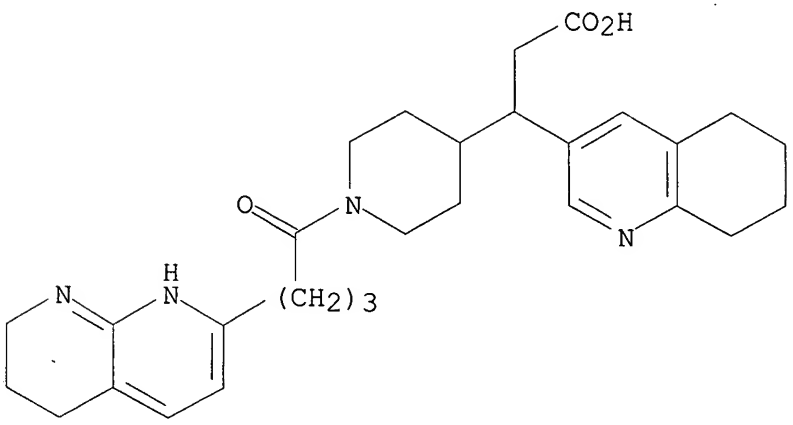
RN 669076-05-5 CAPLUS
CN 3-Quinolinepropanoic acid, 5,6,7,8-tetrahydro-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, (+)- (9CI) (CA
INDEX NAME)

Rotation (+).

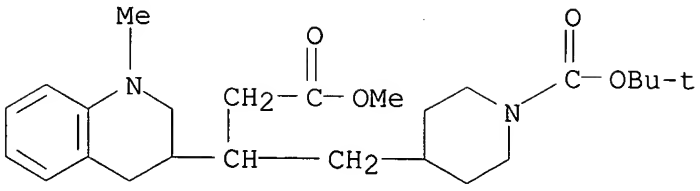


RN 669076-06-6 CAPLUS
CN 3-Quinolinepropanoic acid, 5,6,7,8-tetrahydro-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]-, (-)- (9CI) (CA
INDEX NAME)

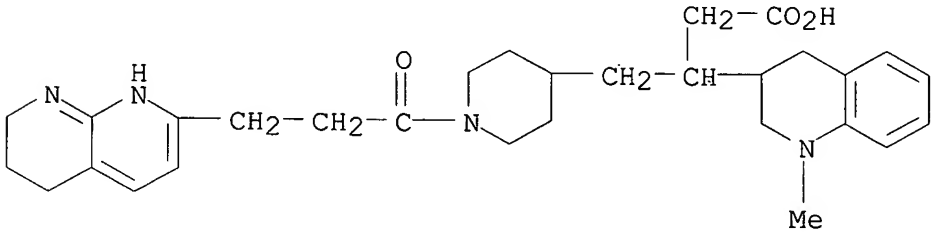
Rotation (-).



RN 669076-07-7 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-1-methyl-, methyl ester (9CI) (CA
INDEX NAME)



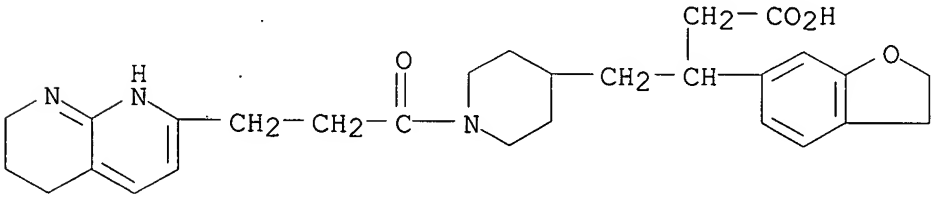
RN 669076-08-8 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-1-methyl-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 669076-20-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[1-oxo-3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

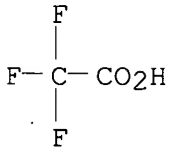
CM 1

CRN 669075-57-4
CMF C28 H35 N3 O4

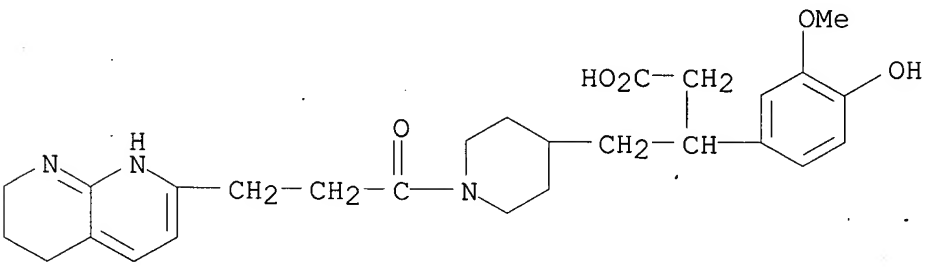


CM 2

CRN 76-05-1
CMF C2 H F3 O2



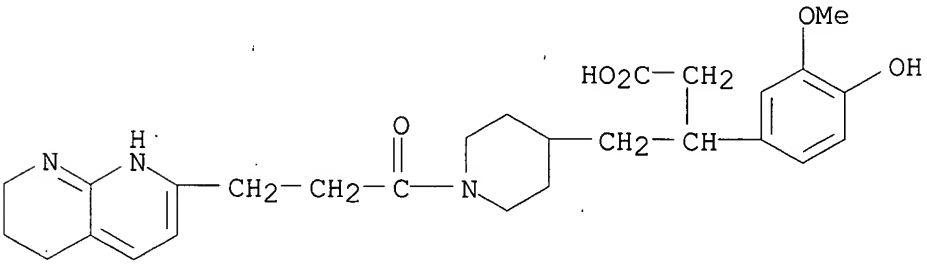
RN 669076-29-3 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-hydroxy-3-methoxyphenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



RN 669076-30-6 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-hydroxy-3-methoxyphenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

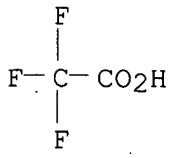
CM 1

CRN 669076-29-3
CMF C27 H35 N3 O5

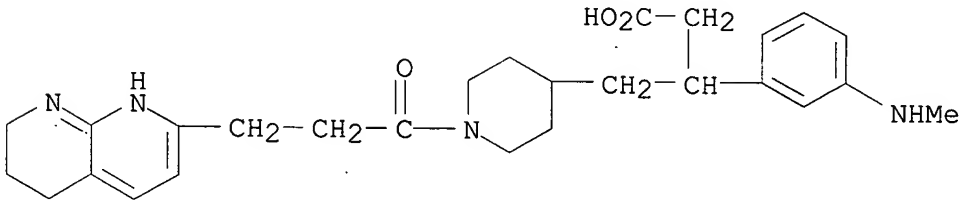


CM 2

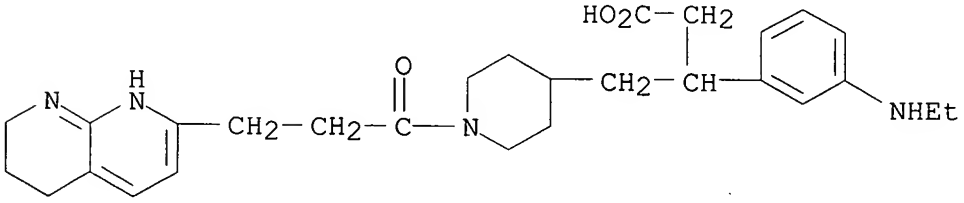
CRN 76-05-1
CMF C2 H F3 O2



RN 669076-38-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-[3-(methylamino)phenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

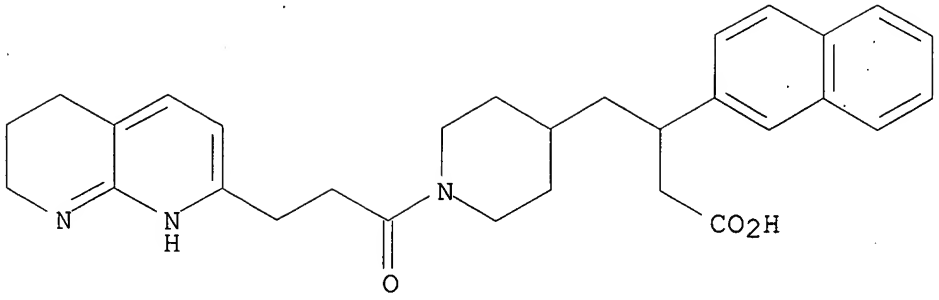


RN 669076-45-3 CAPLUS
CN 4-Piperidinebutanoic acid, β-[3-(ethylamino)phenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

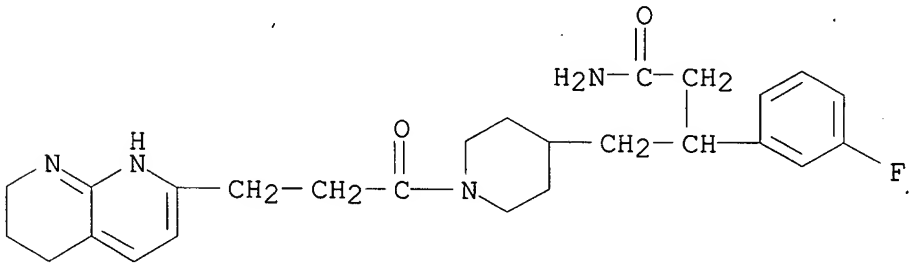


RN 669076-46-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-2-naphthalenyl-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, (-)- (9CI) (CA INDEX NAME)

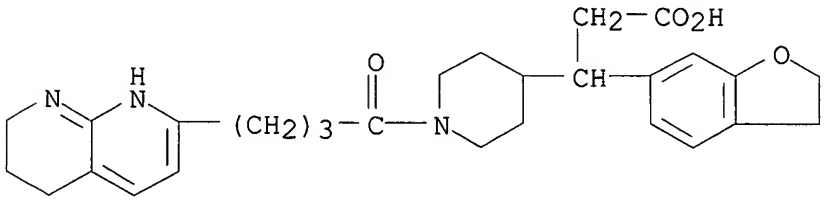
Rotation (-).



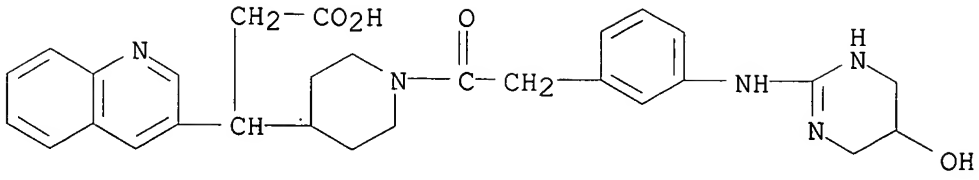
RN 669076-55-5 CAPLUS
CN 4-Piperidinebutanamide, β-(3-fluorophenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



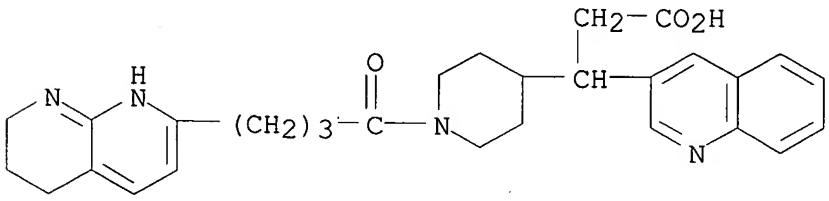
RN 669076-70-4 CAPLUS
CN 4-Piperidinepropanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]- (9CI) (CA INDEX NAME)



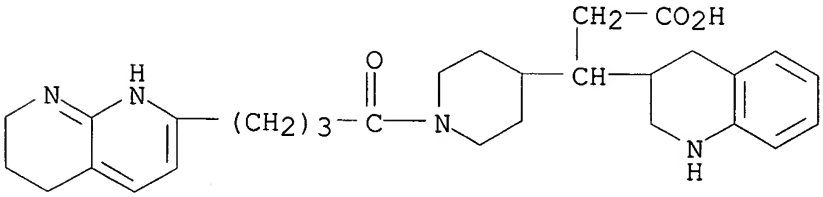
RN 669076-78-2 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]phenyl]acetyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



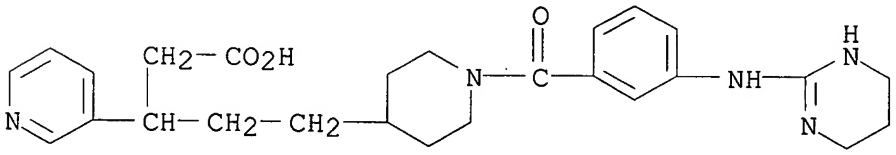
RN 669076-79-3 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



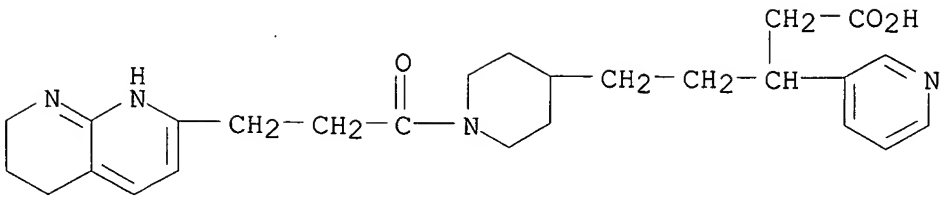
RN 669076-80-6 CAPLUS
CN 3-Quinolinepropanoic acid, 1,2,3,4-tetrahydro-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



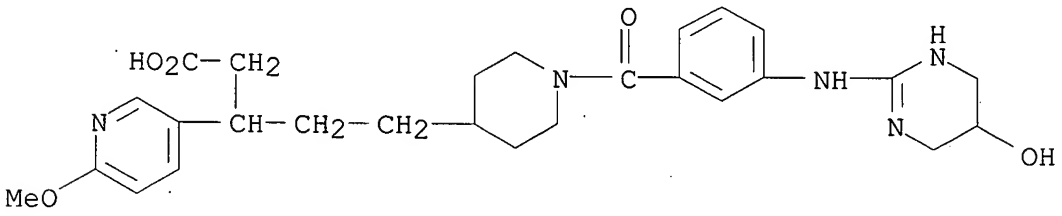
RN 669076-81-7 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



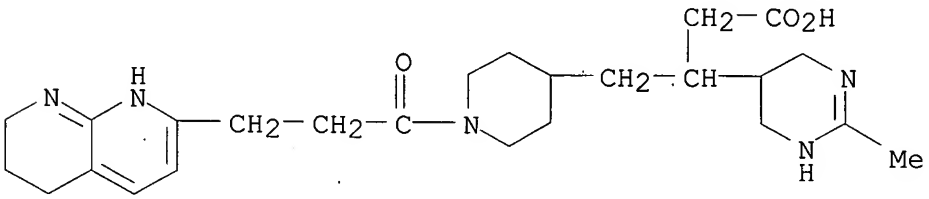
RN 669076-82-8 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



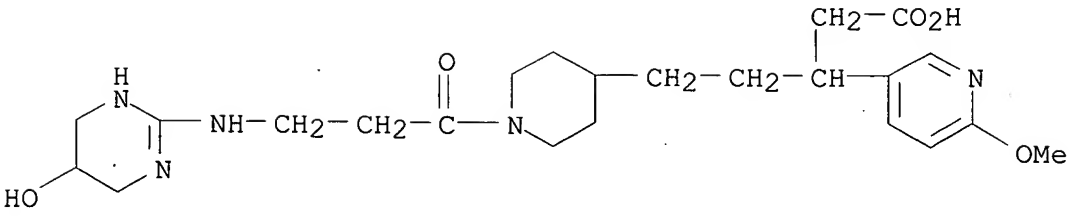
RN 669076-83-9 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]benzoyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



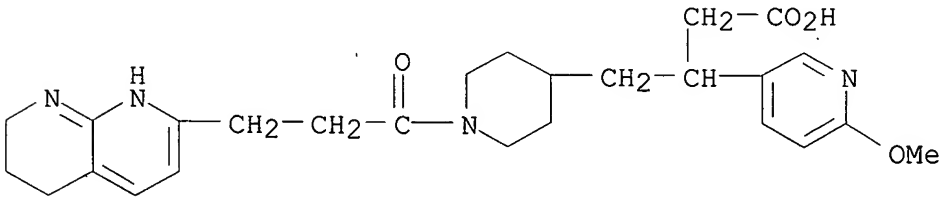
RN 669076-84-0 CAPLUS
CN 5-Pyrimidinepropanoic acid, 1,4,5,6-tetrahydro-2-methyl-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



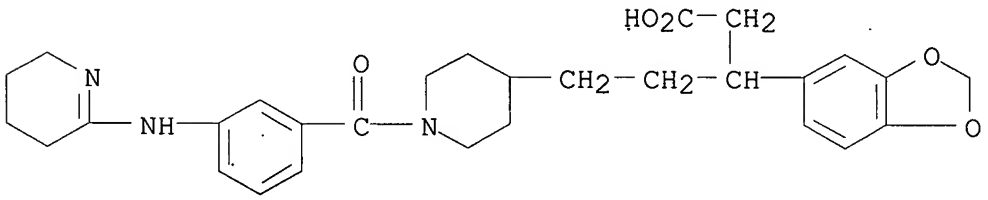
RN 669076-85-1 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[2-[1-[1-oxo-3-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]propyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



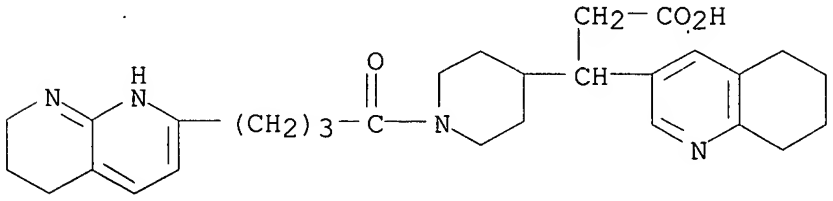
RN 669076-86-2 CAPLUS
CN 3-Pyridinepropanoic acid, 6-methoxy-β-[[1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



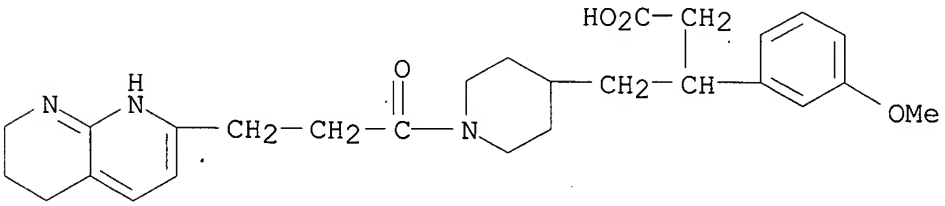
RN 669076-87-3 CAPLUS
CN 4-Piperidinepentanoic acid, β-1,3-benzodioxol-5-yl-1-[3-[(3,4,5,6-tetrahydro-2-pyridinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



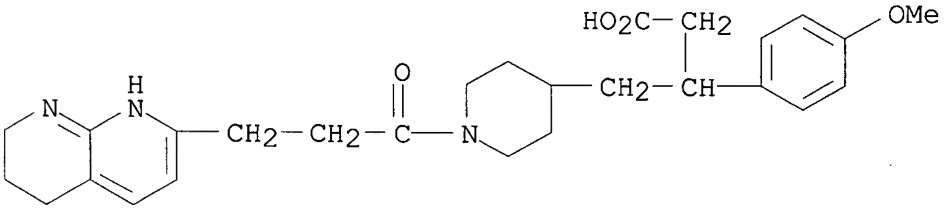
RN 669076-88-4 CAPLUS
CN 3-Quinolinepropanoic acid, 5,6,7,8-tetrahydro-β-[1-[1-oxo-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



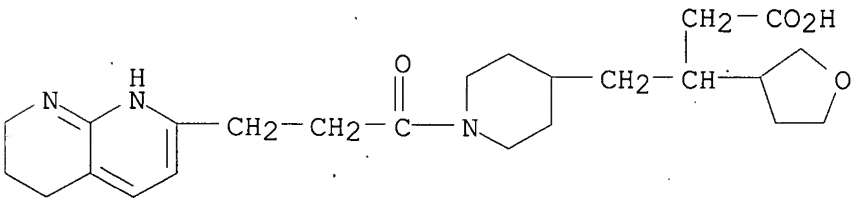
RN 669076-89-5 CAPLUS
CN 4-Piperidinebutanoic acid, β-(3-methoxyphenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



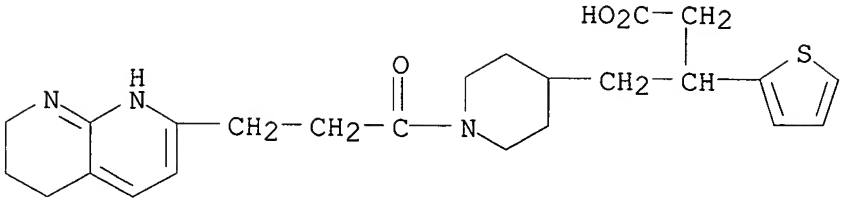
RN 669076-90-8 CAPLUS
CN 4-Piperidinebutanoic acid, β-(4-methoxyphenyl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



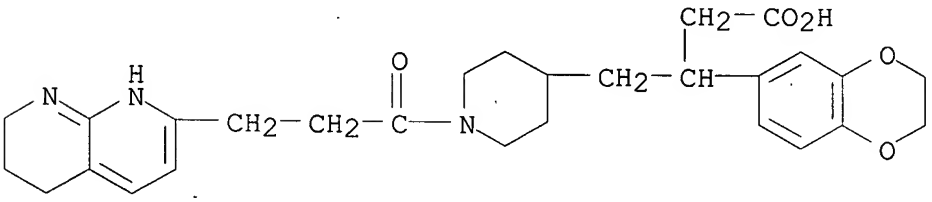
RN 669076-91-9 CAPLUS
CN 4-Piperidinebutanoic acid,
1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-β-(tetrahydro-3-furanyl)- (9CI) (CA INDEX NAME)



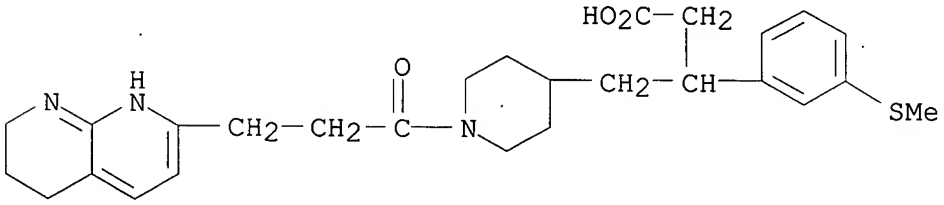
RN 669076-92-0 CAPLUS
CN 4-Piperidinebutanoic acid,
1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-β-2-thienyl- (9CI) (CA INDEX NAME)



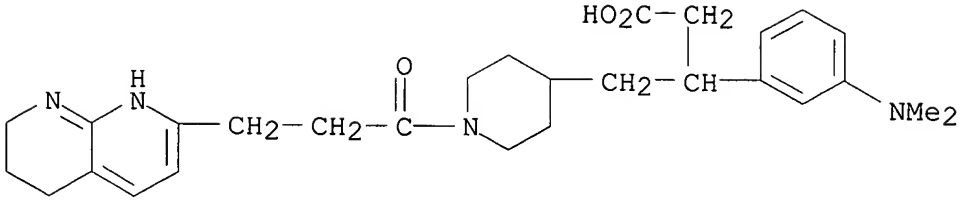
RN 669076-93-1 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



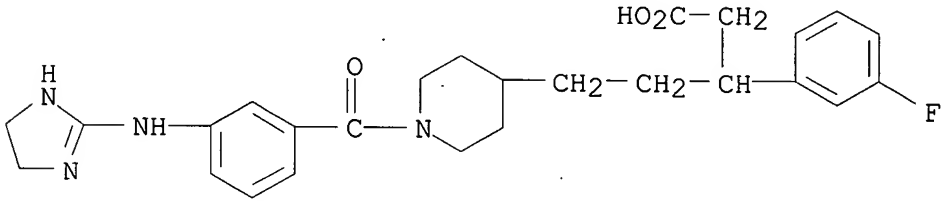
RN 669076-94-2 CAPLUS
CN 4-Piperidinebutanoic acid, β-[3-(methylthio)phenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



RN 669076-96-4 CAPLUS
CN 4-Piperidinebutanoic acid, β-[3-(dimethylamino)phenyl]-1-[1-oxo-3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

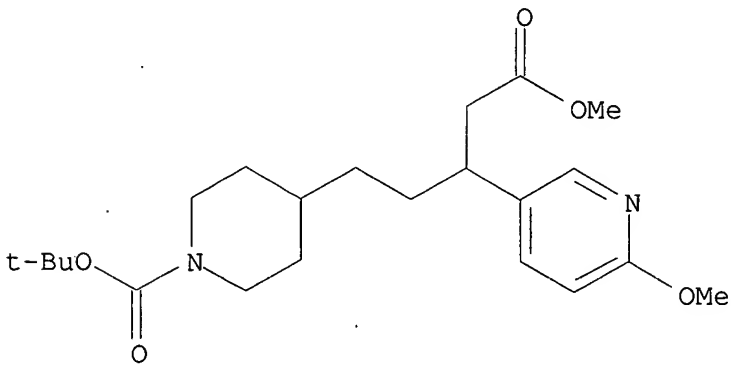


RN 669076-97-5 CAPLUS
CN 4-Piperidinepentanoic acid, 1-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]benzoyl]-β-(3-fluorophenyl)- (9CI) (CA INDEX NAME)



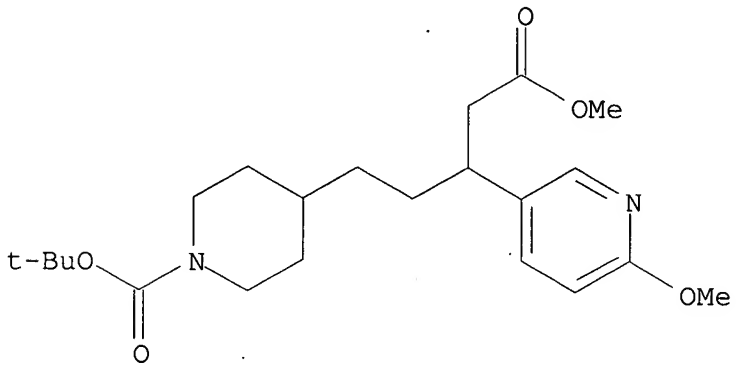
IT 669075-36-9P 669075-37-0P 669075-97-2P
669075-98-3P 669075-99-4P 669076-00-0P
669076-25-9P 669076-51-1P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinyl derivs. useful as αvβ3 and αvβ5 integrin receptor antagonists)
RN 669075-36-9 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]-6-methoxy-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



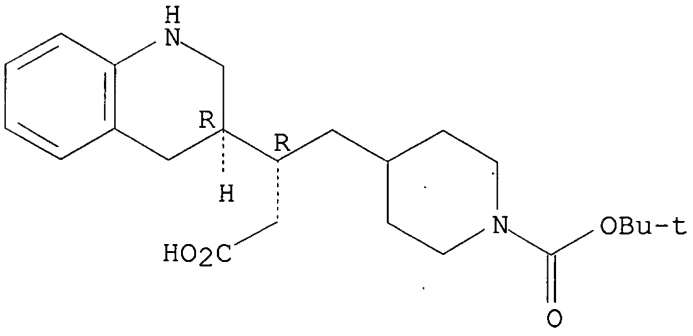
RN 669075-37-0 CAPLUS
CN 3-Pyridinepropanoic acid, β-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]-6-methoxy-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



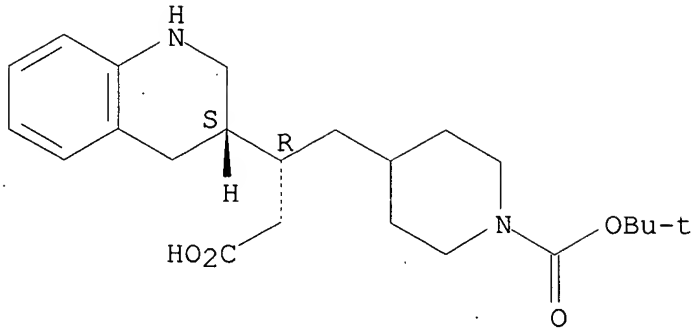
RN 669075-97-2 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-, (βR,3R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



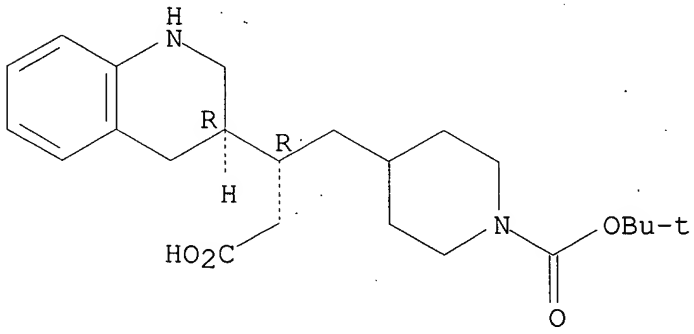
RN 669075-98-3 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-, (βR,3S)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



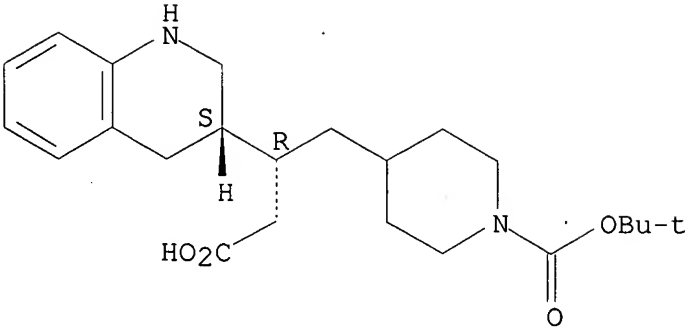
RN 669075-99-4 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-, (βR,3R)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



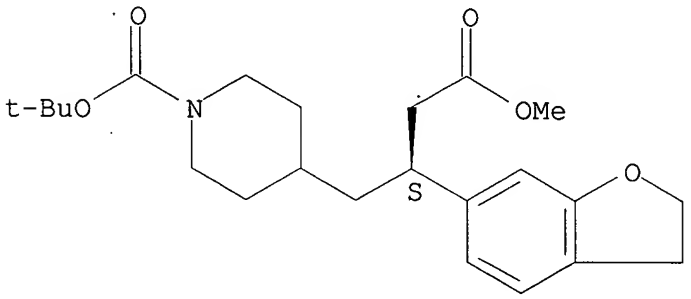
RN 669076-00-0 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-1,2,3,4-tetrahydro-, (βR,3S)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



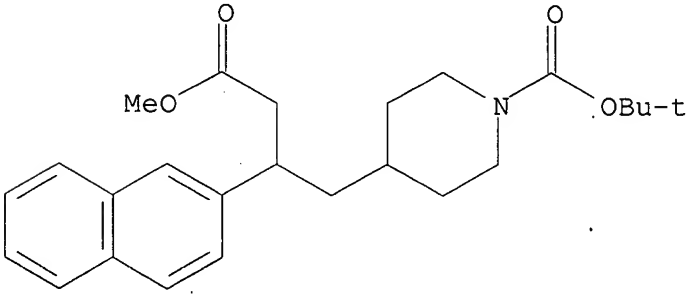
RN 669076-25-9 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 669076-51-1 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-2-naphthalenyl-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

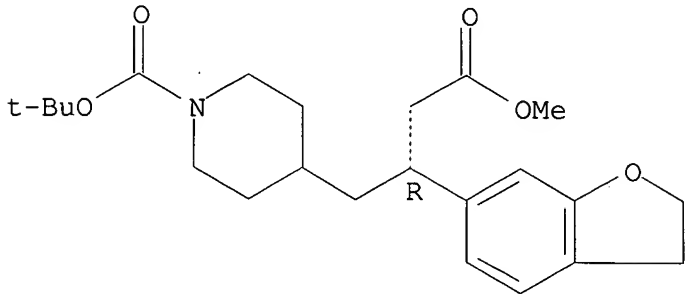


IT 669076-24-8P 669076-50-0P
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP

(Preparation)
(preparation of piperidinyl derivs. useful as αvβ3 and αvβ5 integrin receptor antagonists)

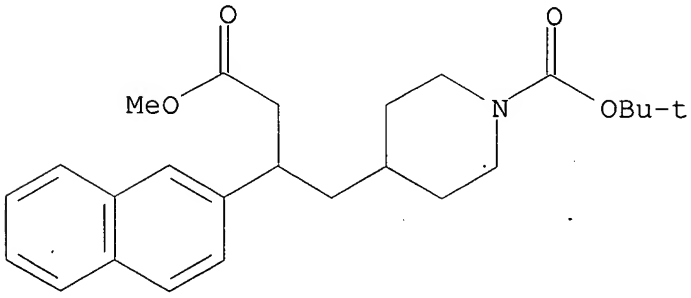
RN 669076-24-8 CAPLUS
CN 4-Piperidinebutanoic acid, β-(2,3-dihydro-6-benzofuranyl)-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



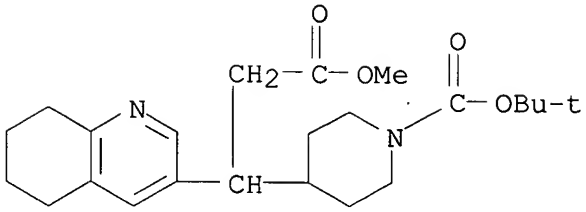
RN 669076-50-0 CAPLUS
CN 4-Piperidinebutanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-2-naphthalenyl-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

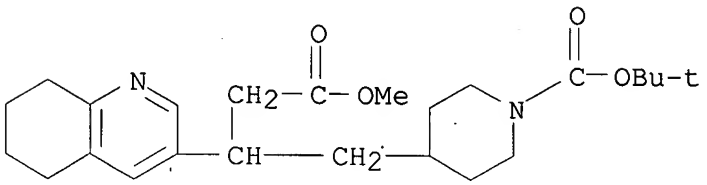


IT 669075-06-3P 669075-96-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of piperidinyl derivs. useful as αvβ3 and αvβ5 integrin receptor antagonists)

RN 669075-06-3 CAPLUS
CN 3-Quinolinepropanoic acid, β-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-5,6,7,8-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)



RN 669075-96-1 CAPLUS
CN 3-Quinolinepropanoic acid, β-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methyl]-5,6,7,8-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to piperidinyl derivs. of formula I [wherein: Y = C(O)W or W; W = C0-6 alkyl, C0-6 alkylaryl, etc.; X = (CH2)n, n = 0-3; Z = OH, NH2, NH-C1-8alkyl, alkoxy, etc.; R = H, alk(en/yn)yl, cycloalkyl, heterocyclyl, (hetero)aryl] that selectively bind integrin receptors. Compds. I are useful for the treatment of αv integrin-mediated disorders such as cancer-associated pathologies, atherosclerosis, bone resorption, muscular degeneration, etc. In vitro solid phase αvβ3, αvβ5, and GP IIb/IIIa binding assay methods were performed. For instance, compound II (αvβ3 IC50 = 0.056, αvβ5 IC50 > 5, αIIbβ3 IC50 = 4.33) was prepared via amidation of pyrimidine III by piperidine derivative IV, hydrolysis, and subsequent catalytic hydrogenation of obtained piperidine V (no yield data).

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN

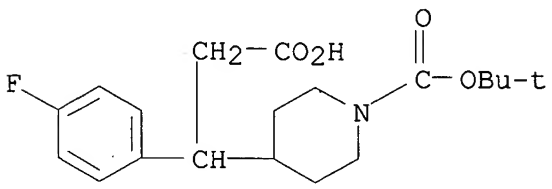
ACCESSION NUMBER: 2004:41441 CAPLUS
DOCUMENT NUMBER: 140:93935
TITLE: N-benzyl-3-phenyl-3-heterocyclyl-propionamide compounds as tachykinin/serotonin reuptake inhibitors
INVENTOR(S): Alvaro, Giuseppe; Cardullo, Francesca; D'adamo, Lucilla; Piga, Elisabetta; Seri, Catia
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005255	A1	20040115	WO 2003-EP7126	20030702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003281220	A1	20040123	AU 2003-281220	20030702
EP 1517894	A1	20050330	EP 2003-740413	20030702
EP 1517894	B1	20060906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501182	T	20060112	JP 2004-518695	20030702
AT 338748	T	20060915	AT 2003-740413	20030702
US 2006058348	A1	20060316	US 2005-521159	20050811
PRIORITY APPLN. INFO.:			GB 2002-15392 A	20020703
			WO 2003-EP7126 W	20030702

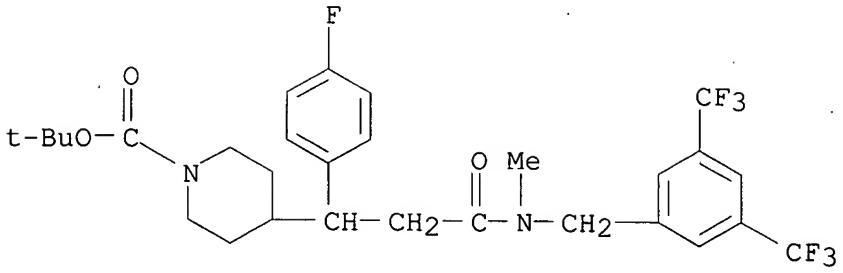
OTHER SOURCE(S): MARPAT 140:93935
IT 645378-25-2P, 4-[2-Carboxy-1-(4-fluorophenyl)ethyl]piperidine-1-carboxylic acid tert-butyl ester 645378-27-4P, 4-[2-[[3,5-Bis(trifluoromethyl)benzyl](methyl)carbamoyl]-1-(4-fluorophenyl)ethyl]piperidine-1-carboxylic acid tert-butyl ester 645378-29-6P, 4-[2-[(3,5-Dichlorobenzyl)(methyl)carbamoyl]-1-(4-fluorophenyl)ethyl]piperidine-1-carboxylic acid tert-butyl ester 645378-39-8P, 4-[2-Methoxycarbonyl-1-(4-fluorophenyl)ethyl]piperidine-1-carboxylic acid tert-butyl ester 645378-41-2P, 4-[2-[[1-(3,5-Dichlorophenyl)ethyl]methylcarbamoyl]-1-(4-fluorophenyl)ethyl]piperidine-1-carboxylic acid tert-butyl ester 645378-52-5P, 1,1-Dimethylethyl 4-[(1R)-3-[[(R)-1-[3,5-

bis(trifluoromethyl)phenyl]ethyl](methyl)amino]-1-(4-fluorophenyl)-3-oxopropyl]-1-piperidinecarboxylate 645378-53-6P, 1,1-Dimethylethyl 4-[(1S)-3-[[(R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl](methyl)amino]-1-(4-fluorophenyl)-3-oxopropyl]-1-piperidinecarboxylate 645378-54-7P, 1,1-Dimethylethyl 4-[(1S)-3-[[(S)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl](methyl)amino]-1-(4-fluorophenyl)-3-oxopropyl]-1-piperidinecarboxylate 645378-55-8P, 1,1-Dimethylethyl 4-[3-[[1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl]amino]-1-(4-fluorophenyl)-3-oxopropyl]-1-piperidinecarboxylate 645378-56-9P, 1,1-Dimethylethyl 4-[3-[[1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl](methyl)amino]-1-(4-fluorophenyl)-3-oxopropyl]-1-piperidinecarboxylate 645378-57-0P, 1,1-Dimethylethyl 4-[3-[[[3-bromo-4-(methyloxy)phenyl]methyl](methyl)amino]-1-(4-fluorophenyl)-3-oxopropyl]-1-piperidinecarboxylate 645378-59-2P, 1,1-Dimethylethyl 4-[3-[[(3,5-dimethylphenyl)methyl](methyl)amino]-1-(4-fluorophenyl)-3-oxopropyl]-1-piperidinecarboxylate 645378-60-5P, 1,1-Dimethylethyl 4-[3-[[(3,4-dibromophenyl)methyl](methyl)amino]-1-(4-fluorophenyl)-3-oxopropyl]-1-piperidinecarboxylate 645378-62-7P, 1,1-Dimethylethyl 4-[3-[[(3-fluoro-2-methylphenyl)methyl](methyl)amino]-1-(4-fluorophenyl)-3-oxopropyl]-1-piperidinecarboxylate 645378-65-0P, 1,1-Dimethylethyl 4-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](methyl)amino]-1-(4-fluorophenyl)-3-oxopropyl]-1-piperidinecarboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(N-benzyl-3-Ph-3-heterocyclyl-propionamide compds. as tachykinin and/or serotonin reuptake inhibitors)

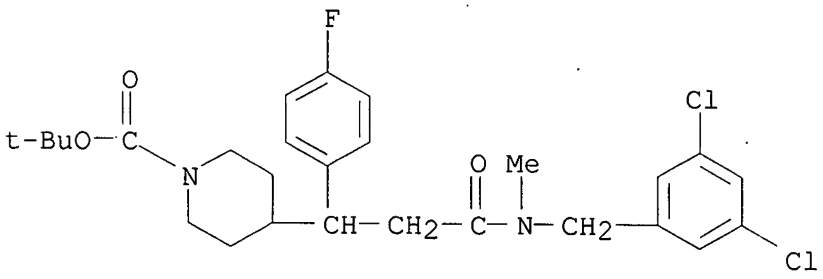
RN 645378-25-2 CAPLUS
CN 4-Piperidinepropanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



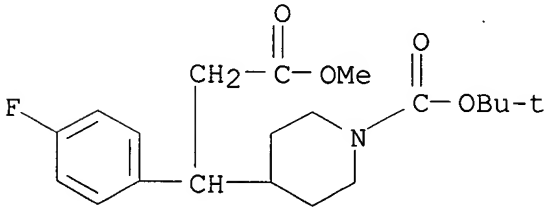
RN 645378-27-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]-1-(4-fluorophenyl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



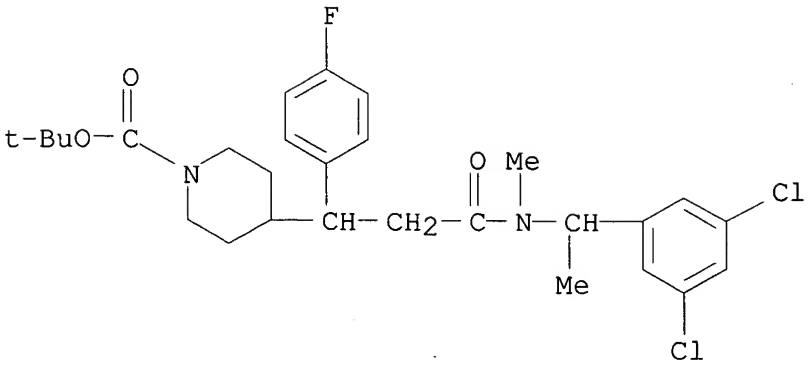
RN 645378-29-6 CAPLUS
CN 1-Piperidinecarboxylic acid,
4-[3-[[(3,5-dichlorophenyl)methyl]methylamino
]-1-(4-fluorophenyl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)



RN 645378-39-8 CAPLUS
CN 4-Piperidinepropanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-(4-
fluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)

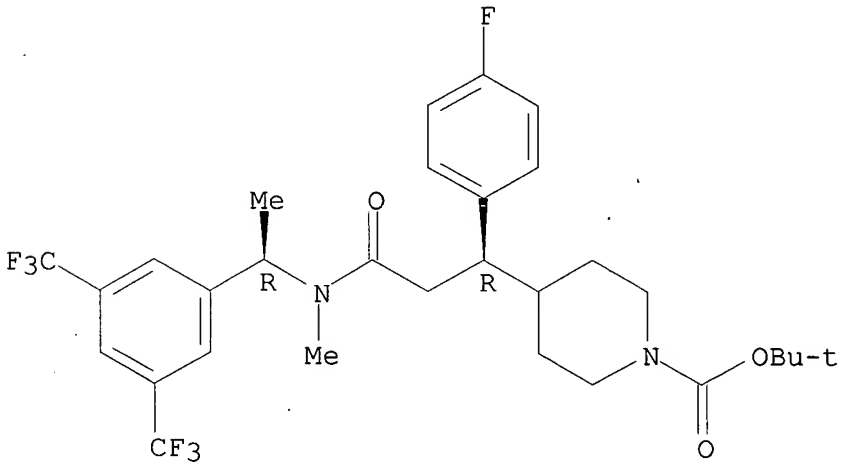


RN 645378-41-2 CAPLUS
CN 1-Piperidinecarboxylic acid,
4-[3-[[1-(3,5-dichlorophenyl)ethyl]methylamin
o]-1-(4-fluorophenyl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)



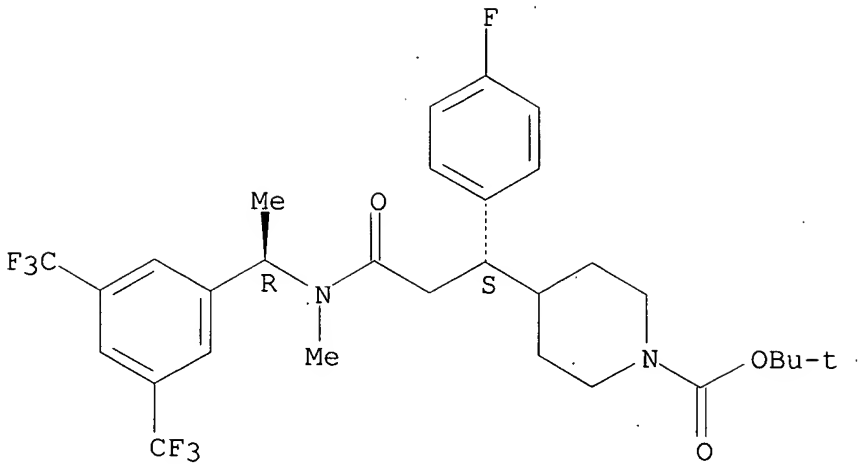
RN 645378-52-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1R)-3-[[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]methylamino]-1-(4-fluorophenyl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



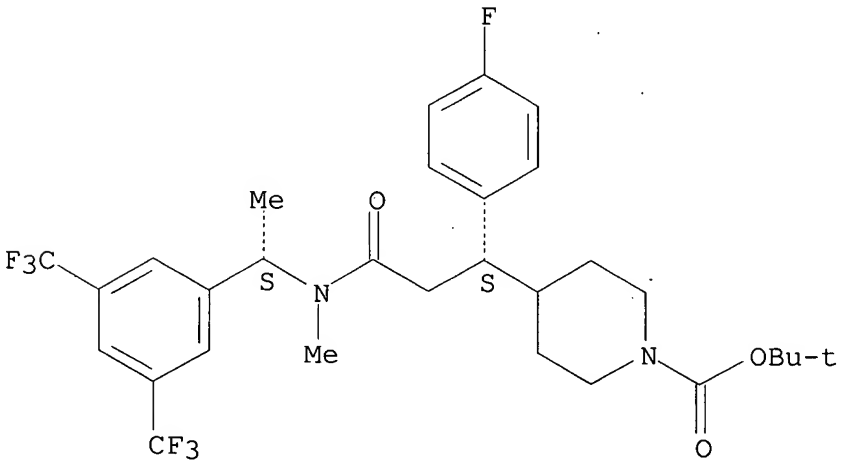
RN 645378-53-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1S)-3-[[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]methylamino]-1-(4-fluorophenyl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

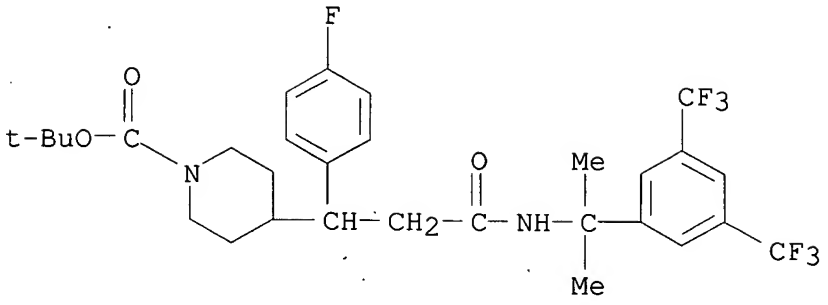


RN 645378-54-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1S)-3-[[(1S)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]methylamino]-1-(4-fluorophenyl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

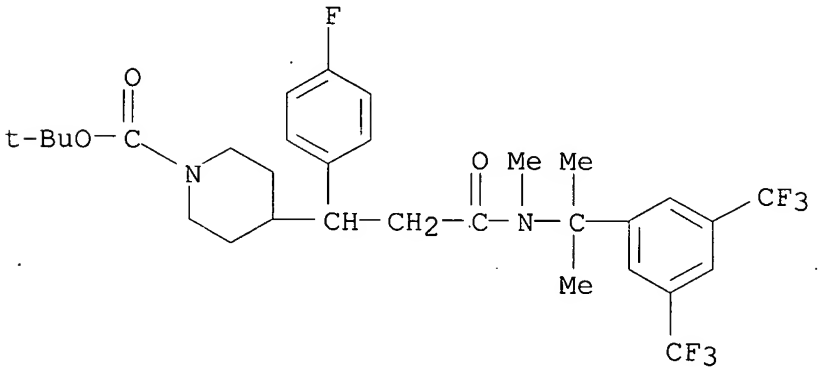
Absolute stereochemistry.



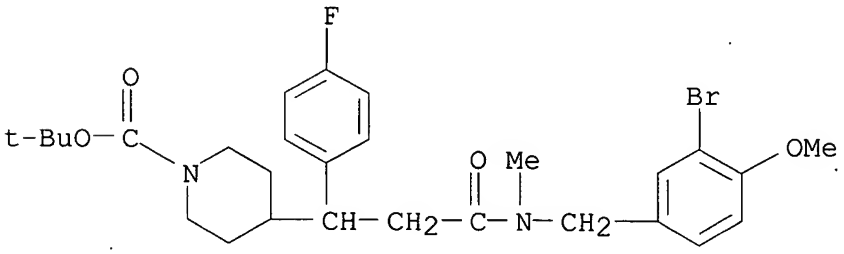
RN 645378-55-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-[[1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl]amino]-1-(4-fluorophenyl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 645378-56-9 CAPLUS
CN 1-Piperidinecarboxylic acid,
4-[3-[[1-[3,5-bis(trifluoromethyl)phenyl]-1-
methylethyl]methylamino]-1-(4-fluorophenyl)-3-oxopropyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

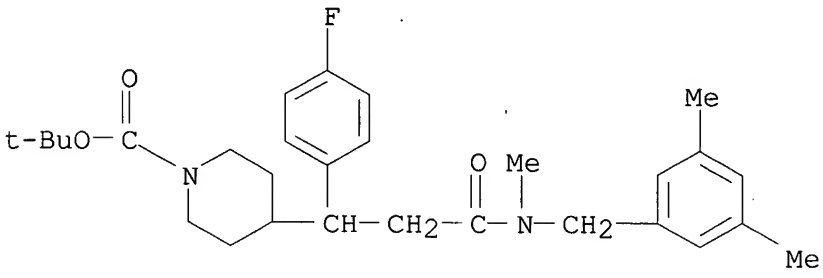


RN 645378-57-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-[[(3-bromo-4-
methoxyphenyl)methyl]methylamino]-1-(4-fluorophenyl)-3-oxopropyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

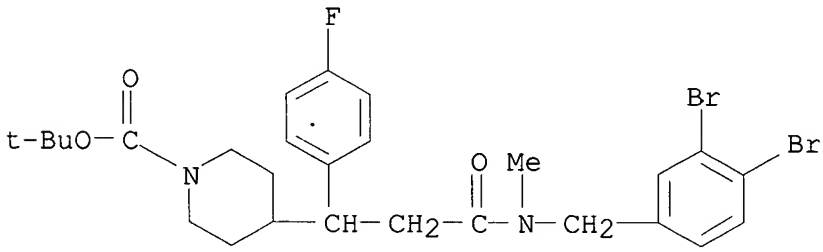


RN 645378-59-2 CAPLUS
CN 1-Piperidinecarboxylic acid,
4-[3-[[(3,5-dimethylphenyl)methyl]methylamino

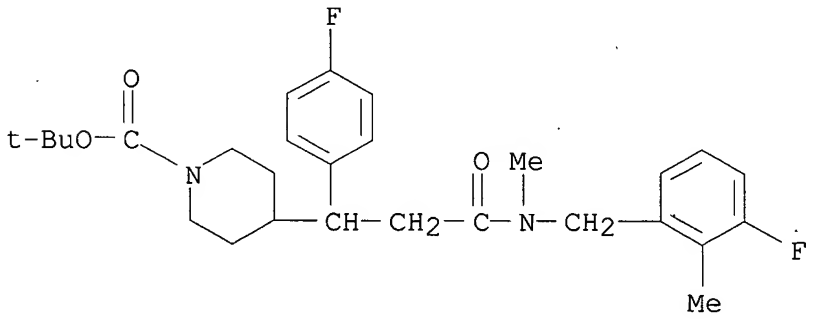
]-1-(4-fluorophenyl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 645378-60-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-[[(3,4-dibromophenyl)methyl]methylamino]-1-(4-fluorophenyl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

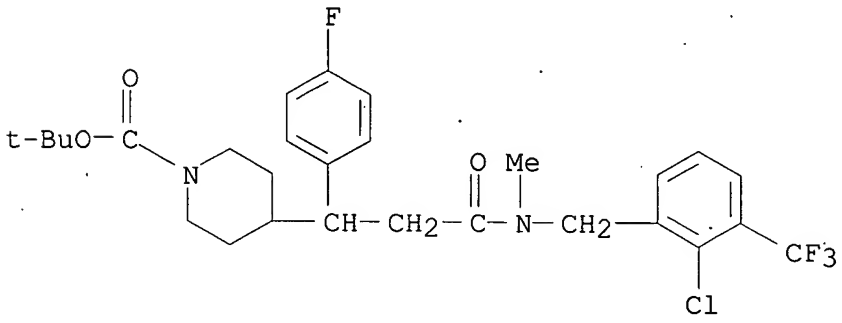


RN 645378-62-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-[[(3-fluoro-2-methylphenyl)methyl]methylamino]-1-(4-fluorophenyl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 645378-65-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl]methylamino]-1-(4-fluorophenyl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



GI

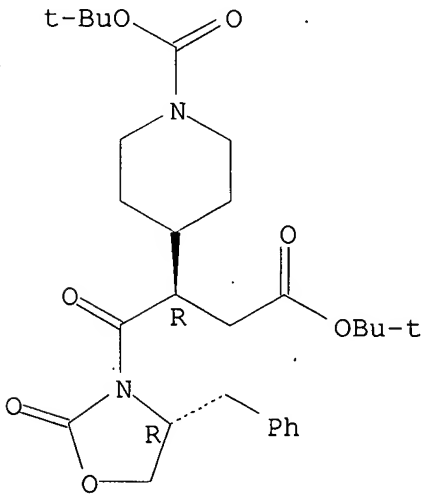
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R = halo, alkyl, CN, alkoxy, etc.; R1 = 5-6-membered heteroaryl, etc.; R2 = H, alkyl; R3-4 = H, alkyl, cycloalkyl; R5 = CF3, SO0-2, etc.; L = single or double bond; n = 1-3; m = 0-3] are prepared For instance, 4-[2-Carboxy-1-(4-fluorophenyl)ethyl]piperidine-1-carboxylic acid tert-Bu ester (preparation given) is coupled to [3,5-bis(trifluoromethyl)benzyl]methylamine and deprotected to give II. Compds. of the invention have pKi = 10.44 to 7.54 for the NK1 receptor. I are useful in the treatment of conditions mediated by tachykinins and/or by selective inhibition of serotonin reuptake transporter protein.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:236053 CAPLUS
DOCUMENT NUMBER: 139:117316
TITLE: Potent and selective aggrecanase inhibitors containing cyclic P1 substituents
AUTHOR(S): Cherney, Robert J.; Mo, Ruowei; Meyer, Dayton T.; Wang, Li; Yao, Wenqing; Wasserman, Zelda R.; Liu, Rui-Qin; Covington, Maryanne B.; Tortorella, Micky D.; Arner, Elizabeth C.; Qian, Mingxin; Christ, David D.;

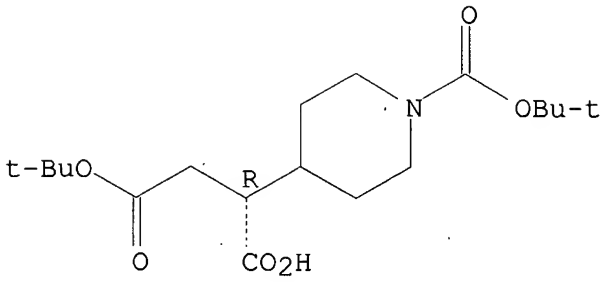
Trzaskos, James M.; Newton, Robert C.; Magolda, Ron L.; Decicco, Carl P.
CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(7), 1297-1300
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:117316
IT 561302-52-1P 561302-56-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation, aggrecanase- and metalloproteinase-inhibiting structure-activity relationship of cyclic P1 substituted hydroxamates)
RN 561302-52-1 CAPLUS
CN 4-Piperidinepropanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-[[(4R)-2-oxo-4-(phenylmethyl)-3-oxazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 561302-56-5 CAPLUS
CN Butanedioic acid, [1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-, 4-(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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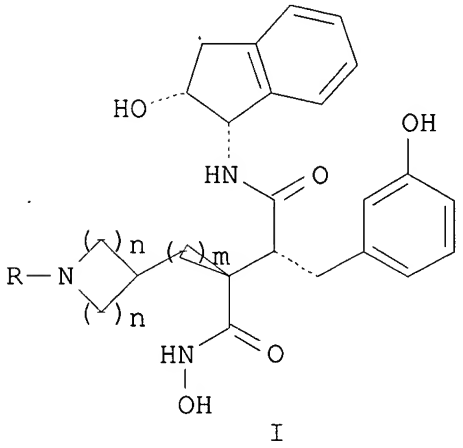


I

AB Anti-succinate hydroxamates I (R = Boc, H, COMe, etc., m = 0, n = 1-2; R =
COMe, COEt, m = 1, n = 2) with cyclic P1 motifs were prepared from substituted acetic acids as aggrecanase inhibitors. The N-methanesulfonyl
piperidine I (R = SO2Me, m = 0, n = 2) and the N-trifluoroacetyl azetidine
I (R = COCF3, m = 0, n = 1) were the most potent aggrecanase inhibitors both having an IC50=3 nM while maintaining >100-fold selectivity over MMP-1, -2, and -9. The cyclic moieties were also capable of altering in
vivo metabolism, hence delivering low clearance compds. in both rat and dog
studies as shown for I (R = H, m = 0, n = 2).

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

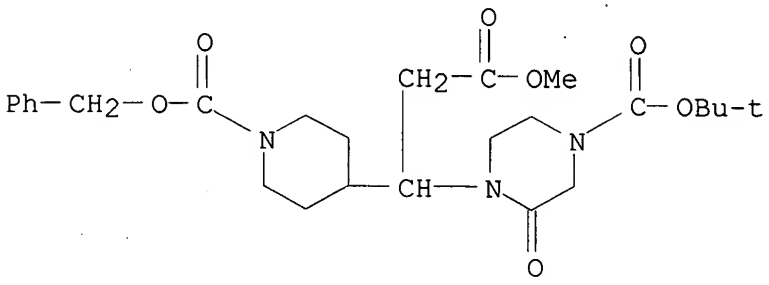
L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:511143 CAPLUS
DOCUMENT NUMBER: 131:170361
TITLE: Preparation of sulfonamides as inhibitors of activated blood coagulation factor X
INVENTOR(S): Tawada, Hiroyuki; Itoh, Fumio; Banno, Hiroshi; Terashita, Zenichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940075	A1	19990812	WO 1999-JP470	19990204
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2317017	A1	19990812	CA 1999-2317017	19990204
AU 9922988	A	19990823	AU 1999-22988	19990204
JP 2000204081	A	20000725	JP 1999-27053	19990204
EP 1054005	A1	20001122	EP 1999-902829	19990204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6403595	B1	20020611	US 2000-601660	20000803
US 2002193382	A1	20021219	US 2002-128809	20020424
US 6680312	B2	20040120		

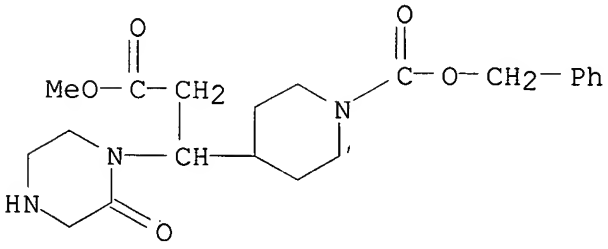
PRIORITY APPLN. INFO.:	JP 1998-24833	A	19980205
	JP 1998-317205	A	19981109
	WO 1999-JP470	W	19990204
	US 2000-601660	A3	20000803

OTHER SOURCE(S): MARPAT 131:170361
IT 239073-60-0P 239073-61-1P 239073-62-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of sulfonamides as inhibitors of activated blood coagulation
factor X)

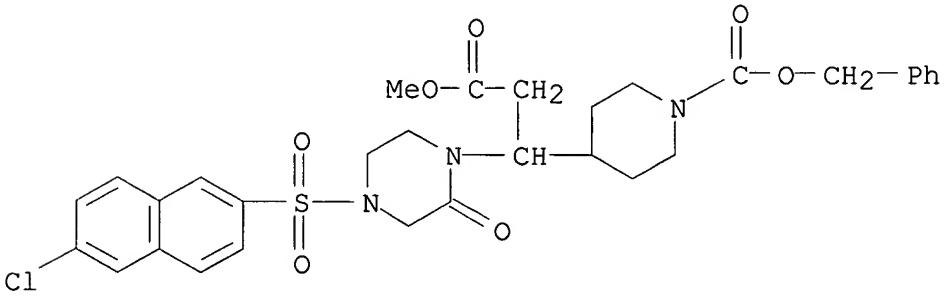
RN 239073-60-0 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[(1,1-dimethylethoxy)carbonyl]-2-oxo-β-[1-[(phenylmethoxy)carbonyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)



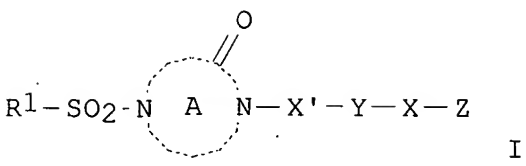
RN 239073-61-1 CAPLUS
CN 1-Piperazinepropanoic acid, 2-oxo-β-[1-[(phenylmethoxy)carbonyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 239073-62-2 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-β-[1-[(phenylmethoxy)carbonyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)



GI



I

AB The title compds. I [R1 represents a hydrocarbyl or heterocyclic group each optionally substituted; the ring A represents a divalent nitrogen-containing heterocycle group optionally further substituted; X' represents optionally substituted alkylene; Y represents an optionally substituted divalent cyclic group; X represents a bond or optionally substituted alkylene; and Z represents optionally substituted amino, optionally substituted imidoyl, or an optionally substituted nitrogen-containing heterocyclic group] are prepared Formulations containing a compound of this invention are given. In a test for inhibiting activity of title compds. against activated blood coagulation factor X, 1-(4-amidinobenzyl)-4-(6-chloronaphthalene-2-sulfonyl)-2-piperazinone hydrochloride showed IC50 of 0.05 μM.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

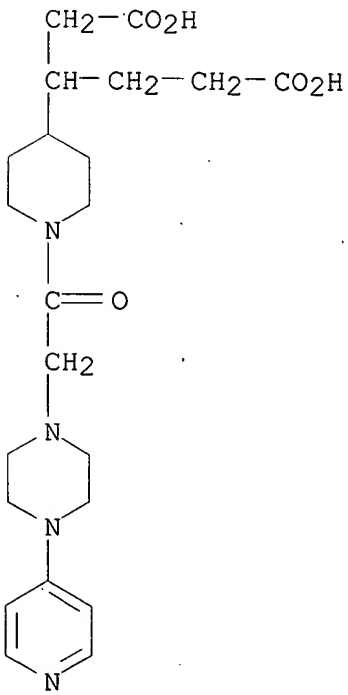
L4 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:324824 CAPLUS
DOCUMENT NUMBER: 129:27961
TITLE: Preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion molecules to GPIIb/IIIa
INVENTOR(S): Mills, Stuart Dennett
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: U.S., 68 pp., Cont.-in-part of U.S. 5,563,141. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5753659	A	19980519	US 1995-458180	19950602
US 5563141	A	19961008	US 1994-218174	19940328
US 5750754	A	19980512	US 1996-658097	19960604
PRIORITY APPLN. INFO.:			GB 1993-6451 A	19930329

GB 1993-25610	A	19931215
US 1994-218174	A2	19940328
GB 1993-6453	A	19930329
GB 1993-25605	A	19931215
GB 1995-18188	A	19950907

IT 166951-31-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)
RN 166951-31-1 CAPLUS
CN Hexanedioic acid, 3-[1-[[4-(4-pyridinyl)-1-piperazinyl]acetyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

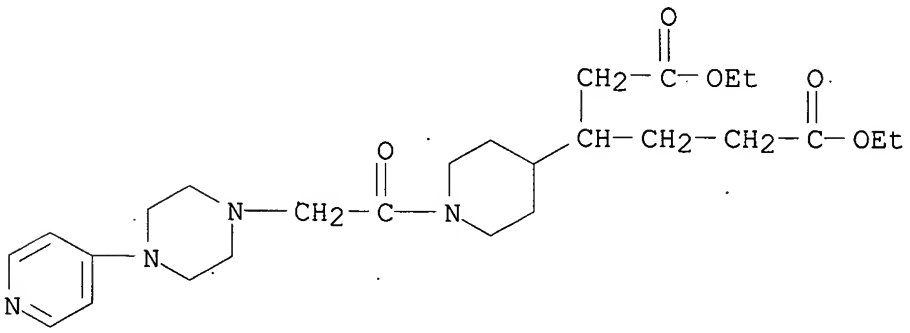


IT 207913-43-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocyclyl-substituted piperazines for the prevention or

treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)

RN 207913-43-7 CAPLUS

CN Hexanedioic acid, 3-[1-[[4-(4-pyridinyl)-1-piperazinyl]acetyl]-4-piperidinyl]-, diethyl ester (9CI) (CA INDEX NAME)



AB The title compds. [(M1)n-Q-(M2)1-n-L-A; n = 0-1; M1 = NH2; Q = an aromatic heterocyclic group containing N atom; M2 = imino; L = template; A = an acidic group, or its ester or amide, or sulfonamide] and their pharmaceutically acceptable salts and pro-drugs, useful for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa, for the inhibition of platelet aggregation, and for the treatment of unstable angina. Thus, reaction of Me 4-bromoacetylphenoxyacetate with 1-(4-pyridyl)piperazine in MeCN afforded Me 4-{2-[4-(4-pyridyl)piperazin-1-yl]acetyl}phenoxyacetate which showed pIC50 of 5.8-6.4 against binding of fibrinogen to GPIIb/IIIa.

REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:126254 CAPLUS

DOCUMENT NUMBER: 128:204878

TITLE: Preparation of pyrazinobenzothiazine derivatives and analogs for the treatment of inflammation and autoimmune diseases

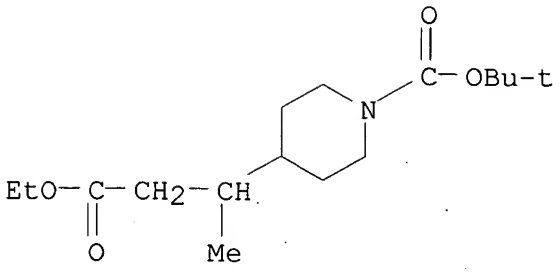
INVENTOR(S): Kaneko, Toshihiko; Clark, Richard; Ohi, Norihito; Ozaki, Fumihiro; Kawahara, Tetsuya; Kamada, Atsushi;

Okano, Kazuo; Yokohama, Hiromitsu; Muramoto, Kenzo; Arai, Tohru; Ohkuro, Masayoshi; Takenaka, Osamu; Sonoda, Jiro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1344 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806720	A1	19980219	WO 1997-JP2787	19970808
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2262569	A1	19980219	CA 1997-2262569	19970808
AU 9737849	A	19980306	AU 1997-37849	19970808
ZA 9707103	A	19990208	ZA 1997-7103	19970808
EP 934941	A1	19990811	EP 1997-934750	19970808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
US 6518423	B1	20030211	US 1999-230852	19990405
US 2004092737	A1	20040513	US 2002-247310	20020920

PRIORITY APPLN. INFO.: JP 1996-210344 A 19960809
WO 1997-JP2787 W 19970808
US 1999-230852 A3 19990405

OTHER SOURCE(S): MARPAT 128:204878
IT 203662-40-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of pyrazinobenzothiazine derivs. and analogs for treatment of
inflammation and autoimmune diseases)
RN 203662-40-2 CAPLUS
CN 4-Piperidinepropanoic acid, 1-[(1,1-dimethylethoxy)carbonyl]-β-methyl-, ethyl ester (9CI) (CA INDEX NAME)



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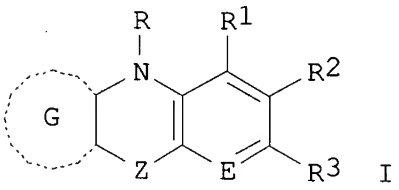


AB The title compds. I [R1 to R3 are the same or different and each represents hydrogen, optionally substituted lower alkyl, optionally substituted cycloalkyl, etc., provided that when R1 to R3 are all optionally substituted lower alkyl groups, they do not simultaneously represent Me groups; R represents hydrogen, lower alkyl, etc.; E represents N, C, etc.; Z represents O, S, SO, SO2, etc.; and the ring G represents an optionally substituted heteroaryl ring having at least one nitrogen atom] are prepared I are useful in the treatment and prevention of inflammatory immunol. diseases, autoimmune diseases, rheumatism, collagen disease, asthma, nephritis, ischemic reflow disorders, psoriasis, atopic dermatitis or rejection reactions following organ transplantation. The compound (syn)-[3-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-3-azabicyclo[3.3.1]nona-9-yl]acetic acid (II) at 10 mg/kg orally gave 65% inhibition of carrageenin-induced inflammation in rats. II in vitro showed IC50 of 2.3 μM against the expression of ICAM-1.

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:758624 CAPLUS
DOCUMENT NUMBER: 123:169654
TITLE: Preparation of heterocyclic compounds as platelet

aggregation inhibitors

INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney

PATENT ASSIGNEE(S): Zeneca Ltd., UK

SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

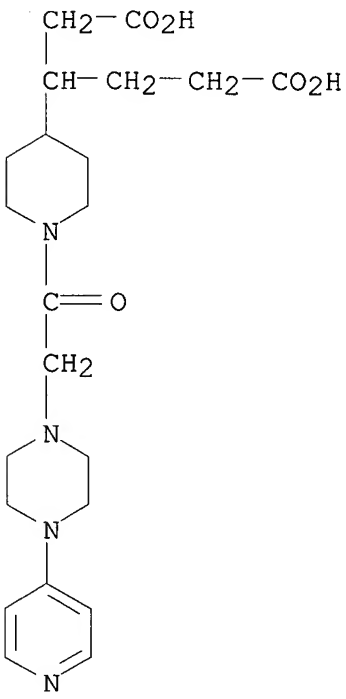
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9422835	A2	19941013	WO 1994-GB648		19940328
WO 9422835	A3	19941222			
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2155307	A1	19941013	CA 1994-2155307		19940328
AU 9462890	A	19941024	AU 1994-62890		19940328
AU 692439	B2	19980611			
EP 690847	A1	19960110	EP 1994-910495		19940328
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 08509967	T	19961022	JP 1994-521811		19940328
JP 3088016	B2	20000918			
US 5750754	A	19980512	US 1996-658097		19960604
PRIORITY APPLN. INFO.:			GB 1993-6451	A	19930329
			GB 1993-25610	A	19931215
			GB 1993-6453	A	19930329
			GB 1993-25605	A	19931215
			WO 1994-GB648	W	19940328
			GB 1995-18188	A	19950907

OTHER SOURCE(S): MARPAT 123:169654

IT 166951-31-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as platelet aggregation inhibitors)
RN 166951-31-1 CAPLUS
CN Hexanedioic acid, 3-[1-[[4-(4-pyridinyl)-1-piperazinyl]acetyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



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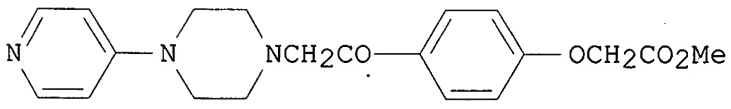


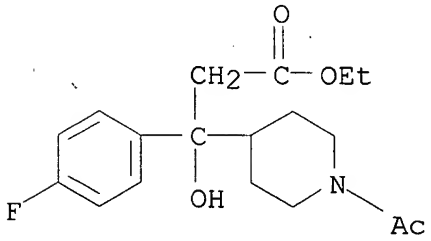
I

AB Title compds. [I; (M1)nQ(M2)1-nLA wherein = 0, 1; M1 = amino; Q = N-heterocyclyl; M2 = imino; L = template; A = an acidic group, or ester, amide derivative, sulfonamide] and pharmaceutically acceptable salts and pro-drugs thereof are prepared Me 4-(bromoacetyl)phenoxyacetate in MeCN was added to 1-(4-pyridyl)piperazine in MeCN to give the title compd II. Platelet aggregation inhibition was demonstrated by I. Pharmaceutical formulations comprising I are given.

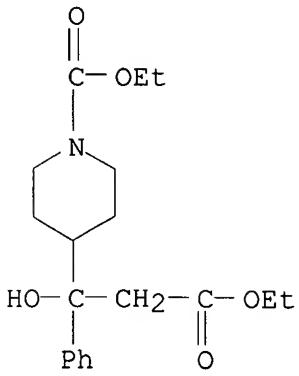
L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:406179 CAPLUS
DOCUMENT NUMBER: 97:6179
TITLE: 4-Aryl-1-oxa-8-azaspiro[4.5]dec-3-en-2-ones
INVENTOR(S): Brown, John J.; Hardy, Robert A., Jr.
PATENT ASSIGNEE(S): American Cyanamid Co. , USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4321379	A	19820323	US 1981-229043	19810128
PRIORITY APPLN. INFO.:			US 1981-229043	19810128

OTHER SOURCE(S): CASREACT 97:6179; MARPAT 97:6179
IT 82074-13-3P 82074-38-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and cyclization of)
RN 82074-13-3 CAPLUS
CN 4-Piperidinepropanoic acid, 1-acetyl-β-(4-fluorophenyl)-β-hydroxy-, ethyl ester (9CI) (CA INDEX NAME)



RN 82074-38-2 CAPLUS
CN 4-Piperidinepropanoic acid, 1-(ethoxycarbonyl)-β-hydroxy-β-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



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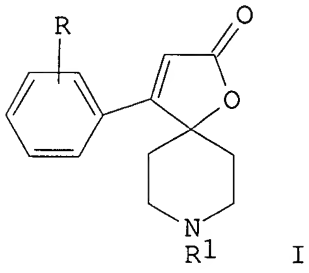
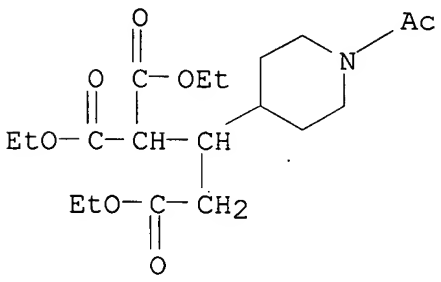


I

AB Analgesic and neuroleptic (no data) oxaazaspirodecenones I [R = H, F, Cl, CF3; R1 = H, (un)substituted alkyl, acyl] and their 3,4-dihydro derivs. were prepared Thus 1-acetyl-4-(4-fluorobenzoyl)piperidine was treated with BrCH2CO2Et, followed by cyclization with H2SO4, to give I (R = 4-F, R1 = Ac).

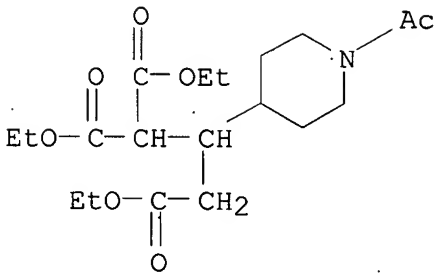
L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1954:71735 CAPLUS
DOCUMENT NUMBER: 48:71735
ORIGINAL REFERENCE NO.: 48:12744h
TITLE: Synthesis of 2,3-substituted quinuclidines
AUTHOR(S): Rubtsov, M. V.; Mikhlina, E. E.
SOURCE: Zhurnal Obshchei Khimii (1953), 23, 861-5
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 873375-47-4P, 1,1,3-Propanetricarboxylic acid, 2-(1-acetyl-4-piperidyl)-, triethyl ester
RL: PREP (Preparation)

(preparation of)
RN 873375-47-4 CAPLUS
CN 1,1,3-Propanetricarboxylic acid, 2-(1-acetyl-4-piperidyl)-, triethyl ester
(5CI) (CA INDEX NAME)



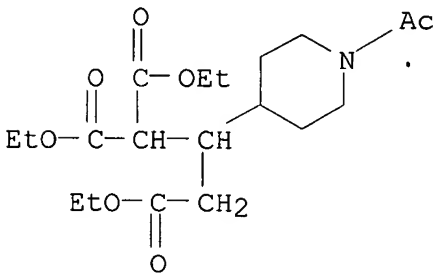
AB See C.A. 48, 3978a.

L4 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1954:71734 CAPLUS
DOCUMENT NUMBER: 48:71734
ORIGINAL REFERENCE NO.: 48:12744g-h
TITLE: Relative basicities of atoms of nitrogen in compounds
of the type of 2-aminopyridine and N-alkyl-2-pyridonimine
AUTHOR(S): Gol'dfarb, Ya. L.; Pryanishnikova, M. A.; Zhukova, K.
A.
SOURCE: Bulletin of the Academy of Sciences of the USSR, Division of Chemical Science (English Translation) (1953) 129-35
CODEN: BACCAT; ISSN: 0568-5230
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 873375-47-4P, 1,1,3-Propanetricarboxylic acid, 2-(1-acetyl-4-piperidyl)-, triethyl ester
RL: PREP (Preparation)
(preparation of)
RN 873375-47-4 CAPLUS
CN 1,1,3-Propanetricarboxylic acid, 2-(1-acetyl-4-piperidyl)-, triethyl ester
(5CI) (CA INDEX NAME)



AB See C.A. 48, 3358i.

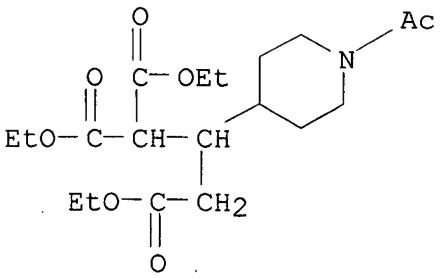
L4 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1954:49458 CAPLUS
DOCUMENT NUMBER: 48:49458
ORIGINAL REFERENCE NO.: 48:8782a-d
TITLE: Synthesis of 2,3-disubstituted quinuclidines
AUTHOR(S): Rubtsov, M. V.; Mikhlina, E. E.
CORPORATE SOURCE: S. Ordzhonikidze All-Union Chem.-Pharm. Inst., Moscow
SOURCE: Doklady Akademii Nauk SSSR (1953), 88, 1003-6
CODEN: DANKAS; ISSN: 0002-3264
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
IT 873375-47-4P, 1,1,3-Propanetricarboxylic acid, 2-(1-acetyl-4-piperidyl)-, triethyl ester
RL: PREP (Preparation)
(preparation of)
RN 873375-47-4 CAPLUS
CN 1,1,3-Propanetricarboxylic acid, 2-(1-acetyl-4-piperidyl)-, triethyl ester
(5CI) (CA INDEX NAME)



AB cf. C.A. 48, 3978a. Condensation of an equimolar mixture of CH2(CO2Et)2 and
Et 3-(4-pyridyl)acrylate in EtOH with EtONa catalyst 5-6 hrs. at room temperature or 1 hr. at 60° gave 94% Et 3-dicarbethoxymethyl-3-(4-pyridyl)propionate (I), b0.2 173-5° (some decomposition). This boiled

with concentrated HCl gave 3-(4-pyridyl)glutaric acid, identified as the di-Et ester, b0.2 146-8°. I.HCl was hydrogenated over PtO2 at room temperature in EtOH to the piperidine analog (II), noncryst. mass decomposing on attempted distillation; heated with Ac2O it gave Et 3-dicarbethoxymethyl-3-(1-acetyl-4-piperidyl)propionate, b0.3 206-7°. II with Br gave Et 3-dicarbethoxybromomethyl-3-(4-piperidyl)propionate, which with hot pyridine gave 72% Et (2,2-dicarbethoxy-3-quinuclidyl)acetate, b0.25 147-8°, n20D 1.4793; methiodide, m. 139-41° (from EtOH-Et2O). Refluxed 16 hrs. with concentrated HCl the ester gave 91.5% (2-carboxy-3-quinuclidyl)acetic acid-HCl, decompose 254-5°. The calculated amount of alc. NH3 gave 87% free acid (III), m. 273°, soluble in H2O, nearly insol. in absolute EtOH; isolation of the acid through the Ag salt gave but 43.8% yield owing to the insoly. of the Ag salt. The acid with EtOH-HCl or the acyl chloride with EtOH gave the di-Et ester, b0.3 126°, n20D 1.4797. This with LiAlH4 gave 88.7% 2-hydroxymethyl-3-(2-hydroxyethyl)quinuclidine, b0.4 156-7°, yielding with SOCl2 the 2-chloromethyl-3-(2-chloroethyl)quinuclidine, b0.25 120-2°, which on standing forms a spongy solid, probably a polymer; this process is accelerated by heat (distillation). Heating the acyl dichloride of III.HCl with Et2NCH2CH2OH yields bis(diethylaminoethyl) ester of III, b0.3 187-9° (methiodide, decompose 197-9°).

L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1954:21861 CAPLUS
DOCUMENT NUMBER: 48:21861
ORIGINAL REFERENCE NO.: 48:3978a-f
TITLE: Synthesis of 2,3-substituted quinuclidines
AUTHOR(S): Rubtsov, M. V.; Mikhlina, E. E.
CORPORATE SOURCE: S. Ordzhonikidze All-Union Chem.-Pharm. Inst., Moscow
SOURCE: Zhurnal Obshchei Khimii (1953), 23, 823-8
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 48:21861
IT 873375-47-4P, 1,1,3-Propanetricarboxylic acid, 2-(1-acetyl-4-piperidyl)-, triethyl ester
RL: PREP (Preparation)
(preparation of)
RN 873375-47-4 CAPLUS
CN 1,1,3-Propanetricarboxylic acid, 2-(1-acetyl-4-piperidyl)-, triethyl ester
(5CI) (CA INDEX NAME)



AB To 1.25 g. Na in 20 ml. absolute EtOH was added 8.7 g. CH2(CO2Et)2 and 9.6 g. Et 4-pyridineacrylate, and the mixture stirred 1 hr. at 60° and treated with very dilute AcOH and extracted with Et2O, yielding 94.2% Et β-[bis(ethoxycarbonyl)methyl]-4-pyridinepropionate, b0.2 173-5° (some decomposition); HCl salt (I), m. 121-2° (from EtOH-Et2O). This (4.4 g.) refluxed 8 hrs. with 44 ml. concentrated HCl gave 3-(4-pyridyl)glutaric acid-HCl, which, heated 3 hrs. with 35 ml. 5% alc. HCl, concentrated in vacuo, treated with K2CO3, and extracted with Et2O, yielded 84.4% di-Et ester, b0.2 146-8°, I reduced over Pt oxide in EtOH to Et β-[bis(ethoxycarbonyl)methyl]-4-piperidinepropionate, isolated as the HCl salt (II), a taffy-like mass; the free base is amorphous; treatment with Ac2O gave the 1-Ac derivative, b0.3 206-7°. II (from 47.8 g. pyridine analog) in dry CHCl3 treated over 8 hrs. with 20.4 g. Br in CHCl3, allowed to stand 12-14 hrs., concentrated, treated with H2O and K2CO3, and extracted with Et2O yielded crude Et β-[bis(ethoxycarbonyl)bromomethy l]-4-piperidinepropionate, which, boiled 2 hrs. with 390 ml. pyridine, concentrated, and treated with 50% K2CO3 gave 72% Et 2,2-dicarbethoxy-3-quinuclidineacetate, b0.25 147-8°; methiodide, m. 139-41° (from EtOH-Et2O). The ester refluxed 16 hrs. with concentrated HCl gave 2-carboxy-3-quinuclidineacetic acid-HCl (III), decompose 253-4° (from aqueous Me2CO); the pure product decompose 254-5° (from EtOH-Et2O). This (0.4 g.) 1.1 g. Ag2O, and 6 ml. H2O shaken 2 hrs., diluted, heated to the b.p., filtered, saturated with H2S, filtered, and evaporated gave 43.8% 2-carboxy-3-quinuclidineacetic acid, m. 265-7°; an 87.6% yield is obtained with alc. NH3. III (7 g.) heated with 100 ml. SOCl2 10 hrs. at 70°, freed of SOCl2, and the resulting acyl chloride-HCl (IV) refluxed 3 hrs. with EtOH gave 82.7% di-Et 2-carboxy-3-

quinuclidineacetate, b0.3 126°, n20D 1.4797, (also obtained from III and 5% alc. HCl refluxed 6 hrs.); methiodide, m. 140-1° (from EtOH-Et2O). The ester (8.2 g.) in Et2O treated with 4.64 g. LiAlH4 suspended in Et2O, boiled 1 hr., and treated with 9 ml. H2O gave 88.7% 2-hydroxymethyl-3-(2-hydroxyethyl)quinuclidine, b0.4 156-7°; HCl salt, hygroscopic solid. The latter (5.22 g.) in dry CHCl3 treated with 18 ml. SOCl2, boiled 0.5 hr., and concentrated in vacuo, gave 94% 2-chloromethyl-3-(2-chloroethyl)quinuclidine-HCl, m. 139-40°; with 50% K2CO3 it gave the free base, b0.25 120-2°, which forms a methiodide, m. 136°. IV (from 3 g. acid HCl salt) and 40 ml. Et2NCH2CH2OH kept 3.5 hrs. at 80-5° gave 63% bis(2-diethylaminoethyl) ester, b0.3 187-9°, of 2-carboxy-3-quinuclidineacetic acid; trimethiodide, decompose 197-9°.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	116.41	288.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-17.16	-17.16

STN INTERNATIONAL LOGOFF AT 14:48:21 ON 10 FEB 2007